(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 27 September 2001 (27.09.2001)

PCT

English

(10) International Publication Number WO 01/70671 A2

(51) International Patent Classification⁷: C07C 235/00

(21) International Application Number: PCT/US01/09338

(22) International Filing Date: 20 March 2001 (20.03.2001)

(26) Publication Language: English

(30) Priority Data:

(25) Filing Language:

60/191,242 22 March 2000 (22.03.2000) US 60/220,232 24 July 2000 (24.07.2000) US 60/254,635 11 December 2000 (11.12.2000) US 60/262,015 17 January 2001 (17.01.2001) US

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(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

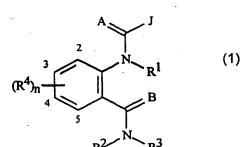
Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INSECTICIDAL ANTHRANILAMIDES





(57) Abstract: This invention provides compounds of Formula (1), their N-oxides and agriculturally suitable salts wherein A, B, J, R¹, R², R³ and R⁴ and n are as defined in the disclosure. Also disclosed are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula (1) and compositions containing the compounds of Formula (1).

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TITLE INSECTICIDAL ANTHRANILAMIDES BACKGROUND OF THE INVENTION

This invention relates to certain anthranilamides, their N-oxides, agriculturally suitable salts and compositions, and methods of their use as arthropodicides in both agronomic and nonagronomic environments.

The control of arthropod pests is extremely important in achieving high crop efficiency. Arthropod damage to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of arthropod pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health is also important. Many products are commercially available for these purposes, but the need continues for new compounds that are more effective, less costly, less toxic, environmentally safer or have different modes of action.

NL 9202078 discloses N-acyl anthranilic acid derivatives of Formula i as insecticides

$$R^3$$
 R^4
 N
 Y
 R^9
 R^8
 R^8

i

wherein, inter alia,

X is a direct bond;

Y is H or C_1 - C_6 alkyl;

Z is NH_2 , $NH(C_1-C_3$ alkyl) or $N(C_1-C_3$ alkyl)₂; and

R¹ through R⁹ are independently H, halogen, C₁-C₆ alkyl, phenyl,

hydroxy, C₁-C₆ alkoxy or C₁-C₇ acyloxy.

SUMMARY OF THE INVENTION

This invention pertains to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula 1, its N-oxide or agriculturally suitable salts

wherein

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A and B are independently O or S;

each J is independently a phenyl or naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; G; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, G, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenyl, phenoxy or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkoxy, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino,

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C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 -
C ₆ alkylaminocarbonyl, C ₃ -C ₈ dialkylaminocarbonyl or C ₃ -C ₆ trialkylsilyl; C ₁ -
C ₄ alkoxy; C ₁ -C ₄ alkylamino; C ₂ -C ₈ dialkylamino; C ₃ -C ₆ cycloalkylamino; C ₂ -
C ₆ alkoxycarbonyl or C ₂ -C ₆ alkylcarbonyl; or

- R² and R³ can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;
- G is a 5- or 6-membered nonaromatic carbocyclic or heterocyclic ring, optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂ and optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;
- each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ haloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or
- each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylthio, C₁-C₆ alkylsulfinyl, C₁-C₆ alkylsulfonyl, C₁-C₆ haloalkylthio, C₁-C₆ haloalkylsulfinyl, C₁-C₆ haloalkylsulfonyl, C₁-C₆ alkylamino, C₂-C₁₂ dialkylamino, or C₃-C₆ cycloalkylamino, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃- C_6 cycloalkyl, C_1 - C_4 alkoxy or C_2 - C_4 alkoxycarbonyl; or each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C2-C4 alkynyl, C3-C6 cycloalkyl, C1-C4 haloalkyl, C2-C4 haloalkenyl, C2-C4 halo
alkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO₂, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ 10 (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, $\mathrm{C}_1\text{-}\mathrm{C}_6$ haloalkyl, $\mathrm{C}_2\text{-}\mathrm{C}_6$ haloalkynyl, $\mathrm{C}_3\text{-}\mathrm{C}_6$ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, 15 C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C2-C8 dialkylamino, C3-C6 cycloalkylamino, C2-C6 alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8 dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or 20 each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C2-C4 alkynyl, C3-C6 cycloalkyl, C1-C4 haloalkyl, C2-C4 haloalkenyl, C2-C4 25 haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C2-C8 dialkylamino, C3-C6 cycloalkylamino, C3-C6 (alkyl)cycloalkylamino, C2-C4 alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; 30 provided that (1) when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴

- is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy; or
- (2) J is other than an optionally substituted 1,2,3-thiadiazole.

This invention also pertains to compounds of Formula 1, their N-oxides and agriculturally suitable salts

wherein

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A and B are independently O or S;

each J is independently a phenyl or naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; C¹-C6 alkyl, C²-C6 alkenyl, C²-C6 alkynyl, C³-C6 cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO², hydroxy, C¹-C4 alkoxy, C¹-C4 haloalkoxy, C¹-C4 alkylthio, C¹-C4 alkylsulfinyl, C¹-C4 alkylsulfonyl, C²-C6 alkoxycarbonyl, C²-C6 alkylcarbonyl, C³-C6 trialkylsilyl, or a phenoxy ring optionally substituted with one to three substituents independently selected from the group consisting of C¹-C4 alkyl, C²-C4 alkenyl, C²-C4 alkynyl, C³-C6 cycloalkyl, C¹-C4 haloalkyl, C²-C4 haloalkenyl, C²-C4 haloalkynyl, C³-C6 halocycloalkyl, halogen, CN, NO², C¹-C4 alkoxy, C¹-C4 haloalkoxy, C¹-C4 alkylthio, C¹-C4 alkylsulfinyl, C¹-C4 alkylsulfonyl, C¹-C4 alkylamino, C²-C8 dialkylamino, C³-C6 cycloalkylamino, C³-C6 (alkyl)cycloalkylamino, C²-C6 alkylcarbonyl, C²-C6

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- R² and R³ can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;
- each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or
- each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R^5 is independently C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylaminocarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, or C_3 - C_8 dialkylaminocarbonyl; or $(R^5)_2$ attached to adjacent carbon atoms can be taken together as -OCF $_2$ O-,

-CF $_2$ CF $_2$ O-, or -OCF $_2$ CF $_2$ O-;

- each R^6 is independently H, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy or C_2 - C_4 alkoxycarbonyl; or
- each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkyl, C₁-C₄ alkoxy. C₁-C₄

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haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

- each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₂-C₆ alkylamino, C₂-C₆ alkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or 5- or 6-membered heteroaromatic ring 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₈ dialkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that

- (i) at least one R⁴ and at least one R⁷ are other than H;
- (ii) J is other than an optionally substituted 1,2,3-thiadiazole;
- (iii) when J is an optionally substituted pyridine and R² is H, R³ is other than H or CH₃;
- (iv) when J is an optionally substituted pyridine, then R⁷ cannot be CONH₂, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;
- (v) when J is an optionally substituted pyrazole, tetrazole or pyrimidine, then R² and R³ cannot both be hydrogen.

This invention also pertains to arthropodicidal compositions comprising an arthropodicidally effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

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DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, n-propyl, i-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2" alkyl" indicates that one or two of the available positions for that substituent may be alkyl. "Alkenyl" includes straight-chain or branched alkenes such as 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, n-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "heterocyclic ring" or heterocyclic ring system" denotes rings or ring systems in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs. The heterocyclic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen. The term "aromatic ring system" denotes fully unsaturated carbocycles and heterocycles in which the polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied for the ring system). The term "heteroaromatic ring" denotes fully aromatic rings in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs (where aromatic indicates that the Hückel rule is satisfied). The heterocyclic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen. The term "aromatic heterocyclic ring system" includes fully aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "fused heterobicyclic ring system" includes a ring system comprised of two fused rings in which at least one ring atom is not carbon and can be aromatic or non aromatic, as defined above.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluoring chloring browing or inding. Further, when used in compound words such as

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"haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include HC=CCHCl, $CF_3C=C$, $CCl_3C=C$ and $FCH_2C=CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , HCF_2CH_2O and CF_3CH_2O .

The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 6. For example, C₁-C₃ alkylsulfonyl designates methylsulfonyl through propylsulfonyl; C₂ alkoxyalkyl designates CH₃OCH₂; C₃ alkoxyalkyl designates, for example, CH₃CH(OCH₃), CH₃OCH₂CH₂ or CH₃CH₂OCH₂; and C₄ alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including CH₃CH₂OCH₂ and CH₃CH₂OCH₂CH₂. In the above recitations, when a compound of Formula 1 contains a heterocyclic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R³, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The present invention comprises compounds selected from Formula 1, N-oxides and agriculturally suitable salts thereof. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form N-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form N-oxides. One skilled in the art will also recognize that tertiary amines can form N-oxides. Synthetic methods for the preparation of N-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and m-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as t-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethydioxirane. These methods for the preparation of N-oxides have been extensively described and reviewed in the

literature, see for example: T. L. Gilchrist in Comprehensive Organic Synthesis, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in Comprehensive Heterocyclic Chemistry, vol. 3, pp 18-19, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in Advances in Heterocyclic Chemistry, vol. 43, pp 139-151, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in Advances in Heterocyclic Chemistry, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in Advances in Heterocyclic Chemistry, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids.

Of note are certain compounds of Formula II

$$(\mathbb{R}^4)_n$$
 $(\mathbb{R}^5)_m$
 \mathbb{R}^1
 \mathbb{R}^2
 \mathbb{R}^3

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wherein

X and Y are O;

m is 1 to 5;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

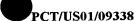
25 R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

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R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

 R^3 is *i*-propyl or *t*-butyl; and

each R⁴ and R⁵ are independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₃-C₆ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁴ and R⁵ are independently phenyl optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haolalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Also of note are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula II and insecticidal compositions thereof.

Also of note are certain compounds of Formula III

wherein

A and B are independently O or S;

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J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶, or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

- R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or
- R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or C_3 - C_8 dialkylaminocarbonyl;
- R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;
- R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl and C₁-C₄ alkylsulfonyl; or
- R² and R³ can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;
- each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ alkylamino, C₂-C₆ alkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ alkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haolalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆

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- alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;
- each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or
- (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;
- each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or
- each R⁶ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haolalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₂-C₆ alkylamino, C₂-C₆ alkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- each R⁷ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Also of note are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula III and insecticidal compositions thereof.

Also of note are certain compounds of Formula IV

$$(R^4)_n$$
 A
 I
 R^1
 R^2
 R^3

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wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶, or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl and C₁-C₄ alkylsulfonyl; C₁-C₄ alkoxy; C₁-C₄ alkylamino; C₂-C₈ dialkylamino; C₃-C₆ cycloalkylamino; C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl; or

R² and R³ can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen sulfur or oxygen said ring may be optionally substituted with 1 to 4

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substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN, NO_2 and C_1 - C_2 alkoxy;

- each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haolalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;
- each R^6 is independently H, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy; or
- each R⁶ is independently a phenyl, benzyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₆ dialkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl C₂-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl:

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eac	th \mathbb{R}^7 is independently H, \mathbb{C}_1 - \mathbb{C}_6 alkyl, \mathbb{C}_2 - \mathbb{C}_6 alkenyl, \mathbb{C}_2 - \mathbb{C}_6 alkynyl, \mathbb{C}_3 - \mathbb{C}_6
	cycloalkyl, C ₁ -C ₆ haloalkyl, C ₂ -C ₆ haloalkenyl, C ₂ -C ₆ haloalkynyl, C ₃ -C ₆
	halocycloalkyl, halogen, CN, CO ₂ H, CONH ₂ , NO ₂ , hydroxy, C ₁ -C ₄ alkoxy,
	C ₁ -C ₄ haloalkoxy, C ₁ -C ₄ alkylthio, C ₁ -C ₄ alkylsulfinyl, C ₁ -C ₄ alkylsulfonyl,
	C ₁ -C ₄ haloalkylthio, C ₁ -C ₄ haloalkylsulfinyl, C ₁ -C ₄ haloalkylsulfonyl, C ₁ -C ₄
	alkylamino, C2-C8 dialkylamino, C3-C6 cycloalkylamino, C2-C6 alkylcarbonyl,
	C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8 dialkylaminocarbonyl
	C ₃ -C ₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haolalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that when A and B are both O, R^2 is H or C_1 - C_3 alkyl, R^3 is H or C_1 - C_3 alkyl and R^4 is H, halogen, C_1 - C_6 alkyl, phenyl, hydroxy or C_1 - C_6 alkoxy, then one R^5 is other than halogen, C_1 - C_6 alkyl, hydroxy or C_1 - C_6 alkoxy.

Also of note are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula IV and insecticidal compositions thereof.

Preferred methods for reasons of better activity are:

Preferred 1. Methods comprising compounds of Formula 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

Preferred 2. Methods of Preferred 1 wherein

A and B are both O;

n is 1 to 2;

 R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

- R^3 is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkylylylinol, C_1 - C_2 alkylylylinol, and C_1 - C_2 alkylylylinol,
- one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄

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	alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl or C_1 - C_4
	haloalkylsulfonyl;
each R	is independently C ₁ -C ₄ haloalkyl, CN, NO ₂ , C ₁ -C ₄ haloalkoxy, C ₁ -C ₄
	alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4
	haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 -
•	C ₄ alkoxycarbonyl; or
$(R^5)_2$ v	when attached to adjacent carbon atoms can be taken together as -OCF ₂ O-,
	-CF ₂ CF ₂ O- or -OCF ₂ CF ₂ O-; and
each R	6 is independently H, halogen, C ₁ -C ₄ alkyl, C ₁ -C ₂ alkoxy or C ₂ -C ₄ alkoxycarbonyl, or
anah Di	6 is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each
each K	
	ring optionally substituted with C ₁ -C ₄ alkyl, C ₂ -C ₄ alkenyl, C ₂ -C ₄
	alkynyl, C ₃ -C ₆ cycloalkyl, C ₁ -C ₄ haloalkyl, C ₂ -C ₄ haloalkenyl, C ₂ -C ₅
••	haloalkynyl, C ₃ -C ₆ halocycloalkyl, halogen, CN, NO ₂ , C ₁ -C ₄ alkoxy,
	C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4
	alkylsulfonyl, C ₁ -C ₄ alkylamino, C ₂ -C ₈ dialkylamino, C ₃ -C ₆
•	cycloalkylamino, C ₃ -C ₆ (alkyl)cycloalkylamino, C ₂ -C ₄ alkylcarbonyl
	C ₂ -C ₆ alkoxycarbonyl, C ₂ -C ₆ alkylaminocarbonyl, C ₃ -C ₈
	dialkylaminocarbonyl or C ₃ -C ₆ trialkylsilyl.
Preferred 3. Me	ethods of Preferred 2 wherein
\mathbb{R}^1 and	R ² are both H;
\mathbb{R}^3 is C	C ₁ -C ₄ alkyl optionally substituted with halogen, CN, OCH ₃ , S(O) _p CH ₃ ;
	⁴ is independently H, CH ₃ , CF ₃ , OCF ₃ , OCHF ₂ , S(O) _p CF ₃ , S(O) _p CHF ₂ , CN
	or halogen;
each R	5 is independently CF ₃ , OCF ₃ , OCHF ₂ , S(O) _p CF ₃ , S(O) _p CHF ₂ , OCH ₂ CF ₃ ,
	OCF ₂ CHF ₂ , S(O) _p CH ₂ CF ₃ or S(O) _p CF ₂ CHF ₂ ;
each R	6 is independently H, halogen or methyl; or phenyl, pyrazole, imidazole,
	triazole, pyridine or pyrimidine, each ring optionally substituted with

30 p is 0, 1 or 2.

Preferred 4. Methods of Preferred 3 wherein \mathbb{R}^3 is *i*-propyl or *t*-butyl.

Preferred 5. Methods comprising compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

Preferred 6. Methods of Preferred 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷

Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

Preferred 7. Methods of Preferred 5 or Preferred 6 wherein

A and B are O;

n is 1 to 2;

 R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

 R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfinyl, or C_1 - C_4 haloalkylsulfinyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl C₂-C₄ alkylsulfonyl, C₁-C₄ alkylamino. C₂-C₆

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dialkylamino, C ₃ -C ₆ cycloalkylamino, C ₃ -C ₆ (alkyl)cycloalkylamin	10,
C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6	
alkylaminocarbonyl, C ₃ -C ₈ dialkylaminocarbonyl or C ₃ -C ₆ trialkyl	silyl

Preferred 8. Methods of Preferred 7 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R⁷.

Preferred 9. Methods of Preferred 8 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷; R¹ and R² are both H;

 R^3 is C_1 - C_4 alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R^4 is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, halogen or CN; and

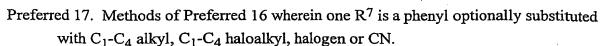
p is 0, 1 or 2.

- Preferred 10. Methods of Preferred 9 wherein J is a pyridine optionally substituted with 1 to 3 R⁷.
- Preferred 11. Methods of Preferred 10 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
- Preferred 12. Methods of Preferred 10 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
- Preferred 13. Methods of Preferred 9 wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.
- Preferred 14. Methods of Preferred 13 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
- Preferred 15. Methods of Preferred 13 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
- Preferred 16. Methods of Preferred 9 wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.

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- Preferred 18. Methods of Preferred 16 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
- Preferred 19. Methods of Preferred 18 wherein R^7 is a pyridine optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.

Most preferred is the method comprising a compound of Formula 1 selected from the group consisting of:

3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,
2-methyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-4(trifluoromethyl)benzamide,
2-methyl-N-[2-methyl-6-[((1-methylethyl)amino]carbonyl]phenyl]-6-

2-methyl-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,

1-(2-fluorophenyl)-N-[2-methyl-6-[[(1-methylethyl)amino)carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(3-chloro-2-pyridinyl)-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,

N-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[(1-

methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide, and

3-bromo-*N*-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1*H*-pyrazole-5-carboxamide.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred A. Compounds of Formula 1 wherein J is a phenyl group substituted with 1 to $2 R^5$ and optionally substituted with 1 to $3 R^6$.

30 Preferred B. Compounds of Preferred A wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

R³ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the



	group consisting of halogen, CN, C1-C2 alkoxy, C1-C2 alkylthio, C1-C2
	alkylsulfinyl and C_1 - C_2 alkylsulfonyl;
	one of the R ⁴ groups is attached to the phenyl ring at the 2-position or 5-
	position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN,
5	NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4
	alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4
	haloalkylsulfinyl or C ₁ -C ₄ haloalkylsulfonyl;
	each R ⁵ is independently C ₁ -C ₄ haloalkyl, CN, NO ₂ , C ₁ -C ₄ haloalkoxy, C ₁ -
	C ₄ alkylthio, C ₁ -C ₄ alkylsulfinyl, C ₁ -C ₄ alkylsulfonyl, C ₁ -C ₄
10	haloalkylthio, C ₁ -C ₄ haloalkylsulfinyl, C ₁ -C ₄ haloalkylsulfonyl or C ₂ -
	C ₄ alkoxycarbonyl; or
	(R ⁵) ₂ when attached to adjacent carbon atoms can be taken together as -
	OCF ₂ O-, -CF ₂ CF ₂ O- or -OCF ₂ CF ₂ O-; and
	each R ⁶ is independently H, halogen, C ₁ -C ₄ alkyl, C ₁ -C ₂ alkoxy or C ₂ -C ₄
15	alkoxycarbonyl, or
	each R ⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring,
	each ring optionally substituted with C1-C4 alkyl, C2-C4 alkenyl, C2-C4
	alkynyl, C ₃ -C ₆ cycloalkyl, C ₁ -C ₄ haloalkyl, C ₂ -C ₄ haloalkenyl, C ₂ -C ₄
•	haloalkynyl, C ₃ -C ₆ halocycloalkyl, halogen, CN, NO ₂ , C ₁ -C ₄ alkoxy,
20	C ₁ -C ₄ haloalkoxy, C ₁ -C ₄ alkylthio, C ₁ -C ₄ alkylsulfinyl, C ₁ -C ₄
	alkylsulfonyl, C ₁ -C ₄ alkylamino, C ₂ -C ₈ dialkylamino, C ₃ -C ₆
	cycloalkylamino, C ₃ -C ₆ (alkyl)cycloalkylamino, C ₂ -C ₄ alkylcarbonyl,
	C ₂ -C ₆ alkoxycarbonyl, C ₂ -C ₆ alkylaminocarbonyl, C ₃ -C ₈
	dialkylaminocarbonyl or C ₃ -C ₆ trialkylsilyl.
25	Preferred C. Compounds of Preferred B wherein
	R^1 and R^2 are both H;
	R ³ is C ₁ -C ₄ alkyl optionally substituted with halogen, CN, OCH ₃ , S(O) _p CH ₃ ;
	each R ⁴ is independently H, CH ₃ , CF ₃ , OCF ₃ , OCHF ₂ , S(O) _p CF ₃ ,
	S(O) _p CHF ₂ , CN or halogen;
30	each R ⁵ is independently CF ₃ , OCF ₃ , OCHF ₂ , S(O) _p CF ₃ , S(O) _p CHF ₂ ,
	OCH ₂ CF ₃ , OCF ₂ CHF ₂ , S(O) _p CH ₂ CF ₃ or S(O) _p CF ₂ CHF ₂ ;
	each R ⁶ is independently H, halogen or methyl; or phenyl, pyrazole,
	imidazole, triazole, pyridine or pyrimidine, each ring optionally
	substituted with C ₁ -C ₄ alkyl, C ₁ -C ₄ haloalkyl, halogen or CN; and
35	p is 0, 1 or 2.
	Preferred D. Compounds of Preferred C wherein R ³ is <i>i</i> -propyl or <i>t</i> -butyl.
	Preferred E. Compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic
	ring ontionally substituted with 1 to 4 R ⁷ .

Preferred F. Compounds of Preferred E wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷

$$\begin{array}{c|ccccc}
Q - X & X = Y & X = Y \\
Y & Z & N & Z & R^7
\end{array}$$

$$\begin{array}{c|ccccc}
Y & X = Y & X = Y & R^7 & R$$

Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

Preferred G. Compounds of Preferred E or Preferred F wherein

A and B are O;

n is 1 to 2;

 R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

 R^3 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkylsulfinyl and C_1 - C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfinyl, C_1 - C_4 haloalkylsulfinyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or a phenyl or a 5- or

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6-membered heteroaromatic ring, each ring optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO₂, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_6 trialkylsilyl.

Preferred H. Compounds of Preferred G wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R⁷.

Preferred I. Compounds of Preferred H wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷; R¹ and R² are both H:

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, halogen or CN; and

p is 0, 1 or 2.

- Preferred J. Compounds of Preferred I wherein J is a pyridine optionally substituted with 1 to 3 R⁷.
- Preferred K. Compounds of Preferred J wherein one \mathbb{R}^7 is a phenyl optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
- Preferred L. Compounds of Preferred J wherein one \mathbb{R}^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
- Preferred M. Compounds of Preferred I wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.
- Preferred N. Compounds of Preferred M wherein one \mathbb{R}^7 is a phenyl optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.

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Preferred O. Compounds of Preferred M wherein one R^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.

- Preferred P. Compounds of Preferred I wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.
- Preferred Q. Compounds of Preferred P wherein one \mathbb{R}^7 is a phenyl optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
- Preferred R. Compounds of Preferred P wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
- Preferred S. Compounds of Preferred R wherein \mathbb{R}^7 is a pyridine optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
- Most preferred is the compound of Formula 1 selected from the group consisting of: 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide, 2-methyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,
 - 2-methyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,
 - $\label{lem:lemma$
 - (trifluoromethyl)-1H-pyrazole-5-carboxamide,
 - 1-(2-fluorophenyl)-N-[2-methyl-6-[[(1-methylethyl)amino)carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,
 - 1-(3-chloro-2-pyridinyl)-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,
 - *N*-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,
 - ${\it 3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[(1-methyl-6-[[1-methyl-6-[[1-methyl-6-[[1-methyl-6-[1-meth$
 - methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide, and
 - 3-bromo-N-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-
 - chlorophenyl)-1*H*-pyrazole-5-carboxamide.

Preferred compositions are those comprising compounds of formula 1 as preferred in Preferred 1 through 19, and the specifically preferred compounds above.

As noted above, each J is independently a phenyl group or a naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶; or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷. The term "optionally substituted" in connection with these J groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not

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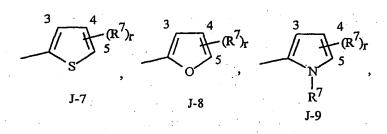
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extinguish the arthropodicidal activity possessed by the unsubstituted analog. Note also that J-1 through J-5 above denote 5- or 6-membered heteroaromatic rings. An example of phenyl substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶ is the ring illustrated as J-6 in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that at least one R⁵ must be present in J-6. Although R⁶ groups are shown in the structure J-6, it is noted that they do not need to be present since they are optional substituents. An example of a naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶ is J-59 illustrated in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that at least one R⁵ must be present in J-59. Although R⁶ groups are shown in the structure J-59, it is noted that they do not need to be present since they are optional substituents. Examples of 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷ include the rings J-7 through J-58 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Note that J-7 through J-26 are examples of J-1, J-27 through J-41 are examples of J-2, J-42 through J-44 are examples of J-3, J-46 through J-53 are examples of J-4 and J-54 through J-58 are examples of J-5. The nitrogen atoms that require substitution to fill their valence are substituted with R⁷. Note that some J groups can only be substituted with less than 4 R⁷ groups (e.g. J-19, J-20, J-23 through J-26 and J-37 through J-40 can only be substituted with one R⁷). Examples of aromatic 8-, 9- or 10-membered fused heterobicyclic ring systems optionally substituted with 1 to 4 R⁷ include J-60 through J-90 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Although R⁷ groups are shown in the structures J-7 through J-58 and J-60 through J-90, it is noted that they do not need to be present since they are optional substituents. Note that when R⁵, R6 and/or R⁷ are H when attached to an atom, this is the same as if said atom is unsubstituted. Note that when the attachment point between $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ and the J group is illustrated as floating, $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ can be attached to any available carbon atom of the J group. Note that when the attachment point on the J group is illustrated as floating, the J group can be attached to the remainder of Formula 1 through any available carbon of the J group by replacement of a hydrogen atom.

Exhibit 1

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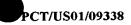


$$(R^7)_r$$
 $(R^7)_r$ $(R^7)_r$ $(R^7)_r$ $(R^7)_r$ $(R^7)_r$ $(R^7)_r$ $(R^7)_r$

$$(R^7)_r$$
, $(R^7)_r$,

$$N-N$$
 R^7
 R^7

$$R^7$$
 R^7
 R^7



J-47

J-46

J-48

J-82

$$J-73$$
 $J-74$ $J-75$

$$J$$
-76 J -77 J -78

J-83

$$\sum_{(\mathbb{R}^7)_n}^{\mathbb{R}^7}$$
 \mathbb{R}^7 \mathbb{R}^7

J-84

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As noted above, G is a 5- or 6-membered nonaromatic carbocyclic or heterocyclic ring, optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂ and optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy. The term "optionally substituted" in connection with these G groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the arthropodicidal activity possessed by the unsubstituted analog. Note that when the attachment point on the G group is illustrated as floating, the G group can be attached to the remainder of Formula 1 through any available carbon of the G group by replacement of a hydrogen atom. The optional substituents can be attached to any available carbon by replacing a hydrogen atom. Examples of 5- or 6-membered nonaromatic carbocyclic rings as G include the rings illustrated as G-1 through G-8 of Exhibit 2, wherein such rings are optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy. Examples of 5- or 6-membered nonaromatic heterocyclic rings as G include the rings illustrated as G-9 through G-48 of Exhibit 2, wherein such rings are optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy. Note that when G comprises a ring selected from G-31 through G-34, G-37 and G-38, Q¹ is selected from O, S or N. Note that when G is G-11, G13, G-14, G16, G-23, G-24, G-30 through G-34, G-37 and G-38 and Q1 is N, the nitrogen atom can complete its valence by substitution with either H or C₁-C₂ alkyl.

Exhibit 2

$$G-1$$
 $G-2$ $G-3$ $G-4$ $G-5$ $G-6$ $G-7$ $G-8$











G-9

G-10

G-11

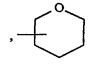
G-12

G-13



 $\overrightarrow{,}$

- $\binom{N}{0}$



G-14

G-15

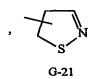
G-16

G-17





, \(\sqrt{0} \)
G-20



N, N

G-18



ZNN ZNN

G-25



$$, \stackrel{\mathsf{N}}{\longleftarrow},$$

G-27

G-23

G-24

, |

, — N

G-28

G-29

G-30



Ql





G-31

G-32

G-33

G-34



SO₂





G-35

G-36

G-37

G-38

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As noted above, each R⁶ and each R⁷ can be independently (among others) 5- or 6membered heteroaromatic rings or aromatic 8-, 9- or 10-membered fused heterobicyclic ring systems, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C2-C4 alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl. Examples of such R⁶ and R⁷ groups include the rings or ring systems illustrated as rings J-7 through J-58 and J-60 through J-90 illustrated in Exhibit 1, except that such rings are optionally substituted with 1 to 3 substituents selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C2-C4 alkynyl, C3-C6 cycloalkyl, C1-C4 haloalkyl, C2-C4 haloalkenyl, C2-C4 haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8 dialkylaminocarbonyl or C3-C6 trialkylsilyl rather than (R⁷)_r. Note that these substituents can be attached to any available carbon atom of the J group by replacement of a hydrogen atom. Note that when the attachment point on the J group is illustrated as floating, the J group can be attached to the remainder of Formula 1 through any available carbon of the J group by replacement of a hydrogen atom.

One or more of the following methods and variations as described in Schemes 1-17 can be used to prepare the compounds of Formula 1. The definitions of A, B, J, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, m and n in the compounds of Formulae 1-34 below are as defined above in the Summary of the Invention. Compounds of Formulae 1a-c, 2a-b, 4a-g, 5a-b are various subsets of the compounds of Formula 1, 2, 4 and 5.

Compounds of Formula 1 can be prepared by procedures outlined in Schemes 1-17. A typical procedure is detailed in Scheme 1 and involves coupling of an anthranilic amide of Formula 2 with an acid chloride of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine; other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thio transfer reagents including phosphorus pentasulfide and Lawesson's reagent.

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Scheme 1

An alternate procedure for the preparation of compounds of Formula 1a involves coupling of an anthranilic amide of Formula 2 with an acid of Formula 4 in the presence of a dehydrating agent such as dicyclohexylcarbodiimide (DCC). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. Synthetic procedures of Schemes 1 and 2 are only representative examples of useful methods for the preparation of Formula 1 compounds as the synthetic literature is extensive for this type of reaction.

One skilled in the art will also realize that acid chlorides of Formula 3 may be prepared from acids of Formula 4 by numerous well-known methods.

Anthranilic amides of Formula 2a are typically available from the corresponding 2-nitrobenzamides of Formula 5 via catalytic hydrogenation of the nitro group. Typical procedures involve reduction with hydrogen in the presence of a metal catalyst such as palladium on carbon or platinum oxide and in hydroxylic solvents such as ethanol and isopropanol. These procedures are well documented in the chemical literature. R¹ substituents such as alkyl, substituted alkyl and the like can generally be introduced at this stage through known procedures including either direct alkylation or through the generally preferred method of reductive alkylation of the amine. A commonly employed procedure is to combine the aniline 2a with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride to produce the Formula 2b compounds where R¹ is alkyl, alkenyl, alkynyl or substituted derivatives thereof.

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Scheme 3

$$(R^4)_n$$
 R^2
 R^3
 R^2
 R^3
 R^3
 R^2
 R^3
 R^3
 R^2
 R^3
 R^3

The intermediate amides of Formula 5a are readily prepared from commercially available 2-nitrobenzoic acids. Typical methods for amide formation can be applied here. These include direct dehydrative coupling of acids of Formula 6 with amines of Formula 7 using for example DCC, and conversion of the acids to an activated form such as the acid chlorides or anhydrides and subsequent coupling with amines to form amides of Formula 5a. We have found ethylchloroformate to be an especially useful reagent for this type of reaction involving activation of the acid. The chemical literature is extensive on this type of reaction. Amides of Formula 5a are readily converted to thioamides of Formula 5b by using commercially available thio transfer reagents such as phosphorus pentasulfide and Lawesson's reagent.

Scheme 4

$$(R^4)_n$$

OH

 R^2
 R^3

amide formation

 $R^4)_n$
 R^2
 R^3
 R^3

Benzoic acids of Formula 4 (J is optionally substituted phenyl) are generally well known in the art as are procedures for their preparation. One particularly useful subset of benzoic acids of this invention are 2-methyl-4-perfluoroalkyl benzoic acids of Formula 4a (R⁵ equals e.g. CF₃, C₂F₅, C₃F₇). The synthesis for these compounds is outlined in Schemes 5-9. Benzoic acids of Formula 4a may be prepared from the benzonitriles of Formula 8 by hydrolysis. The conditions used may involve the use of a base such as an alkaline metal hydroxide or alkoxide (e.g. potassium or sodium hydroxide) in a solvent such as water, ethanol or ethylene glycol (e.g. J. Chem. Soc. 1948, 1025). Alternatively, the

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hydrolysis may be carried out using an acid such as sulfuric acid or phosphoric acid in a suitable solvent such as water (e.g. *Org. Synth.* 1955, Coll vol. 3, 557). The choice of the conditions is contingent on the stability of R⁵ to the reaction conditions and elevated temperatures are usually employed to achieve this transformation.

Scheme 5

NC
$$\mathbb{R}^5$$
 Hydrolysis \mathbb{R}^5 OH \mathbb{R}^6 \mathbb{R}^6 is Me

Nitriles of Formula 8 may be prepared from anilines of Formula 9 by the classical sequence involving diazotization and treatment of the intermediate diazonium salt with a copper cyanide salt (e.g. J. Amer. Chem. Soc. 1902, 24, 1035).

Scheme 6

Anilines of Formula 9 may be prepared from compounds of Formula 10. This transformation may be achieved by a well-known procedure that employs Raney Nickel (Org. Synth. Coll. Vol VI, 581). Alternatively, the same transformation may be effected by the use of a suitable catalyst such as palladium in the presence of hydrogen. The reaction is usually conducted at pressures of 10² to 10⁵ kPa in a suitable organic solvent such as, but not limited to, toluene. Elevated temperatures of 80-110°C are usually required to achieve the transformation. As one skilled in the art will realize, numerous chemical modifications of the thioether moiety are possible, and may be employed when necessary to facilitate this transformation.

Scheme 7

Compounds of Formula 10 may be prepared from iminosulfuranes of Formula 11. The transformation may be achieved in a protic solvent such as methanol or water, in a non-protic solvent such as dichloromethane or toluene in the presence of a suitable base such as triethylamine (e.g. Org. Synth. Coll. Vol. VI, 581) or sodium methoxide, or in a combination of a protic solvent, a protic solvent and a base. The temperature at which the reaction is conducted is usually in the range 40-110°C. As one skilled in the art will realize, suitable salts of compounds of Formula 11 such as, but not limited to a hydrochloride, a sulfate or a bisulfate may also be employed, provided that the appropriate amount of base is first used to generate the free base 11. This may be done as a separate step or as an integral part of the step involving the transformation of compounds of Formula 11 to compounds of Formula 10.

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Scheme 8

Compounds of Formula 11 may be prepared from anilines of Formula 12 by reaction with dimethyl sulfide and a suitable chlorinating agent such as, but not limited to N-chlorosuccinimide (e.g. Org. Synth. Coll. Vol. VI, 581), chlorine or N-chlorobenzotriazole. Alternatively, anilines of Formula 12 may be treated with dimethyl sulfoxide which has been "activated" by treatment with an agent such as acetic anhydride, trifluoroacetic, anhydride, trifluoromethanesulfonic anhydride, cyclohexylcarbodiimide, sulfur trioxide, or phosphorus pentoxide. The reaction is conducted in a suitable organic solvent such as dichloromethane or dimethyl sulfoxide. The reaction is conducted at a temperature of -70°C to 25°C and is dependent on the solvent and reagent used.

Scheme 9

Intermediate anthranilic amides of Formula 2a and 2b may also be prepared from isatoic anhydrides of Formula 13 and 14 (Scheme 10). Typical procedures involve combination of equimolar amounts of the amine 7 with the isatoic anhydride in polar aprotic solvents such as pyridine and dimethylformamide at temperatures ranging from room temperature to 100° C. R¹ substituents such as alkyl and substituted alkyl may be introduced by the base catalyzed alkylation of isatoic anhydride 13 with known alkylating reagents R¹-Lg (wherein Lg is a leaving group such as halogen, alkyl or aryl suphonates or alkyl sulfates) to provide the alkyl substituted intermediates 14. Isatoic anhydrides of Formula 13 may be made by methods described in Coppola, Synthesis 505-36 (1980).

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Scheme 10

An alternate procedure for the preparation of specific compounds of Formula 1 (where A is O, B is O and R¹ is H) involves reaction of an amine 7 with a benzoxazinone of Formula 15. Typical procedures involve combination of the amine with the benzoxazinone in solvents such as tetrahydrofuran or pyridine at temperatures ranging from room

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temperature to the reflux temperature of the solvent. Benzoxazinones are well documented in the chemical literature and are available via known methods that involve the coupling of either an anthranilic acid or an isatoic anhydride with an acid chloride. For references to the synthesis and chemistry of Benzoxazinones see Jakobsen et al, *Biorganic and Medicinal Chemistry*, 2000, 8, 2095-2103 and references cited within. See also Coppola, *J. Heterocyclic Chemistry*, 1999, 36, 563-588.

Scheme 11

$$(R_4)_n \xrightarrow{N}_{O} + R^2 \xrightarrow{N}_{R^3} \qquad (R_4)_n \xrightarrow{N}_{H}$$

$$R_2 \xrightarrow{N}_{R^3}$$
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(A is O, B is O, R1 is H)

Heterocyclic acids 4, where J is equal to an optionally substituted heterocycle, can be prepared by procedures outlined in Schemes 12-17. Both general and specific references to a wide variety of heterocyclic acids including thiophenes, furans, pyridines, pyrimidines, triazoles, imidazoles, pyrazoles, thiazoles, oxazoles, isothiazoles, thiadiazoles, oxadiazoles, triazines, pyrazines, pyridazines, and isoxazoles can be found in the following compendia: Rodd's Chemistry of Chemistry of Carbon Compounds, Vol. IVa to IVI., S. Coffey editor, Elsevier Scientific Publishing, New York, 1973; Comprehensive Heterocyclic Chemistry, Vol. 1-7, A. R. Katritzky and C. W. Rees editors, Pergamon Press, NewYork, 1984; Comprehensive Heterocyclic Chemistry II, Vol. 1-9, A. R. Katritzky, C. W. Rees, and E. F. Scriven editors, Pergamon Press, NewYork, 1996; and the series, The Chemistry of Heterocyclic Compounds, E. C. Taylor, editor, Wiley, New York. Particularly useful heterocyclic acids of this invention include pyridine acids, pyrimidine acids and pyrazole acids. Procedures for the synthesis of representative examples of each are detailed in Schemes 12-17. A variety of heterocyclic acids and general methods for their synthesis may be found in World Patent Application WO 98/57397.

The synthesis of representative pyridine acids (4b) is depicted in Scheme 12. This procedure involves the known synthesis of pyridines from β -ketoesters and 4-aminobutenones (19). Substituent groups $R^7(a)$ and $R^7(b)$ include e.g. alkyl and haloalkyl.



Scheme 12

The synthesis of representative pyrimidine acids (4c) is depicted in Scheme 13. This procedure involves the known synthesis of pyrimidines from vinylidene- β -ketoesters (22) and amidines. Substituent groups $R^7(a)$ and $R^7(b)$ include e.g. alkyl and haloalkyl.

Scheme 13

HC(OEt)₃

Ac₂O, heat

$$R^{7}(b)$$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$

The synthesis of representative pyrazole acids (4d-4g) is depicted in Schemes 14-17. Pyrazoles 4d are described in Scheme 14. The synthesis of Scheme 14 involves as the key

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step introduction of the R⁷(b) substituent via alkylation of the pyrazole. The alkylating agent R⁷(b)-Lg (wherein Lg is a leaving group such as Cl, Br, I, sulfonates such as ptoluenesulfonate or methanesulfonate or sulfates such as -SO₂OR⁷(b)) includes R⁷(b) groups such as C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkocycloalkyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl; or phenyl, benzyl, benzoyl, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring or ring system optionally substituted. Oxidation of the methyl group affords the pyrazole carboxylic acid. Some of the more preferred R⁷(a) groups include haloalkyl.

Pyrazoles 4e are described in Scheme 15. These pyrazole acids may be prepared via metallation and carboxylation of pyrazoles of formula 28 as the key step. The $R^7(b)$ group is introduced in a manner similar to that of Scheme 14, i.e. via alkylation with a $R^7(b)$ alkylating agent. Representative $R^7(a)$ groups include e.g. cyano, and haloalkyl.



Scheme 15

$$R^{7}(a)$$
 $+ R^{7}(b)$ -Lg

 $K_{2}CO_{3}$
 DMF
 $R^{7}(a)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$

Pyrazoles 4f are described in Scheme 16. These can be prepared via reaction of an optionally substituted phenyl hydrazine 29 with a pyruvate 30 to yield pyrazole esters 31. Hydrolysis of the ester affords the pyrazole acids 4f. This procedure is particularly useful for the preparation of compounds where R⁷(b) is optionally substituted phenyl and R⁷(a) is haloalkyl.

Scheme 16

$$R^{7}(b)$$
-NHNH₂ + $R^{7}(a)$
 $CO_{2}Et$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$

Pyrazoles acids of Formula 4g are described in Scheme 17. These can be prepared via 3+2 cycloaddition of an appropriately substituted nitrilimine with either substituted propiolates (33) or acrylates (36). Cycloaddition with acrylates requires additional oxidation of the intermediate pyrazoline to the pyrazole. Hydrolysis of the ester affords the pyrazole acids 4g. Preferred iminohalides for this reaction include the trifluoromethyl iminochloride (38) and the iminodibromide (39). Compounds such as 38 are known (*J. Heterocycl. Chem.* 1985, 22(2), 565-8). Compounds such as 39 are available by known methods (*Tetrahedron Letters* 1999, 40, 2605). These procedures are particularly useful for the preparation of compounds where R⁷(b) is optionally substituted phenyl and R⁷(a) is haloalkyl or bromo.

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Scheme 17

$$R^{7}(a)$$
 $R^{7}(a)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula 1 may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula 1. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula 1.

One skilled in the art will also recognize that compounds of Formula 1 and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

EXAMPLE 1

Step A: Preparation of 3-methyl-N-(1-methylethyl)-2-nitrobenzamide

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A solution of 3-methyl-2-nitrobenzoic acid (2.00 g, 11.0 mmol) and triethylamine (1.22 g, 12.1 mmol) in 25 mL of methylene chloride was cooled to 10°C. Ethyl chloroformate was carefully added and a solid precipitate formed. After stirring for 30 minutes isopropylamine (0.94 g, 16.0 mmol) was added and a homogeneous solution resulted. The reaction was stirred for an additional hour, poured into water and extracted with ethyl acetate. The organic extracts were washed with water, dried over magnesium sulfate and evaporated under reduced pressure to afford 1.96 g of the desired intermediate as a white solid melting at 126-128 °C.

¹H-NMR (CDCl₃) δ 1.24 (d,6H), 2.38 (s,3H), 4.22 (m,1H), 5.80 (br s,1H), 7.4 (m,3H). Step B: Preparation of 2-amino-3-methyl-N-(1-methylethyl)benzamide

The 2-nitrobenzamide of Step A (1.70 g, 7.6 mmol) was hydrogenated over 5% Pd/C in 40 mL of ethanol at 50 psi. When the uptake of hydrogen ceased the reaction was filtered through celite and the celite was washed with ether. The filtrate was evaporated under reduced pressure to afford 1.41 g of the title compound as a solid melting at 149-151 °C.

 1 H NMR (CDCl₃) δ 1.24 (dd,6H), 2.16 (s,3H), 4.25 (m,1H), 5.54 (br s,2H), 5.85 (br s,1H), 6.59 (t,1H), 7.13 (d,1H), 7.17 (d,1H).

Step C: Preparation of 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethoxy)benzoyl]amino]benzamide

4-(trifluoromethoxy)benzoyl chloride (0.29 g, 1.3 mmol) was added dropwise to a mixture of the aniline from Step B (0.25 g, 1.3 mmol) and triethylamine (0.13 g, 1.3 mmol) in 5 mL of methylene chloride at room temperature. After stirring for one hour the reaction was poured into water and extracted with ethyl acetate. The combined extracts were dried over magnesium sulfate and evaporated under reduced pressure. The resulting solids were

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washed with hexane/ether and filtered to afford 0.41 g of the title compound, a compound of the present invention, as a solid melting at 207-209°C.

 1 H NMR (CDCl₃) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (br d, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (br s, 1H).

EXAMPLE 2

Step A: Preparation of 1-Ethyl-3-trifluoromethylpyrazol-5-yl Carboxylic acid

To a mixture of 3-trifluoromethylpyrazole (5 g, 37 mmol) and powdered potassium carbonate (10 g, 72 mmol) stirring in 30 mL of N,N-dimethylformamide, iodoethane (8 g, 51 mmol) was added dropwise. After a mild exotherm, the reaction was stirred overnight at room temperature. The reaction mixture was partitioned between 100 mL of diethyl ether and 100 mL of water. The ether layer was separated, washed with water (3X) and brine, and dried over magnesium sulfate. Evaporation of solvent in vacuo gave 4 g of oil.

To 3.8 g of this oil stirring in 40 mL of tetrahydrofuran under nitrogen in a dry ice/acetone bath, 17 mL of a 2.5 M solution of *n*-butyl lithium in tetrahydrofuran (43 mmol) was added dropwise and the solution stirred for 20 minutes at -78°C. An excess of gaseous carbon dioxide was bubbled into the stirred solution at a moderate rate for 10 minutes. After addition of carbon dioxide, the reaction was allowed to slowly reach room temperature and stirred overnight. The reaction mixture was partitioned between diethyl ether (100 mL) and 0.5 N aqueous sodium hydroxide (100 mL). The basic layer was separated and acidified with concentrated hydrochloric acid to a pH of 2-3. The aqueous mixture was extracted with ethyl acetate (100 mL) and the organic extract washed with water and brine and dried over magnesium sulfate. The oily residue, which remained after evaporating the solvent *in vacuo*, was triturated to a solid from a small amount of *n*-butyl chloride. After filtering and drying, a slightly impure, sample of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (1.4 g) was obtained as a broad-melting solid.

¹H NMR (CDCl₃): 9.85 (br s,1H), 7.23 (s,1H), 4.68 (q,2H), 1.51 (t,3H) ppm.

Step B: Preparation of 2-[1-Ethyl-3-trifluoromethylpyrazol-5-yl carbamoyl]-3-methyl-N-(1-methylethyl)benzamide

To a solution of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (0.5 g, 2.4 mmol) stirring in 20 mL of methylene chloride, oxalyl chloride (1.2 mL, 14 mmol) was added. Upon addition of 2 drops of *N*,*N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-*N*-(1-methylethyl)benzamide (0.7 g, 3.6 mmol) was added followed by the dropwise addition of *N*,*N*-diisopropylethylamine (3 mL, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (100 mL) and 1*N* aqueous

hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid residue, which on purification by flash column chromatography on silica gel (2:1 hexanes/ethyl acetate) afforded 0.5 g of the title compound, a compound of the present invention, melting at 223-226°C.

¹H NMR (DMSO-D₆): 10.15 (s,1H), 8.05 (d,1H), 7.45 (s,1H), 7.43-7.25 (m,3H), 4.58 (q,2H), 3.97 (m,1H), 2.45 (s,3H), 1.36 (t,3H), 1.06 (d,6H) ppm.

EXAMPLE 3

Step A: Preparation of S,S-dimethyl-N-[4-(trifluoromethyl)phenyl]sulfilimine

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A solution of N-chlorosuccinimide (12-43 g, 93.1 mmol) in ~170 mL of dichloromethane was added to a mixture of 4-(trifluoromethyl) aniline (15 g, 93.1 mmol) and dimethyl sulfide (6.35 g, 102 mmol) in 230 mL of dichloromethane at -5-0°C. After the addition was complete, the mixture was stirred at 0-5°C for 1h, and N-chlorosuccinimide (0.02 g, 4.64 mmol) was added. After a further 30 minutes, the mixture was washed with 500 mL of 1N sodium hydroxide.

The organic phase was dried and evaporated to give the product as a solid 19-72 g melting at 101-103°C (after crystallization from ethyl acetate/hexanes).

IR (Nujol) 1603, 1562, 1532, 1502, 1428, 1402, 1335, 1300, 1270, 1185, 1150, 1103, 1067, 1000, 972, 940, 906, 837, 817 cm⁻¹.

¹H NMR (CDCl₃) δ 7.35 (d, J=8.8 Hz, 2 H), 6.84 (d, J=8.8 Hz, 2 H), 2.67 (5, 3 H). Step B: 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine

Sodium methoxide in methanol (1.95 g, 9.02 mmol, 25%) was added to S,S-dimethyl-N-[4-(trifluoromethyl)phenyl]sulfilimine from Step A (2 g, 9.04 mmol) in 15 mL of toluene. The mixture was warmed to ~80°C for ~1 h. The mixture was allowed to cool to 25°C and was poured into 100 mL of water. The mixture was extracted with 2x100 mL of ethyl acetate and the combined extracts were dried and evaporated to give 1.8 g of the product as a solid melting at 65.5-67.5°C (after crystallization from hexanes).

IR (nujol) 3419, 3333, 1629, 1584, 1512, 1440, 1334, 1302, 1235, 1193, 1139, 1098, $1078, 979, 904, 832 \text{ cm}^{-1}$.

¹H NMR (CDCl₃) δ 7.35 (dd, J=1.5 Hz x 8.2 Hz, 1H) 6.72 (d, J=8.4 Hz) 4.39 (br.5, 2 H, 3.69 (5, 2 H), 1.99 (5, 3 H).

Step C: Preparation of 2-methyl-4-(trifluoromethyl)benzenamine

Activated Raney nickel (500 g wet paste, $\sim 50\mu$) was added portionwise to a solution of 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine (55.3 g, 0.25 mole) in 1 L of ethanol over 30 minutes at 25-30° C. The heterogeneous mixture was stirred vigorously for 30 minutes after the addition. The stirring was stopped, and the solids were allowed to settle over one hour. The liquid was decanted from the solids and poured through filter paper.

The filtrate was evaporated under reduced pressure, and the residue was taken up in dichloromethane. The organic phase was separated from a small volume of water, dried over magnesium sulfate and evaporated under reduced pressure to afford 37.6g of the title compound as amber oil.

¹H NMR (CDCl₃) δ 7.28 (m,2H), 6.68 (d,1H), 3.87 (br s,2H), 2.19 (s,3H).

Step D: Preparation of 2-methyl-4-(trifluoromethyl)benzonitrile

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Concentrated hydrochloric acid (16 mL) was added dropwise at a moderate rate to a heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzenamine (14 g, 80 mmol) and 120 mL of water while stirring vigorously. A thick suspension resulted which was stirred for 20 minutes, diluted with 280 mL of water and cooled to 5° C. A solution of sodium nitrite (5.5 g, 80 mmol) and 25 mL of water was added slowly to the reaction suspension. After stirring for 30 minutes at 5° C a solution resulted which was stirred cold for 30 more minutes and then neutralized with potassium carbonate. This diazonium salt solution was then added portionwise via cannula to a stirred, 95° C mixture of potassium cyanide (22 g, 0.34 mole), copper sulfate pentahydrate (20 g, 80 mmol) and 140 mL of water. After the addition the mixture was stirred for 30 minutes at 95° C and then allowed to cool to room temperature. Ether was added and the heterogeneous mixture was filtered through celite. The solids were washed with ether, and the filtrate was partitioned. The aqueous phase was extracted with ether, and the combined organic extracts were dried over magnesium sulfate and concentrated under reduced pressure to afford 13.1 g of the title compound as brown oil.

¹H NMR (CDCl₃) δ 7.74 (d,1H), 7.60 (s,1H), 7.55 (d,1H), 2.64 (s,3H).

Step E: Preparation of 2-methyl-4-trifluoromethyl benzoic acid

Potassium hydroxide (15.7 g, 0.28 mole) and 15 mL of water were added as a solution to a stirred, heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzonitrile (13 g, 70 mmol) and 135 mL of ethylene glycol. The reaction mixture was heated at 120-130° C for 20 hours and allowed to cool to room temperature. The dark solution was poured into 800 mL of water and filtered through celite. The filtrate was washed with ether and then the aqueous was acidified with concentrated hydrochloric acid. This aqueous phase was extracted three times with ethyl acetate, the organic extracts were combined, dried over magnesium sulfate and evaporated under reduced pressure to afford the title compound as a tan solid.

¹H NMR (CDCl₃) δ 7.98 (d,1H), 7.70 (s,1H), 7.65 (d,1H), 2.60 (s,3H).

Step F: Preparation of 2-methyl-4-(trifluoromethoxy)benzoyl chloride

Thionyl chloride (0.42 g, 3.5 mmol) was added to a solution of the benzoic acid from Step E (0.50 g, 2.4 mmol) in 10 mL of toluene at room temperature. The reaction was refluxed for three hours then cooled to room temperature. The solvent was evaporated under reduced pressure and excess thionyl chloride was removed by azeotroping with toluene. The benzoyl chloride obtained was used directly in Step G.

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Step G: Preparation of 2-methyl-N-[2-methyl-6-[[(1-methylethyl)amino]-carbonyl]phenyl]-4-(trifluoromethyl)benzamide

The benzoyl chloride of Step F (0.29 g, 1.3 mmol) was added to a mixture of the aniline from Example 1, Step B (0.36 g, 1.9 mmol) and diisopropylethylamine (0.26 g, 2.0 mmol) in 10 mL of chloroform at room temperature. The reaction was allowed to stir overnight. The solid precipitate was filtered and dried to afford 0.38 g of the title compound, a compound of the present invention, as a solid melting at 247-248 °C.

¹H NMR (CDCl₃) α 1.24 (d,6H), 2.41 (s,3H), 2.58 (s,3H), 4.20 (m,1H), 5.94 (br d,1H), 7.2-7.3 (m,2H), 7.40 (d,1H), 7.52 (s,1H), 7.53 (d,1H), 7.70 (d,1H), 9.36 (br s,1H).

EXAMPLE 4

Step A: Preparation of 2-Methyl-6-(trifluoromethyl)-3-pyridinecarbonyl chloride
Thionyl chloride (4.35 g, 36.5 mmol) was added to a mixture of 2-methyl-6trifluoromethyl nicotinic acid (5.00 g, 24.4 mmol) in 75 mL of toluene and the mixture was
heated at reflux for 3 hours. The reaction was cooled to room temperature and the solvent
was removed under reduced pressure. Excess thionyl chloride was removed by azeotrope
with toluene. The resultant acid chloride was used as is in Example 4, Step B.

Step B: Preparation of 8-Methyl-2-[2-methyl-6-(trifluoromethyl)-3-pyridinyl]-4H-3,1-benzoxazine

A mixture of the 6-methyl isatoic anhydride (3.92 g, 22.1 mmol) and the acid chloride from Step A (5.45 g, 24.3 mmol) was heated at reflux in pyridine for 16 hours. The dark brown solution was cooled to room temperature and the solvent was removed under reduced pressure. Excess pyridine was removed by azeotrope with toluene. Ether was added and the resulting brown solid was removed by filtration. The solid was taken up in a mixture of aqueous sodium bicarbonate and chloroform, the chloroform extracts were dried over magnesium sulfate and evaporated. Excess pyridine was again removed by azeotrope with toluene to afford 5.1 g of the title compound as a brown solid.

¹H NMR (CDCl₃) d 2.65 (s,3H), 3.11 (s,3H), 7.49 (t,1H) 7.40 (m,1H), 7.68-7.73 (m,2H), 1.11 (d,1H), 8.58 (d,1H).

Step C: Preparation of 2-Methyl-N-[2-methyl-6-[[(1-

Isopropylamine (7.37 g, 0.125 mmol) was added to a mixture of the benzoxazinone of Step B (4.00 g, 12.5 mmol) in 30 mL of tetrahydrofuran. A homogeneous solution formed. The mixture was heated briefly after which a thick white precipitate formed. The solvent was removed under reduced pressure and the resultant solid was washed with ether and filtered to afford 4.48 g of the title compound as a solid melting at 247-248 C.

¹H NMR (CDCl₃) d 1.24 (d,6H), 2.41 (s,3H), 2.77 (s,3H), 4.17 (m,1H), 5.96 (bd,1H), 7.21 (m,2H) 7.40 (m,1H), 7.53 (d,1H), 7.97 (d,1H), 9.80 (bs,1H).

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EXAMPLE 5

Step A: Preparation of 4-Methyl-N-[2-methyl-6-[[(1-

methylethyl)amino]carbonyl]phenyl]-2-(trifluoromethyl)-5-

pyrimidinecarboxamide

To a solution 0.8 g (4 mmol) of 4-methyl-2-trifluoromethylpyrimidine-5-carboxylic acid [made by the method of Palanki et al, *J. Med. Chem.* 2000, 43, 3995] stirring in 15 mL of methylene chloride, oxalyl chloride (2 mL, 23 mmol) was added. Upon addition of 2 drops of *N,N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-*N*-(1-methylethyl)benzamide (1 g, 5 mmol) was added followed by the dropwise addition of *N,N*-diisopropylethylamine (3 ml, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (200 mL) and 1*N* aqueous hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid, which was suspended in a small amount of ethyl acetate and filtered to afford (after drying) 650 mg of the title compound, a compound of the present invention, melting at 248-251°C.

¹H NMR (DMSO-D₆): 10.3 (s,NH), 9.07 (s,1H), 8.25 (d,NH), 7.43-7.25 (m,3H), 4.03 (m,1H), 2.73 (s,3H), 2.32 (s,3H), 1.12 (d,6H) ppm.

EXAMPLE 6

Step A: Preparation of 2-Methyl-1-phenyl-4-(trifluoromethyl)-1H-pyrazole

A solution of 1,1,1-trifluoropentane-2,4-dione (20.0 g, 0.130 mole) in glacial acetic acid (60 mL) was cooled to 7°C using an ice/water bath. Phenylhydrazine (14.1 g, 0.130 mole) was added dropwise over a period of 60 minutes. The reaction mass temperature increased to 15°C during the addition. The resulting orange solution was held under ambient conditions for 60 minutes. The bulk of the acetic acid was removed by stripping on a rotary evaporator at a bath temperature of 65°C. The residue was dissolved in methylene chloride (150 mL). The solution was washed with aqueous sodium bicarbonate (3 g in 50 mL water). The purple-red organic layer was separated, treated with activated charcoal (2 g) and MgSO₄, then filtered. Volatiles were removed on a rotary evaporator. The crude product consisted of 28.0 g of a rose-colored oil, which contained ~89% the desired product and 11% 1-phenyl-5-(trifluoromethyl)-3-methylpyrazole.

¹H NMR (DMSO-D₆) δ 2.35 (s,3H), 6.76 (s,1H), 7.6-7.5 (m,5H).

Step B: Preparation of 1-Phenyl-3-(trifluoromethyl)-1H-pyrazole-5-carboxylic acid A sample of crude 1-phenyl-3-(trifluoromethyl)-5-methylpyrazole (~89%, 50.0 g, 0.221 mole) was mixed with water (400 mL) and cetyltrimethylammonium chloride (4.00 g, 0.011 mole). The mixture was heated to 95°C. Potassium permanganate was added in 10 equal portions, spaced at ~8 minute intervals. The reaction mass was maintained at 95-100°C during this period. After the last portion was added, the mixture was held for ~15 minutes at 95-100°C, whereupon the purple, permanganate color had been discharged. The reaction mass was filtered while hot (~75°C) through a 1 cm thick bed of Celite® on a 150 ml, coarse, glass frit. The filter cake was washed with warm (~50°C) water (3x100mL). The combined filtrate and washings were extracted with ether (2x100 mL) to remove a small amount of yellow, water-insoluble material. The aqueous layer was purged with nitrogen to remove residual ether. The clear, colorless alkaline solution was acidified by adding concentrated hydrochloric acid dropwise until the pH reached ~1.3 (28 g, 0.28 mole). Gas evolution was vigorous during the first two-thirds of the addition. The product was collected via filtration, washed with water (3x40 mL), then dried overnight at 55°C in vacuo. The product consisted of 11.7 g of a white, crystalline powder, which was essentially pure based upon ¹H NMR.

¹H NMR (CDCl₃) δ 7.33 (s,1H), 7.4-7.5 (m,5H).

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Step C: Preparation of 1-Phenyl-3-(trifluoromethyl)-1*H*-pyrazole-5-carbonyl chloride
A sample of crude 1-phenyl-3-(trifluoromethyl)pyrazole-5-carboxylic acid (4.13 g,
16.1 mmol) was dissolved in methylene chloride (45 mL). The solution was treated with
oxalyl chloride (1.80 mL, 20.6 mmol), followed by *N,N*-dimethylformamide (0.010 mL, 0.13
mmol). Off-gassing began shortly after adding the *N,N*-dimethylformamide catalyst. The
reaction mixture was stirred for ~20 minutes under ambient conditions, then was heated to
reflux for a period of 35 minutes. Volatiles were removed by stripping the reaction mixture
on a rotary evaporator at a bath temperature of 55°C. The product consisted of 4.43 g of a
light-yellow oil. The only impurity observed by ¹H NMR was *N,N*-dimethylformamide.

 1 H NMR (CDCl₃) δ 7.40 (m,1H), 7.42 (s,1H), 7.50-7.53 (m,4H).

Step D: Preparation of N-[2-Methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-phenyl-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide

A sample of 3-methylisatoic anhydride (0.30 g, 1.7 mmol) partially dissolved in pyridine (4.0 mL) was treated with 1-phenyl-3-(trifluoromethylpyrazole)-5-carboxyl chloride (0.55 g, 1.9 mmol). The mixture was heated to ~95°C for a period of 2 hours. The resulting orange solution was cooled to 29°C, then was treated with isopropylamine (1.00 g, 16.9 mmol). The reaction mass self-heated to 39°C. It was further heated to 55°C for a period of 30 minutes, whereupon much precipitate formed. The reaction mass was dissolved in methylene chloride (150 mL). The solution was washed with aqueous acid (5 mL conc. HCl in 45 mL water), then with aqueous base (2 g sodium carbonate in 50 mL water). The

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organic layer was dried over MgSO₄, filtered, then concentrated on a rotary evaporator. Upon reduction to ~4 mL, product crystals had formed. The slurry was diluted with ~10 mL of ether, whereupon more product precipitated. The product was isolated by filtration, washed with ether (2x10 mL), then washed with water (2x50 mL). The wet cake was dried for 30 minutes at 70°C in vacuo. The product consisted of 0.52 g of an off-white powder melting at 260-262°C.

¹H NMR (DMSO-D₆) δ 1.07 (d,6H), 2.21 (s,3H), 4.02 (octet,1H), 7.2-7.4 (m,3H), 7.45-7.6 (m,6H), 8.10 (d,1H), 10.31 (s,1H).

EXAMPLE 7

10 <u>Step A</u>: <u>Preparation of 3-Trifluoromethyl-2-[3-(trifluoromethyl)-1*H*-pyrazol-1-yllpyridine</u>

A mixture of 2-chloro-3-trifluoromethylpyridine (3.62 g., 21 mmol), 3-trifluoromethylpyrazole (2.7 g., 20 mmol), and potassium carbonate (6.0 g., 43 mmol) were heated at 100 ° C for 18 h. The cooled reaction mixture was added to ice/water (100 mL).

- The mixture was extracted twice with ether (100 mL) and the combined ether extracts were washed twice with water (100 mL). The organic layer was dried with magnesium sulfate and concentrated to an oil. Chromatography on silica gel with hexanes:ethyl acetate 8:1 to 4:1 as cluent gave the title compound (3.5 g) as an oil. ¹H NMR (CDCl₃) δ 6.75 (m,1H), 7.5 (m,1H), 8.2 (m,2H), 8.7 (m,1H).
- 20 <u>Step B</u>: <u>Preparation of 3-(Trifluoromethyl)-1-[3-(trifluoromethyl)-2-pyridinyl]-1*H*-pyrazole-5-carboxylic acid</u>

A mixture of the title compound of Example 5, Step A (3.4 g, 13 mmol) was dissolved in tetrahydrofuran (30 mL) and cooled to -70 °C. Lithium disopropylamide (2N in heptane/tetrahydrofuran, (Aldrich) 9.5 mL, 19 mmol) was added and the resulting dark mixture was stirred for 10 minutes. Dry carbon dioxide was bubbled through the mixture for 15 minutes. The mixture was allowed to warm to 23 °C and treated with water (50 mL) and 1 N sodium hydroxide (10 mL). The aqueous mixture was extracted with ether (100 mL) and then ethyl acetate (100 mL). The aqueous layer was acidified with 6N hydrochloric acid to pH 1-2 and extracted twice with dichloromethane. The organic layer was dried with magnesium sulfate and concentrated to give the title compound (1.5 g). 1 H NMR (CDCl₃) δ 7.6 (m,1H), 7.95 (m,1H), 8.56 (m,1H), 8.9 (m,1H), 14.2 (br,1H)

- Step C: Preparation of N-[2-Methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-3(trifluoromethyl)-1-[3-(trifluoromethyl)-2-pyridinyl]-1H-pyrazole-5carboxamide
- A mixture of the title compound of Example 5, Step B (0.54 g, 1.1 mmol), the title compound from Example 1, Step B (0.44 g, 2.4 mmol) and bop chloride (bis(2-oxo-oxazolidinyl)phosphinyl chloride, 0.54 g, 2.1 mmol) in acetonitrile (13 mL) was treated with

triethylamine (0.9 mL). The mixture was shaken in a closed scintillation vial for 18 h. The reaction was partitioned between ethyl acetate (100 mL) and 1N hydrochloric acid. The ethyl acetate layer was washed successively with 1N hydrochloric acid (50 mL), 1N sodium hydroxide (50 mL) and saturated sodium chloride solution (50 mL). The organic layer was dried over magnesium sulfate and concentrated. The residue was subjected to column chromatography on silica gel with hexanes/ethyl acetate (5:1 to 3:1) as eluent. The title compound (0.43 g) was isolated as a white solid. m.p. 227 –230 °C. ¹H NMR (CDCl₃) δ 1.2 (m, 6H), 4.15 (m, 1H), 5.9 (br d,1H), 7.1 (m,1H), 7.2 (m,2H), 7.4 (s,1H), 7.6 (m,1H), 8.15 (m,1H), 8.74 (m,1H), 10.4 (br,1H).

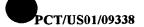
By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 17 can be prepared. The following abbreviations are used in the Tables: t is tertiary, s is secondary, n is normal, t is iso, t is cyclo, Me is methyl, Et is ethyl, Pr is propyl, t-Pr is isopropyl, t-Bu is tert butyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro,

15 TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)₂Me is methylsulfonyl.

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Table 1

	R ⁴	R ⁵ and/or R ⁶	\mathbb{R}^4	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
	Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
	Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
٠٠.	Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
÷	Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
	Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
	Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
	Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
	Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
	Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
	Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
	`Me	2-SO ₂ CF ₂ H	. Me	3-SO ₂ CF ₂ H	Мe	4-SO ₂ CF ₂ H
						•



Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	. 4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F.	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF2CF2H
F	2-OCH ₂ CF ₃	F	· 3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
1	2-CF ₃	I	3-CF ₃	I	4-CF ₃
1	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
1	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H

I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I .	2-SCF ₃	Ĩ	3-SCF ₃	I .	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	1	4-SO ₂ CF ₃
ľ	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	1	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	Ι .	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	ОМе	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	ОМе	4-OCF ₃
ОМе	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	ОМе	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
ОМе	2-SCF ₂ H	ОМе	3-SCF ₂ H	ОМе	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	- 3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
ocf ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
ocf ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
ocf ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
ocf ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
ocf ₂ H	2-SCF ₃	OCF ₂ H	. 3-SCF ₃	OCF ₂ H	4-SCF ₃
ocf ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
ocf ₂ h	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃



	, I		1		
ocf ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
ocf ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
ocf ₂ h	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO₂CF ₂ H	ocf ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	C1	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	C1	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	ОМе	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	· 2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	ОМе	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	ОМе	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	ОМе	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	· OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	ОМе	2-Me-4-SOCF ₂ H
Br ·	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO_2	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO_2	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO_2	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO_2	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	$^{\circ}NO_2$	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO_2	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO_2	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 2

			•	•	
R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me .	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Ме	3-SCF ₃	Me	4-SCF ₃
Ме	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	CI	3-CF ₃	CI	4-CF ₃
Cl	2-OCF ₃	CI	3-OCF ₃	CI	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	CI	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	CI	4-SCF ₂ H
: Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
. Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
· F	2-CF ₃	F	3-CF ₃	F.	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
· F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H



F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
· F ·	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
$\cdot \mathbf{Br}$	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br ·	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
1	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	· I	4-OCF ₂ H
· I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF2CF2H
I	2-OCH ₂ CF ₃	1	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	Ι	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
ОМе	2-CF ₃	OMe	3-CF ₃	ОМе	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	ОМе	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	ОМе	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	ОМе	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	- 3-SCF ₃	ОМе	4-SCF ₃
OMe	2-SOCF ₃	ОМе	3-SOCF ₃	ОМе	4-SOCF ₃
ОМе	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	ОМе	4-SO ₂ CF ₃

2-SCF ₂ H 2-SOCF ₂ H 2-SO ₂ CF ₂ H 2-CF ₃ 2-OCF ₃	OMe OMe OMe CF ₃	3-SCF ₂ H 3-SOCF ₂ H 3-SO ₂ CF ₂ H	OMe OMe OMe	4-SCF ₂ H 4-SOCF ₂ H 4-SO ₂ CF ₂ H
2-SO ₂ CF ₂ H 2-CF ₃	ОМе			_
2-CF ₃		3-SO ₂ CF ₂ H	OMe	4-SOaCFaH
1	CF ₃			. 55251211
2-OCF ₃		3-CF ₃	CF ₃	4-CF ₃
1	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
2-CF ₃	OCF ₂ H	3-CF ₃	ocf ₂ H	4-CF ₃
2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	ocf ₂ H	4-OCF ₂ H
2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	ocf ₂ H	4-OCF ₂ CF ₂ H
2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	ocf ₂ H	4-OCH ₂ CF ₃
2-SCF ₃	OCF ₂ H	3-SCF ₃	ocf ₂ H	4-SCF ₃
2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
2-SOCF ₂ H	ocf ₂ H	3-SOCF ₂ H	ocf ₂ H	4-SOCF ₂ H
2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	ocf ₂ H	4-SO ₂ CF ₂ H
2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
2-Me-4-SCF ₃	\mathbf{F} .	2-Me-4-SCF ₃	. Cl	2-Me-4-SCF ₃
2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	C1	2-Me-4-SO ₂ CF ₃
2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl ·	2-Me-4-SO ₂ CF ₂ H
2-Me-4-CF ₂	Ţ	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
		··		2-Me-4-OCF ₃
	2-OCH ₂ CF ₃ 2-SCF ₃ 2-SOCF ₃ 2-SO ₂ CF ₃ 2-SCF ₂ H 2-SO ₂ CF ₂ H 2-SO ₂ CF ₂ H 2-Me-4-CF ₃ 2-Me-4-OCF ₃ 2-Me-4-OCF ₂ H 2-Me-4-SCF ₃ 2-Me-4-SCF ₃ 2-Me-4-SO ₂ CF ₃ 2-Me-4-SO ₂ CF ₃	2-OCH ₂ CF ₃ OCF ₂ H 2-SCF ₃ OCF ₂ H 2-SO ₂ CF ₃ OCF ₂ H 2-SO ₂ CF ₃ OCF ₂ H 2-SCF ₂ H OCF ₂ H 2-SO ₂ CF ₂ H OCF ₂ H 2-SO ₂ CF ₂ H OCF ₂ H 2-Me-4-CF ₃ F 2-Me-4-OCF ₃ F 2-Me-4-OCF ₂ H F 2-Me-4-SCF ₃ F 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ F	2-OCH ₂ CF ₃ OCF ₂ H 3-OCH ₂ CF ₃ 2-SCF ₃ OCF ₂ H 3-SCF ₃ 2-SO ₂ CF ₃ OCF ₂ H 3-SO ₂ CF ₃ 2-SC ₂ CF ₃ OCF ₂ H 3-SO ₂ CF ₃ 2-SCF ₂ H OCF ₂ H 3-SCF ₂ H 2-SO ₂ CF ₂ H OCF ₂ H 3-SO ₂ CF ₂ H 2-SO ₂ CF ₂ H OCF ₂ H 3-SO ₂ CF ₂ H 2-Me-4-CF ₃ F 2-Me-4-CF ₃ 2-Me-4-OCF ₃ F 2-Me-4-OCF ₃ 2-Me-4-OCF ₂ H F 2-Me-4-OCF ₂ H 2-Me-4-SCF ₃ F 2-Me-4-SCF ₃ 2-Me-4-SCF ₃ F 2-Me-4-SCF ₃ 2-Me-4-SCF ₃ F 2-Me-4-SCF ₃ 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ 2-Me-4-SCF ₂ H F 2-Me-4-SCF ₂ H 2-Me-4-SCF ₂ H F 2-Me-4-SCF ₂ H 2-Me-4-SO ₂ CF ₂ H F 2-Me-4-SO ₂ CF ₃ H 2-Me-4-SO ₂ CF ₂ H F 2-Me-4-SO ₂ CF ₂ H	2-OCH ₂ CF ₃ OCF ₂ H 3-OCH ₂ CF ₃ OCF ₂ H 2-SCF ₃ OCF ₂ H 3-SCF ₃ OCF ₂ H 2-SOCF ₃ OCF ₂ H 3-SOCF ₃ OCF ₂ H 2-SO ₂ CF ₃ OCF ₂ H 3-SO ₂ CF ₃ OCF ₂ H 2-SCF ₂ H OCF ₂ H 3-SCF ₂ H OCF ₂ H 2-SOCF ₂ H OCF ₂ H 3-SOCF ₂ H OCF ₂ H 2-SO ₂ CF ₂ H OCF ₂ H 3-SO ₂ CF ₂ H OCF ₂ H 2-SO ₂ CF ₂ H OCF ₂ H 3-SO ₂ CF ₂ H OCF ₂ H 2-Me-4-CF ₃ F 2-Me-4-CF ₃ Cl 2-Me-4-OCF ₃ F 2-Me-4-OCF ₃ Cl 2-Me-4-OCF ₂ H F 2-Me-4-OCF ₂ H Cl 2-Me-4-SCF ₃ F 2-Me-4-SCF ₃ Cl 2-Me-4-SCF ₃ F 2-Me-4-SCF ₃ Cl 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ Cl 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ Cl 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ Cl 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ Cl 2-Me-4-SO ₂ CF ₃ F 2-Me-4-SO ₂ CF ₃ Cl 2-Me-4-SO ₂ CF ₂ H F 2-Me-4-SO ₂ CF ₂ H Cl 2-Me-4-SO ₂ CF ₂ H F 2-Me-4-SO ₂ CF ₂ H Cl



Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	· OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	ОМе	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	ОМе	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	ОМе	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	ОМе	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	ОМе	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	ОМе	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO_2	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO_2	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO_2	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO_2	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO_2	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO_2	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO_2	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO_2	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO_2	2-Me-4-SO ₂ CF ₂ H	SMe	$2\text{-Me-}4\text{-SO}_2\text{CF}_2\text{H}$

Table 3

R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	. 4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	_. Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Ме	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃

	1	• ,		1	•
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me.	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	CI	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
CI	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Ci	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	CI	3-OCH ₂ CF ₃	Cl .	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	C1 .	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	. Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Ci	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
· F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-0CF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F.	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br .	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H



I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	ľ	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I ·	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
ОМе	2-CF ₃	ОМе	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	ОМе	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	ОМе	3-OCF ₂ H	ОМе	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
ОМе	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	ОМе	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	ОМе	4-SOCF ₃
ОМе	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	ОМе	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	ОМе	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	ОМе	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H

ocf ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
ocf ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	ocf ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	ocf ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	ocf ₂ H	4-SCF ₂ H
ocf ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	C1	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	. F	2-Me-4-SO ₂ CF ₃	Cl .	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	. I	2-Me-4-OCF ₃	ОМе	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	ОМе	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	· I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	- I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	Ţ	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	r	2-Me-4-SCF ₂ H	ОМе	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H
•					

Table 4

Mc 2-CF3 Me 3-CF3 Me 4-CF3 Mc 2-OCF3 Me 3-OCF3 Me 4-OCF3 Mc 2-OCF2H Me 3-OCF2H Me 4-OCF2H Me 2-OCF2CF2H Me 4-OCF2CF2H Me 4-OCF2CF2H Mc 2-OCH2CF3 Me 3-OCF2CF3 Me 4-OCF2CF3 Me 2-SCF3 Me 3-SCF3 Me 4-SCF3 Me 2-SO2F3 Me 3-SOCF3 Me 4-SOCF3 Me 2-SO2CF3 Me 3-SO2CF3 Me 4-SO2F3 Me 2-SO2F2H Me 3-SO2CF2H Me 4-SO2F3 Me 2-SO2F2H Me 3-SO2CF2H Me 4-SO2CF2H Me 2-SO2F3 Cl 3-SO2CF2H Me 4-SO2CF2H Me 2-SO2CF3H Me 3-SO2CF2H Me 4-SO2CF3H Cl 2-OCF3 Cl 3-OCF3 Cl 4-OCF3 C	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me 2-OCF2H Me 3-OCF2H Me 4-OCF2H Me 2-OCF2CF2H Me 3-OCF2CF2H Me 4-OCF2F2H Me 2-OCH2CF3 Me 4-OCF2CF2H Me 4-OCF2CF2H Me 2-SCF3 Me 3-SCF3 Me 4-SCF3 Me 2-SOCF3 Me 3-SOCF3 Me 4-SCF3 Me 2-SO2F3 Me 3-SOCF3 Me 4-SOCF3 Me 2-SO2F4 Me 3-SO2F3 Me 4-SO2F3 Me 2-SCF2H Me 3-SOF2H Me 4-SOF2H Me 2-SOF2H Me 3-SOF2H Me 4-SOF2H Me 2-SO2F2H Me 3-SO2F2H Me 4-SO2F2H Me 2-SO2F2H Me 3-SO2F2H Me 4-SO2F2H Cl 2-OF3 Cl 3-CF3 Cl 4-CF3 Cl 2-OF2 Cl 3-OCF2H Cl 4-OCF2H Cl	Me	2-CF ₃	Me	3-CF ₃	Ме	4-CF ₃
Me 2-OCF2CF2H Me 3-OCF2CF2H Me 4-OCF2CF2H Mc 2-OCH2CF3 Me 4-OCH2CF3 Me 4-OCH2CF3 Me 2-SCF3 Me 3-SCF3 Me 4-SCF3 Me 2-SO2CF3 Me 3-SO2CF3 Me 4-SO2CF3 Me 2-SO2CF3 Me 4-SO2CF3 Me 4-SO2CF3 Me 2-SO2F2H Me 3-SCF2H Me 4-SO2CF3 Me 2-SO2F2H Me 3-SCF2H Me 4-SO2CF2H Me 2-SO2CF2H Me 3-SO2CF2H Me 4-SO2CF2H Me 2-SO2CF2H Me 3-SO2CF2H Me 4-SO2CF2H Cl 2-CF3 Cl 3-CF3 Cl 4-CF3 Cl 2-OCF3 Cl 3-OCF2 Cl 4-OCF2 Cl 2-OCF2H Cl 3-OCF2H Cl 4-OCF2CF2 Cl 2-OCF2CF2H Cl 3-OCF2CF2H Cl 4-OCF2CF2 <t< td=""><td>Me</td><td>2-OCF₃</td><td>Me</td><td>3-OCF₃</td><td>Me</td><td>4-OCF₃</td></t<>	Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Mc 2-OCH₂CF₃ Me 3-OCH₂CF₃ Me 4-OCH₂CF₃ Me 2-SCF₃ Me 3-SCF₃ Me 4-SCF₃ Me 2-SO2CF₃ Me 3-SOCF₃ Me 4-SOCF₃ Me 2-SCF₂H Me 3-SO2CF₃ Me 4-SO2CF₃ Me 2-SCF₂H Me 3-SOCF₂H Me 4-SCF₂H Me 2-SO2F₂H Me 3-SO2CF₂H Me 4-SO2CF₂H Me 2-SO2CF₂H Me 3-SO2CF₂H Me 4-SO2F₂H Cl 2-CF₃ Cl 3-CF₃ Cl 4-CF₃ Cl 2-OCF₃ Cl 3-OCF₃ Cl 4-OCF₃ Cl 2-OCF₂CF₂H Cl 3-OCF₂CF₂H Cl 4-OCF₂CF₂H Cl 2-OCF₂CF₂H Cl 3-OCF₂CF₃ Cl 4-OCF₂CF₃ Cl 2-SOCF₃ Cl 3-SOCF₃ Cl 4-SOCF₃ Cl 2-SOCF₃ Cl 3-SOCF₃ Cl 4-SOCF₃	Mc	2-OCF ₂ H	Me	3-OCF ₂ H	Ме	4-OCF ₂ H
Me 2-SCF3 Me 3-SCF3 Me 4-SCF3 Me 2-SOCF3 Me 3-SOCF3 Me 4-SOCF3 Me 2-SCF2H Me 3-SO2CF3 Me 4-SO2CF3 Me 2-SCF2H Me 3-SOF2H Me 4-SO2CF3H Me 2-SO2CF2H Me 3-SOCF2H Me 4-SO2CF2H Me 2-SO2CF2H Me 3-SO2CF2H Me 4-SO2CF2H Cl 2-CF3 Cl 3-SO2CF2H Me 4-SO2CF2H Cl 2-OCF3 Cl 3-CF3 Cl 4-CF3 Cl 2-OCF3 Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2CF2H Cl 3-OCF2CF2H Cl 4-OCF2CF2H Cl 2-OCF2CF2H Cl 3-OCF2CF3 Cl 4-SCF3 Cl 2-SOCF3 Cl 3-SCF3 Cl 4-SCF3 Cl 2-SOCF3 Cl 3-SCF2H Cl 4-SOCF3 Cl	Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me 2-SOCF3 Me 3-SOCF3 Me 4-SOCF3 Me 2-SO2CF3 Me 4-SO2CF3 Me 2-SCF2H Me 3-SO2CF3 Me 4-SO2CF3 Me 2-SO2F2H Me 3-SO2CF2H Me 4-SO2F2H Me 2-SO2CF2H Me 3-SO2CF2H Me 4-SO2CF2H Cl 2-CF3 Cl 3-CF3 Cl 4-CF3 Cl 2-OCF3 Cl 3-OCF3 Cl 4-OCF3 Cl 2-OCF2H Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2H Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2H Cl 3-OCF2CF2H Cl 4-OCF2H Cl 2-OCF2CF2H Cl 3-OCF2CF2H Cl 4-OCF2CF2H Cl 2-SCF3 Cl 3-SOCF3 Cl 4-SCF3 Cl 2-SO2CF3 Cl 3-SOCF3 Cl 4-SO2CF3 Cl 2-SCF2H Cl<	Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me 2-SO2CF3 Me 3-SO2CF3 Me 4-SO2CF3 Me 2-SCF2H Me 3-SCF2H Me 4-SCF2H Me 2-SOCF2H Me 3-SOCF2H Me 4-SO2CF2H Me 2-SO2CF2H Me 3-SO2CF2H Me 4-SO2CF2H Cl 2-CF3 Cl 3-CF3 Cl 4-CF3 Cl 2-OCF3 Cl 3-OCF3 Cl 4-OCF3 Cl 2-OCF2H Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2CF2H Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2CF2H Cl 3-OCF2CF2H Cl 4-OCF2CF2H Cl 2-OCF2CF3 Cl 3-SCF3 Cl 4-SCF3 Cl 2-SOCF3 Cl 3-SCF2 Cl 4-SO2CF3 Cl 2-SO2CF3 Cl 3-SCF2H Cl 4-SCF2H Cl 2-SCF2H Cl 3-SCF2H Cl 4-SCF2H Cl<	Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me 2-SCF2H Me 3-SCF2H Me 4-SCF2H Me 2-SOCF2H Me 3-SOCF2H Me 4-SCF2H Me 2-SO2CF2H Me 3-SO2CF2H Me 4-SO2CF2H Cl 2-CF3 Cl 3-CF3 Cl 4-CF3 Cl 2-OCF3 Cl 3-OCF3 Cl 4-OCF3 Cl 2-OCF2H Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2H Cl 3-OCF2H Cl 4-OCF2H Cl 2-OCF2CF2H Cl 3-OCF2CF2H Cl 4-OCF2H Cl 2-OCF2CF2H Cl 3-OCH2CF3 Cl 4-OCH2CF3 Cl 2-SCF3 Cl 3-SCF3 Cl 4-SCF3 Cl 2-SOCF3 Cl 3-SOCF3 Cl 4-SOCF3 Cl 2-SO2CF3 Cl 3-SOCF2H Cl 4-SCF2H Cl 2-SOCF2H Cl 3-SOCF2H Cl 4-SOCF2H Cl <td>Me</td> <td>2-SOCF₃</td> <td>Me</td> <td>3-SOCF₃</td> <td>Me</td> <td>4-SOCF₃</td>	Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Ме	4-SO ₂ CF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Me	2-SCF ₂ H	Me	3-SCF ₂ H	· Me	4-SCF ₂ H
Cl 2-CF ₃ Cl 3-CF ₃ Cl 4-CF ₃ Cl 2-OCF ₂ H Cl 3-OCF ₂ H Cl 4-OCF ₂ H Cl 2-OCF ₂ CF ₂ H Cl 3-OCF ₂ CF ₂ H Cl 4-OCF ₂ CF ₂ H Cl 2-OCH ₂ CF ₃ Cl 3-OCH ₂ CF ₃ Cl 4-OCH ₂ CF ₃ Cl 2-SCF ₃ Cl 3-OCH ₂ CF ₃ Cl 4-OCH ₂ CF ₃ Cl 2-SCF ₃ Cl 3-SCF ₃ Cl 4-SCF ₃ Cl 2-SOCF ₃ Cl 3-SOCF ₃ Cl 4-SOCF ₃ Cl 2-SO ₂ CF ₃ Cl 3-SO ₂ CF ₃ Cl 4-SO ₂ CF ₃ Cl 2-SO ₂ CF ₃ Cl 3-SO ₂ CF ₃ Cl 4-SO ₂ CF ₃ Cl 2-SCF ₂ H Cl 3-SCF ₂ H Cl 4-SCF ₂ H Cl 2-SOCF ₂ H Cl 3-SOCF ₂ H Cl 4-SCF ₂ H Cl 2-SO ₂ CF ₂ H Cl 3-SOCF ₂ H Cl 4-SO ₂ CF ₂ H Cl 2-SO ₂ CF ₂ H Cl 3-SO ₂ CF ₂ H Cl 4-SO ₂ CF ₂ H Cl 2-SO ₂ CF ₂ H Cl 3-SO ₂ CF ₂ H Cl 4-SO ₂ CF ₂ H Cl 2-SO ₂ CF ₂ H Cl 3-SO ₂ CF ₂ H Cl 4-SO ₂ CF ₂ H Cl 2-SO ₂ CF ₂ H Cl 3-SO ₂ CF ₂ H Cl 4-SO ₂ CF ₂ H Cl 2-SO ₂ CF ₂ H Cl 3-SO ₂ CF ₂ H Cl 4-SO ₂ CF ₂ H Cl 2-CF ₃ F 3-CF ₃ F 4-CF ₃ F 2-OCF ₃ F 3-OCF ₃ F 4-OCF ₃ F 2-OCF ₂ H F 3-OCF ₂ H F 4-OCF ₂ H	Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cì	2-CF ₃	Cl	3-CF ₃	C1	4-CF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl ·	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	C1	4-OCF ₂ CF ₂ H
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cı	4-SOCF ₃
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl $2-SO_2CF_2H$ Cl $3-SO_2CF_2H$ Cl $4-SO_2CF_2H$ F $2-CF_3$ F $3-CF_3$ F $4-CF_3$ F $4-CF_3$ F $2-OCF_3$ F $3-OCF_3$ F $4-OCF_3$ F $4-OCF_2H$	Cl	2-SCF ₂ H	Ci	3-SCF ₂ H	Cl	4-SCF ₂ H
F 2-CF ₃ F 3-CF ₃ F 4-CF ₃ F 2-OCF ₃ F 3-OCF ₃ F 4-OCF ₃ F 2-OCF ₂ H F 3-OCF ₂ H F 4-OCF ₂ H	Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
F 2-OCF ₃ F 3-OCF ₃ F 4-OCF ₃ F 2-OCF ₂ H F 3-OCF ₂ H F 4-OCF ₂ H	Cl	2-SO ₂ CF ₂ H	CI	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F 2-OCF ₂ H F 3-OCF ₂ H F 4-OCF ₂ H	F.	2-CF ₃	F	3-CF ₃	F	4-CF ₃
-	F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F 2-OCF ₂ CF ₂ H F 3-OCF ₂ CF ₂ H F 4-OCF ₂ CF ₂ H	F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
	` F .	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H

F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F .	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F .	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
1	2-CF ₃	1 .	3-CF ₃	\mathbf{I}	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H		3-OCF ₂ H	I	4-OCF ₂ H
Ţ	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
1	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	1	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	. I :	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
1	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe .	3-CF ₃	ОМе	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
ОМе	2-OCF ₂ CF ₂ H	ОМе	3-OCF ₂ CF ₂ H	ОМе	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-0CH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	ОМе	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃



	•				
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	ОМе	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	ОМе	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
ocf ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
ocf ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
ocf ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
ocf ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
ocf ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
ocf ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	ocf ₂ H	4-SCF ₂ H
ocf ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	ocf ₂ H	4-SOCF ₂ H
ocf ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F _.	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F ·	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	1	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	ОМе	2-Me-4-OCF ₃

2-Me-4-0	3r	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
2-Me-4-OC	3r	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	ОМе	2-Me-4-OCH ₂ CF ₃
2-Me-4-S	Br ,	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
2-Me-4-S	3r	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
2-Me-4-S0	3r	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
2-Me-4-S	Br .	2-Me-4-SCF ₂ H	1	2-Me-4-SCF ₂ H	ОМе	2-Me-4-SCF ₂ H
2-Me-4-SC	3r	2-Me-4-SOCF ₂ H	. I	2-Me-4-SOCF ₂ H	ОМе	2-Me-4-SOCF ₂ H
2-Me-4-SO	3r	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
2-Me-4-	F ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
2-Me-4-0	F ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
2-Me-4-0	F ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
2-Me-4-OC	F ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
2-Me-4-5	F ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
2-Me-4-S	F ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
2-Me-4-S0	F ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
2-Me-4-S	F ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
2-Me-4-S0	F ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
2-Me-4-SO	F ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H
2-Me-4-S 2-Me-4-S	F ₃	2-Me-4-SCF ₂ H 2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SCF ₂ H 2-Me-4-SOCF ₂ H	SMe	2-Me-4-S

Table 5

	R ³	R ⁴	R ⁷	W	x	Y	Z
,	i-Pr	Me	CF ₃	СМе	N	СН	CH
	i-Pr	Cl	CF ₃	СМе	N	СН	CH
	<i>i</i> -Pr	Br	CF ₃	CMe	N	CH	CH
	<i>i</i> -Pr	. I	CF ₃	СМе	N	CH	CH
	i-Pr	F	CF ₃	СМе	N	CH	CH
•	i-Pr	H	CF ₃	СМе	N	CH	CH
	<i>i</i> -Pr	Et	CF ₃	СМе	N	CH	СН



<i>i-</i> Pr	Me	CF ₃	СМе	СН	N	CH
<i>i</i> -Pr	Cl	CF ₃	СМе	СН	N	CH
<i>i</i> -Pr	Br	CF ₃	СМе	СН	N	СН
<i>i</i> -Pr	I	CF ₃	СМе	CH	N	СН
i-Pr	F	CF ₃	СМе	СН	N	CH ·
<i>i</i> -Pr	н	CF ₃	СМе	CH	N	СН
<i>i</i> -Pr	Et	CF ₃	СМе	CH	N	СН
i-Pr	Me	CF ₃	СМе	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	СМе	CH	CH	N
i-Pr	Br	CF ₃	СМе	CH	CH	N
i-Pr	I	CF ₃	СМе	СН	CH	N
<i>i</i> -Pr	F	CF ₃	СМе	CH	. CH	N
i-Pr	H	CF ₃	СМе	CH	CH	· N
<i>i-</i> Pr	Et	CF ₃	СМе	СН	CH	N
. i-Pr	Me	CF ₃	СМе	N	CH	N
i-Pr	Cl	CF ₃	СМе	N	CH	N
i-Pr	Br	CF ₃	СМе	N	CH	N
<i>i-</i> Pr	I	CF ₃	СМе	N	CH	N
i-Pr	F	CF ₃	СМе	N	CH	N
i-Pr	H	CF ₃	СМе	N	CH	N
i-Pr	Et	CF ₃	CMe	'n	· CH	N
t-Bu	Me	CF ₃	СМе	N	CH	CH
t-Bu	Cl	CF ₃	СМе	N	CH	CH
t-Bu	Br	CF ₃	CMe	N	CH	CH
t-Bu	I	CF ₃	CMe	N	CH	CH
t-Bu	F	CF ₃	CMe	N	CH	CH
t-Bu	H	CF ₃	CMe	N	CH	CH
t-Bu	Et	CF ₃	СМе	N	CH	CH
t-Bu	Me	CF ₃	СМе	CH	N	CH.
t-Bu	Cl	CF ₃	СМе	CH	N	CH
t-Bu	Br	CF ₃	СМе	CH	N	CH
t-Bu	. I	CF ₃	СМе	CH	N _.	CH
t-Bu	F	CF ₃	CMe	CH	. N	CH
t-Bu	Н	CF ₃	СМе	CH	N	CH
t-Bu	Et	CF ₃	СМе	CH	N	CH
t-Bu	Me	CF ₃	CMe	CH	CH	Ŋ
t-Bu	Cl	CF ₃	СМе	CH	CH	N

t-Bu	Br	CF ₃	СМе	CH	CH	N
t-Bu	I	CF ₃	СМе	СН	CH	N
t-Bu	F	CF ₃	СМе	CH	CH	N
t-Bu	H	CF ₃	СМе	СН	СН	N
t-Bu	. Et	CF ₃	СМе	CH	CH	N
i-Pr	Me	OCF ₃	СМе	N.	CH	CH
i-Pr	Cl	OCF ₃	СМе	N	CH	CH
<i>i</i> -Pr	Br	OCF ₃	СМе	N	CH	CH
i-Pr	I	OCF ₃	CMe	N	CH	CH
i-Pr	F	OCF ₃	СМе	N	CH	CH
i-Pr	H	OCF ₃	СМе	N	CH	CH
i-Pr	Et	OCF ₃	СМе	N	CH	CH
i-Pr	Me	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Cl	CF ₃	CH	N	CH	CH
i-Pr	Br	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	I	CF ₃	CH	N	CH	CH
i-Pr	F	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Н	CF ₃	CH	N	CH	CH
i-Pr	Et	CF ₃	CH	N	CH	CH
i-Pr	Me	Cl	СМе	CH	CH	N
· i-Pr	Cl	Cl	CMe	СН	CH	N
i-Pr	Br	Cl	СМе	СН	CH	N
<i>i-</i> Pr	Ţ	Cl	СМе	СН	CH	N
<i>i</i> -Pr	F	Cl	СМе	CH	CH	N
<i>i</i> -Pr	H	Cl	СМе	СН	CH	N
<i>i</i> -Pr	Et	Cl ·	СМе	CH	CH	N

Table 6



			•		
R ³	R ⁴	R ⁷	X .	Y	
i-Pr	Ме	CF ₃	СМе	N	СН
<i>i</i> -Pr	Cl	CF ₃	СМе	N	СН
<i>i</i> -Pr	Br	CF ₃	СМе	N	СН
<i>i</i> -Pr	I	CF ₃	СМе	N	СН
<i>i</i> -Pr	F	CF ₃	СМе	N	CH
i-Pr	н	CF ₃	СМе	N	CH
i-Pr	Et	CF ₃	СМе	N	CH
i-Pr	Me	CF ₃	CMe	CH	N
i-Pr	Cl	CF ₃	СМе	СН	N
i-Pr	Br	CF ₃	CMe	CH	N
<i>i</i> -Pr	I	CF ₃	СМе	CH	N
<i>i</i> -Pr	F	CF ₃	СМе	CH	N
i-Pr	H	CF ₃	СМе	CH	N
<i>i</i> -Pr	Et	CF ₃	СМе	CH	N
<i>i</i> -Pr	Me	CF ₃	СМе	N	N
<i>i</i> -Pr	Cl	CF ₃	СМе	N	N
<i>i-</i> Pr	Br	CF ₃	СМе	N	N
<i>i</i> -Pr	I	CF ₃	СМе	N	N
<i>i</i> -Pr	F	CF ₃	СМе	N	N
i-Pr	H	CF ₃	СМе	'n	N
<i>i</i> -Pr	Et	CF ₃	СМе	N	N
i-Pr	Me	CF ₃	CEt	CH	N
<i>i</i> -Pr	Cl	CF ₃	CEt	CH	N
<i>i</i> -Pr	Br	CF ₃	CEt	CH	N
<i>i-</i> Pr	1	CF ₃	CEt	CH	N
<i>i-</i> Pr	F	CF ₃	CEt	CH	N
i-Pr	H	CF ₃	CEt	CH	N .
<i>i</i> -Pr	Et	CF ₃	CEt	CH	N
t-Bu	Me	CF ₃	СМе	N	CH
t-Bu	Cl	CF ₃	СМе	N	CH
t-Bu	Br	CF ₃	СМе	N	ĊH
t-Bu	I	CF ₃	СМе	N	CH
t-Bu	F	CF ₃	СМе	N	CH
t-Bu	H	CF ₃	· CMe	N	CH
t-Bu	Et	CF ₃	СМе	И	CH
t-Bu	Me	· CF ₃	СМе	CH	N

		•	•		
t-Bu	Cl	CF ₃	CMe	CH	N
t-Bu	Br	CF ₃	СМе	CH	N
t-Bu	I	CF ₃	СМе	CH	N
t-Bu	F	CF ₃	СМе	CH	N
t-Bu	H	CF ₃	СМе	CH	N
t-Bu	Et	CF ₃	СМе	CH	N
t-Bu	Me	CF ₃	СМе	N	N
t-Bu	Cl	CF ₃	СМе	N	N
t-Bu	Br	CF ₃	СМе	N	N
t-Bu	I	CF ₃	СМе	N	N
<i>t-</i> Bu	F	CF ₃	СМе	N	N
t-Bu	Н	CF ₃	СМе	N	N
t-Bu	Et	CF ₃	СМе	N	N
<i>i</i> -Pr	Me	OCF ₃	СМе	CH	N
i-Pr	Cl	OCF ₃	СМе	CH	N
<i>i</i> -Pr	Br	OCF ₃	СМе	CH	N
i-Pr	I	OCF ₃	СМе	CH	N
i-Pr	F	OCF ₃	CMe	CH	N
<i>i</i> -Pr	, H	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Et	OCF ₃	CMe	CH	N
i-Pr	Me	CF ₃	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	CH	CH	N
<i>i-</i> Pr	Br	CF ₃	CH	СН	N
i-Pr	I	CF ₃	CH	CH	N
<i>i-</i> Pr	F	CF ₃	CH	CH	N
i-Pr	H	CF ₃	CH	CH	Ŋ
i-Pr	Et	CF ₃	CH	CH	N
i-Pr	Me	Cl	СМе	CH	N
<i>i</i> -Pr	Cl	Cl	СМе	CH	N
<i>i</i> -Pr	Br	Cl	СМе	CH	N
i-Pr	I	Cl	· CMe	CH	N
i-Pr	F	Cl	СМе	CH	.N
· i-Pr	Н	Cl	СМе	CH	N
i-Pr	Et	Cl	СМе	CH	N



Table 7

R ³	R ⁴	Q	Х	Y	Z_
<i>i</i> -Pr	Me	S	CCF ₃	CH	СН
<i>i</i> -Pr	Cl	S	CCF ₃	CH	CH
<i>i-</i> Pr	Br	S	CCF ₃	CH	CH
<i>i</i> -Pr	ĭ	S	CCF ₃	CH	CH
<i>i</i> -Pr	F	S	CCF ₃	CH	СН
<i>i</i> -Pr	H	S	CCF ₃	CH	CH
<i>i-</i> Pr	Et	S	CCF ₃	CH	CH
<i>i-</i> Pr	Me	S	CCF ₃	СМе	CH
<i>i</i> -Pr	Cl	S	CCF ₃	CMe	CH
<i>i</i> -Pr	Br	S	CCF ₃	СМе	СН
<i>i</i> -Pr	I	S	CCF ₃	СМе	CH
<i>i</i> -Pr	F	S	CCF ₃	СМе	CH
<i>i</i> -Pr	Н	S	CCF ₃	СМе	CH
<i>i</i> -Pr	Et	S	CCF ₃	СМе	CH
<i>t</i> -Bu	Me	S	CCF ₃	СМе	CH
t-Bu	Cl	S	CCF ₃	СМе	CH
<i>t</i> -Bu	Br	S	CCF ₃	СМе	CH
t-Bu	I	S	CCF ₃	СМе	CH
t-Bu	F	S	CCF ₃	СМе	CH
t-Bu	H	S	CCF ₃	СМе	CH
<i>t</i> -Bu	Et	. S	CCF ₃	СМе	CH
<i>i</i> -Pr	Me	S	CCF ₃	СМе	\cdot N
<i>i</i> -Pr	Cl	S	CCF ₃	СМе	N
<i>i</i> -Pr	Br	S	CCF ₃	СМе	N
<i>i</i> -Pr	I	S	CCF ₃	СМе	. N .
<i>i</i> -Pr	F	S	CCF ₃	СМе	N
<i>i</i> -Pr	H	S	CCF ₃	СМе	N

<i>i</i> -Pr	Et	S	CCF ₃	СМе	N
<i>i</i> -Pr	Me	S	COCH ₂ CF ₃	СМе	N
i-Pr	Cl	S	COCH ₂ CF ₃	СМе	N
i-Pr	Br	S	COCH ₂ CF ₃	СМе	N
i-Pr	. I.	S	COCH ₂ CF ₃	СМе	N
i-Pr	F	S	COCH ₂ CF ₃	СМе	N
i-Pr	H	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Et	S	COCH ₂ CF ₃	СМе	N
i-Pr	Me	S	COCHF ₂	CMe	N
i-Pr	Cl	S	COCHF ₂	СМе	N
<i>i</i> -Pr	Br	S	COCHF ₂	СМе	N
<i>i</i> -Pr	I	S	COCHF ₂	СМе	N
<i>i</i> -Pr	F	S	COCHF ₂	СМе	N
i-Pr	H	S	COCHF ₂	СМе	N
i-Pr	Et	S	COCHF ₂	СМе	N
i-Pr	Me	Ο	CCF ₃	СМе	N
i-Pr	Cl	О	CCF ₃	СМе	N
<i>i</i> -Pr	Br	O	CCF ₃	СМе	N
<i>i</i> -Pr	I	Ο	CCF ₃	СМе	N
i-Pr	F	Ο	CCF ₃	CMe	\mathbf{N} .
i-Pr	H	Ο	CCF ₃	СМе	N
i-Pr	Et	0	CCF ₃	СМе	N
i-Pr	Me	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃
i-Pr	Br	NMe	N	CH	CCF ₃
i-Pr	I	NMe	N	CH	CCF ₃
<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
<i>i</i> -Pr	H	NMe	N	СН	CCF ₃
<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃
i-Pr	Cl	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Br	NEt	N	CH	CCF ₃
i-Pr	I	NEt	N	СН	CCF ₃
i-Pr	F	NEt	N	CH	CCF ₃
i-Pr	Н	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Et	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	N	CH	CC ₂ F ₃



<i>i</i> -Pr	Cl	NMe	N	СН	CC ₂ F ₃
<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
<i>i</i> -Pr	I	NMe	N	СН	CCF ₃
i-Pr	F	NMe	N	СН	CCF ₃
<i>i</i> -Pr	H	NMe	N	СН	CCF ₃
<i>i</i> -Pr	Et	NMe	N	СН	CCF ₃
t-Bu	Me	NMe	N	CH	CCF ₃
t-Bu	Cl	NMe	N	СН	CCF ₃
t-Bu	Br	· NMe	N	CH	CCF ₃
t-Bu	I	NMe	N	CH	CCF ₃
t-Bu	F	NMe	N	CH	CCF ₃
t-Bu	H	NMe	N	CH	CCF ₃
t-Bu	Et	NMe	N	CH	CCF ₃
i-Pr	Me	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Br	NMe	CH	N	CCF ₃
i-Pr	Ι.	NMe	CH	N	CCF ₃
<i>i</i> -Pr	F	NMe	CH	N	CCF ₃
i-Pr	H	NMe	CH	N	CCF ₃
i-Pr	Et	NMe	CH	N	CCF ₃
i-Pr	Me	NMe	N	'n	· CCF ₃
<i>i</i> -Pr	Cl	NMe	N	N	CCF ₃
i-Pr	Br	NMe	N	N	CCF ₃
<i>i</i> -Pr	I	NMe	N	N	CCF ₃
i-Pr	F	NMe	N	N	CCF ₃
i-Pr	Н	NMe	·N	N	CCF ₃
<i>i</i> -Pr	Et	NMe	N	N	CCF3

Table 8

		, , ,			
R3	R ⁴	Q	X	<u> Y</u>	Z
<i>i</i> -Pr	Me	NCHF ₂	СМе	N	CH
<i>i</i> -Pr	Cl	NCHF ₂	СМе	N	СН
i-Pr	Br	NCHF ₂	СМе	N ·	СН
<i>i</i> -Pr	I	NCHF ₂	СМе	N	CH
i-Pr	F	NCHF ₂	СМе	N	CH
<i>i</i> -Pr	H	NCHF ₂	СМе	N	СН
<i>i</i> -Pr	Et	NCHF ₂	СМе	N	CH
<i>i</i> -Pr	Me	NCHF ₂	CH	N	СМе
<i>i</i> -Pr	CI	NCHF ₂	CH	N	СМе
<i>i</i> -Pr	Br	NCHF ₂	CH	N	СМе
<i>i</i> -Pr	I	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	F	NCHF ₂	CH	N	СМе
<i>i</i> -Pr	Н	NCHF ₂	CH	N	CMe
i-Pr	Et	NCHF ₂	CH	N	СМе
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	СМе	N	CH
i-Pr	Cl	NCF ₂ CHF ₂	СМе	N.	CH
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	СМе	N	CH
i-Pr	I	NCF ₂ CHF ₂	СМе	N	CH
i-Pr	F	NCF ₂ CHF ₂	СМе	N	CH
i-Pr	H	NCF ₂ CHF ₂	СМе	N	СН
i-Pr	Et	NCF2CHF2	СМе	N	CH
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	СН	N	СМе
<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CH	N	СМе
i-Pr	Br	NCF ₂ CHF ₂	CH	N	СМе
i-Pr	I	NCF ₂ CHF ₂	CH	N	СМе
<i>i</i> -Pr	F	NCF ₂ CHF ₂	CH	N	СМе
<i>i</i> -Pr	Н	NCF2CHF2	CH	N	СМе
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CH	N	СМе
<i>i</i> -Pr	Me	NCH ₂ CF ₃	СМе	N	CH
<i>i</i> -Pr	Cl	NCH ₂ CF ₃	СМе	N	CH
<i>i</i> -Pr	Br	NCH ₂ CF ₃	CMe	N	СН
i-Pr	I	NCH ₂ CF ₃	СМе	N	CH
<i>i</i> -Pr	F	NCH ₂ CF ₃	СМе	N	CH
i-Pr	H	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Et	NCH ₂ CF ₃	СМе	N	CH
i-Pr	Me	NCH ₂ CF ₃	СН	N	СМе
		· ·			



i-Pr	Cl.	NCH ₂ CF ₃	CH	N	СМе
<i>i</i> -Pr	Br	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	I	NCH ₂ CF ₃	CH	N	- CMe
<i>i</i> -Pr	. F	NCH ₂ CF ₃	CH	N	СМе
<i>i</i> -Pr	Н	NCH ₂ CF ₃	CH	N	СМе
<i>i</i> -Pr	Et	NCH ₂ CF ₃	CH	Ŋ	СМе
i-Pr	Me	NCF2CHF2	N	CH	СМе
<i>i</i> -Pr	C1	NCF2CHF2	N	CH	СМе
<i>i</i> -Pr	Br	NCF2CHF2	N	CH	СМе
<i>i-</i> Pr	I	NCF2CHF2	N	CH	СМе
<i>i</i> -Pr	F	NCF2CHF2	N	CH	СМе
<i>i</i> -Pr	H	NCF2CHF2	N	CH	СМе
<i>i</i> -Pr	Et	NCF2CHF2	N	CH	СМе

Table 9

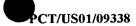
w	x	Y	z	R ³	R ⁴	R ⁷	R ⁸	
CH	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	Me	
CH	CH	CH	CH	t-Bu	Me	CF ₃	Me	
CH	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	Me	
CH	CH	CH	CH	t-Bu	Cl	CF ₃	Me	
СĦ	CH	CH	CH	i-Pr	Br	CF ₃	Me	
CH	CH	CH	CH	t-Bu	Br	CF ₃	Me	
CH	CH	CH	CH	<i>i</i> -Pr	Me	C1	Me	
CH	CH	CH	СН	<i>t</i> -Bu	Me	Cl	Me	
CH	. CH	CH	CH	<i>i</i> -Pr	Cl	Cl	Me	
CH .	CH	CH	СН	t-Bu	Cl	Cl	Me	

					•		
CH	CH	CH	СН	<i>i</i> -Pr	Br	Cl	Me
CH	CH	СН	CH	t-Bu	Br	Cl	Me
CH	CH	CH	CH	i-Pr	Me	Br	Me
СН	CH	СН	CH	t-Bu	Me	Br	Me
CH	CH .	CH	CH	i-Pr	Cl	Br	Me
CH	CH	СН	СН	<i>t</i> -Bu	Cl	Br	Me
CH	СН	CH	ĊН	<i>i-</i> Pr	Br	Br	Me
СН	CH	CH	СН	<i>t</i> -Bu	Br	Br	Me
CH	СН	CH	СН	i-Pr	Me	CN	Me
CH	CH	CH	CH	t-Bu	Me	CN	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	Me
CH	CH	CH	СН	t-Bu	Cl	CN	Me
СН	CH	CH	CH	<i>i</i> -Pr	Br	CN	Me
CH	CH	CH	СН	t-Bu	Br	CN	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	СН	t-Bu	Me	CF ₃	F
CH	CH	CH	СН	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH :	t-Bu	Cl w	CF ₃	F
СН	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	F
CH	CH :	CH	CH	t-Bu	Br	CF ₃	\mathbf{F}^{\perp}
СН	CH	СН	CH	<i>i</i> -Pr	Me	Cl	F
СН	CH	CH	СН	t-Bu	Me	Cl	F
CH	СН	CH	CH	<i>i</i> -Pr	Cl	Cl	F
СН	CH	СН	CH	<i>t</i> -Bu	Cl	Cl	F
СН	СН	СН	СН	<i>i</i> -Pr	Br	Cl	F
CH	СН	CH	CH	t-Bu	Br	Cl	F
СН	CH	CH	CH	<i>i</i> -Pr	Me	Br	F
CH	CH	CH	СН	<i>t</i> -Bu	Me	Br	F
СН	CH	CH	CH	<i>i</i> -Pr	Cl	Br	F
CH	СН	CH	CH	<i>t-</i> Bu	Cl	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	F
CH	CH	CH	CH	<i>t</i> -Bu	Br	Br	. F
CH	CH	СН	СН	i-Pr	Me	CN	F
CH	CH	CH	CH	t-Bu	Me	CN	\mathbf{F}
CH	СН	СН	CH	<i>i</i> -Pr	Cl	CN	F
CH	CH	CH	СН	t-Bu	Cl	CN	F
СН	CH	CH	CH	<i>i-</i> Pr	Br	CN	F



CH	CH ·	CH	СН	t-Bu	Br	CN	F
CH	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	t-Bu	Me	CF ₃	Cl
CH	CH	СН	CH	· i-Pr	Cl	CF ₃	Cl
CH	CH	СН	CH	t-Bu	Cl	CF ₃	Cl
CH	CH	СН	CH	i-Pr	Br	CF ₃	Cl
CH	CH	CH	CH	t-Bu	Br	CF ₃	Cl
CH	CH	CH	CH	i-Pr	Me	Cl	Cl
CH	CH	CH	CH	t-Bu	Me	CI	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	C1
CH	CH	CH	CH	t-Bu	Cl	Cl	Cl
CH	CH	CH	CH	i-Pr	Br	Cl	Cl
CH	CH	CH	CH	t-Bu	Br	CI	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	Cl
CH	СН	CH	CH	t-Bu	Me	Br	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	CI
CH	CH	CH	CH	t-Bu	C1	Br	Cl
CH	СН	CH	CH	<i>i</i> -Pr	Br	Br	CI
CH	СН	CH	CH	t-Bu	Br	Br	C1
CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	Cl
CH	CH	CH	CH	t-Bu	Me	CN	Cl
CH	CH	CH	CH	<i>i-</i> Pr	Cl	CN	Cl
CH	CH	CH	CH	t-Bu	Cl	CN	Ci
CH	CH	CH	CH	<i>i-</i> Pr	Br	CN	Cl
CH	CH	CH	CH	t-Bu	Br	CN	Cl
CH	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	Br
CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	Br
CH	CH	CH	CH	t-Bu	Br	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl _.	Br
CH	CH	CH	CH	t-Bu	Me	Cl	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	Br
CH	CH	CH	CH -	t-Bu	Cl	Cl	Br
CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	Br
CH .	CH .	CH	CH	t-Bu	Br	Cl	Br

CH	СН	СН	CH	i-Pr		Me.	Br	Br
CH	CH	СН	CH	t-Bu		Me	Br	Br
СН	CH	CH	CH	<i>i</i> -Pr		C1	Br	Br .
CH	CH	CH	CH	t-Bu	-	Cl	Br	Br
CH	CH	CH	CH	i-Pr	•	Br	Br	Br
CH	СН	CH	CH	t-Bu		Br	Br	Br
CH	CH	CH	CH	i-Pr		Me	CN	Br
CH '	CH	CH	CH	t-Bu		Me	CN	Br
CH	CH	CH	CH	i-Pr		Cl	CN	Br
CH	CH	CH	CH	t-Bu		Cl	CN	Br
CH	CH	CH	CH	<i>i</i> -Pr		Br	CN	Br
CH	CH	CH	CH	t-Bu		Br	CN	Br
CH	CH	CH	CH	i-Pr		Me	CF ₃	CN
CH	CH	CH	CH	t-Bu	•	Me	CF ₃	CN
CH	CH	CH	CH	<i>i-</i> Pr		Cl	CF ₃	CN
CH	CH	CH	CH	t-Bu		Cl	CF ₃	CN
CH	CH	CH	CH	i-Pr		Br	CF ₃	CN
CH	CH	CH	CH	t-Bu		Br	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr		Me	Cl	CN
CH	CH	CH	СН	t-Bu		Me	Cl	CN
CH	CH	CH	CH	<i>i</i> -Pr		Cl	Ci	CN
CH	CH	CH	CH	t-Bu	•	Cl	Cl	CN
CH	CH	CH	СН	<i>i-</i> Pr		Br	CI	CN
CH	CH	CH	CH	t-Bu		Br	Cl	CN
CH	СН	CH	CH	<i>i</i> -Pr		Me	Br	CN
CH	CH	CH	CH	t-Bu		Me	Br	CN
CH	CH	CH	CH	<i>i</i> -Pr		Cl	Br	CN
СН	СН	CH	CH	t-Bu		Cl	Br	CN
CH	CH	CH	CH	i-Pr		Br	Br	CN
CH	CH	CH	CH	t-Bu		Br	Br	CN
CH	CH	CH	CH	i-Pr		Me	CN	CN
CH	CH	CH	CH	t-Bu	•	Me	CN	CN
CH	CH	CH	СН	i-Pr		Cl	CN	CN
CH	CH	CH	CH	t-Bu		Cl	CN	CN
CH	CH	CH	СН	i-Pr	•	Br	CN	CN
CH	CH	CH	CH	t-Bu		Br	CN	CN
CH	CH	CH	N	<i>i</i> -Pr	•	Me	CF ₃	Me
	•							٠.



CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	СН	N	<i>i</i> -Pr	Br	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Br	CF ₃	Me
CH	CH	CH	N	<i>i-</i> Pr	Me	Cl	Me
CH	CH	CH	N	t-Bu	Me	Cl	Me
CH	CH '	CH	N	<i>i</i> -Pr	Cl	Cl	Me
CH	CH	CH	N	t-Bu	C1	Cl	Me
CH	CH	CH	N	<i>i</i> -Pr	Br	Cl	Me
СН	CH	CH	N	<i>t</i> -Bu	Br	Cİ	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	Me
CH	CH	CH	N	t-Bu	Me	Br	Me
CH	CH	CH	N	i-Pr	Cl	Br	Me
CH	CH	СН	N	t-Bu	Cl	Br	Me
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	Me
CH	CH	CH	N	t-Bu	Br	Br	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CN	Me
CH	CH	CH	N	t-Bu*	Me	CN	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	Me
CH	CH	CH	N	t-Bu	Cl ·	CN	Me
CH	CH	CH	N	<i>i-</i> Pr	Br	CN	Me
CH	CH	CH	N	t-Bu	Br	CN	Me
CH	CH	CH	N	i-Pr	Me	CF ₃	F
CH	CH	CH	N	t-Bu	Me	CF ₃	F
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	F
CH	CH	CH	N	t-Bu	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Br	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	F
CH	CH	CH	N	t-Bu	Me	Cl	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CI _.	F
CH	CH	CH	N	t-Bu	Cl	Cl	F
CH ·	CH	CH	N	i-Pr	Br	Cl	F
CH	CH	CH	N	t-Bu	Br	Cl	F
CH	CH	СН	N	i-Pr	Me	Br	F.
CH	CH	СН	N	t-Bu	Me	Br	F

CH	CH	CH	N	<i>i</i> -Pr	Cl	Br .	F
CH	CH	CH	N	t-Bu .	Cl	Br	F
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	F
CH	CH	CH	N	<i>t</i> -Bu	Br	Br	F
CH	CH	CH	N	i-Pr	Me	CN	F
CH	CH	CH	N	<i>t</i> -Bu	Me	CN	F
CH	CH	CH	N	<i>i</i> -Pr	C1	CN	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	CN	F
CH	CH	CH	N	i-Pr	Br	CN	F
CH	CH	CH	Ň	t-Bu	Br	CN	F
CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
CH	CH	CH	N	t-Bu	Me	CF ₃	C1
CH	CH	CH	N ·	<i>i</i> -Pr	Cl	CF ₃	Cl
СН	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	CH	СН	N	<i>i</i> -Pr	Br	CF ₃	Cl
CH	CH	CH	N	t-Bu	Br	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	Cl
СН	CH	CH	N	<i>t</i> -Bu	Me	Cl	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	Cl	Cl
CH	CH	CH	N	<i>t</i> -Bu	Cl	Cl	. C1
CH	СН	CH	N	<i>i</i> -Pr	Br	Cl	Cl
CH	СН	CH	N	t-Bu	Br	Cl	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	Cl
CH	СН	CH	N	t-Bu	Me	Br	Cl
CH	CH	CH	N	<i>i</i> -Pr	CI	Br	Cl
CH	CH	CH	N	t-Bu	Cl	Br	Cl
CH	СН	СН	N	<i>i</i> -Pr	Br	Br	CI
CH	СН	CH	Ň	t-Bu	Br	Br	Cl
CH	СН	CH	N	<i>i</i> -Pr	Me	CN	CI
CH	CH	СН	N	t-Bu	Me	CN	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	Cl
CH	CH	CH	N	<i>t</i> -Bu	Cl	CN	Cl
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	Cl
CH	CH	СН	N	t-Bu	Br	CN	Cl
CH	CH	CH	N	i-Pr	Me	CF ₃	Br
CH	СН	CH	N	t-Bu	Me	CF ₃	Br
CH	СН	CH	N	i-Pr	Cl	CF ₃	Br



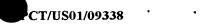
CH	СН	CH	N	t-Bu	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	Br
CH	CH	СН	N	t-Bu	Br	CF ₃	Br
CH	CH	СН	N	<i>i</i> -Pr	Me	Cl	Br
CH	CH	СН	N	t-Bu	Me	Cl	Br
CH	CH	СН	N	<i>i</i> -Pr	Cl	Cl	Br
CH	CH	CH	N	t-Bu	Cl	Cl	Br
CH	CH	CH	N	i-Pr	Br	Cl	Br
CH	CH	CH	N	t-Bu	Br	· Cl	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	Br
CH	CH	CH	N	<i>t</i> -Bu	Me	Br	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	Br	Br
CH	CH	CH	N	t-Bu	Cl	Br	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	Br
CH	CH	CH	N	t-Bu	Br	Br	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CN	Br
CH	CH	CH	N	t-Bu	Мe	CN	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	Br
CH	CH	CH	N	t-Bu	Cl	CN	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	Br
CH	CH	CH	N	t-Bu	Br	CN	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	t-Bu	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	t-Bu	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	CN
CH	CH	CH	N	t-Bu	Br	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	. CN
CH	CH	CH	N	t-Bu	· M e	Cl	CN
CH	CH	CH	N	<i>i-</i> Pr	Cl	Cl	CN
CH	CH	CH	N	<i>t</i> -Bu	Cl	Cl	CN
CH	CH	CH	N	<i>i</i> -Pr	Br	Cl _.	CN
CH	CH	CH	N	t-Bu	Br	Cl	CN
CH	CH	СН	· N	<i>i</i> -Pr	Me	Br	CN
CH	CH	CH	N	t-Bu .	Me	Br	CN
CH	CH	CH	N	<i>i-</i> Pr	Cl	Br	CN.
CH	CH	CH	N	t-Bu	Cl	Br	CN

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CH	· CH	СН	N	<i>i-</i> Pr	Br	Br	CN
CH	СН	CH	N	t-Bu	Br .	Br	CN
СН	СН	СН	· N	<i>i</i> -Pr	Me	CN	CN
CH	CH	CH	N	t-Bu	Me	CN	CN
СН	СН	CH	N	<i>i</i> -Pr	Cl	CN	CN
CH	СН	СН	N	t-Bu	Cl	CN	CN
CH	СН	CH	N	i-Pr	Br	CN	CN
CH	CH	CH	N	t-Bu	Br	CN	CN
CH	CH	CH	CH	Me	Me	CF ₃	F
CH	CH	СН	СН	Et	Me	CF ₃	F
CH	CH	СН	СН	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	F
CH	CH	CH	СН	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	F
CH	CH	СН	СН	propargyl	Me	CF ₃	F
CH	CH	CH	CH	Me	Me	CF ₃	Cl
CH	CH	СН	СН	Et	Me	CF ₃	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	Cl
CH	CH	CH	CH	propargyl	Me	CF ₃	Cl
CH	CH	СН	CH	Me	Me	Br	F
CH	CH	CH	CH	Et	Me	Br	F
СН	СН	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	Br	· F
CH	CH	CH	CH	propargyl	Me	Br	F
CH	СН	СН	CH	Me	Me	Br	Cl
CH	СН	CH	CH	Et	Me	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	Br	Cl
CH	CH	CH	CH	propargyl	Me	Br	Cl
CH	CH	CH	CH	Me	·CI	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	F
CH	CH	СН	CH	propargyl	C1	CF ₃ ·	F
CH	CH	СН	CH	Me	Cl	CF ₃	C1
CH	CH	CH	CH	Et	C1	CF ₃	CI
CH	СН	СН	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	Cl ·
CH	СН	СН	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	Cl
	•			•			



CH	CH	CH	·CH	propargyl	Cl	CF ₃	Cl
CH	CH	СН	CH	Me .	Cl	Br	F
CH	CH	CH ·	CH	Et	Cl	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	F
CH	CH	CH	CH	propargyl	Cl	Br	F
CH	CH	CH	CH	Me	Cl	Br	Cl
CH	CH	CH	CH	Et	Cl	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	Cl
CH	CH	СН	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	Cl
CH	CH	CH	CH	propargyl	Cl	Br	Cl
CH	CH	CH	N	Me	Me	CF ₃	F
CH	CH	СН	N	Et	Me	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	F
CH	CH	CH	N	propargyl	Me	CF ₃	F
CH	CH	CH	N	Me	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	Cl
CH	CH	CH	N	propargyl	Me	CF ₃	Cl
СН	CH	CH	N	Me	Me	Br	F
CH	CH	CH	N	Et	Me	Br	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	Br	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	Br	F .
CH ·	CH	CH	N	propargyl	Me	Br	F
CH	CH	СН	N	Me	Me	Br	Cl
CH	CH	СН	N	Et	Me	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	Br	Cl
CH	CH	СН	N	CH(CH ₃)CH ₂ SCH ₃	Me	Br	C1
CH	CH	CH	N	propargyl	Me	Br	C1
CH	CH	CH	N	Me	Cl	CF ₃	F
CH	СН	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	F
СН	CH	CH	N	propargyl	Cl	CF ₃	F
CH	CH	CH	N	Me	Cl	CF ₃	Cl

CH	СН	CH	N	Et	Cl	CF ₃	CI
CH	СН	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl ,	CF ₃	Cl
CH	CH	СН	N	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	Cl .
CH	CH ·	CH .	\mathbf{N}	propargyl	Cl	CF ₃	Cl
CH	CH	CH	N	Me	Cl	Br	Ė
CH	CH	CH	N	Et	CI	Br	F·
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	F
СН	CH	СН	N	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	F
CH	CH	CH	N	propargyl	Cl	Br	F
CH	CH	СН	N	Me	Cl	Br	Cl
СН	СН	СН	N	Et	CI	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	C1	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	C1	Br	Cl
CH	CH	CH	N	propargyl	Cl	Br	Cl
C-CI	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
C-F	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
СН	CH	CH	CH	i-Pr	Me	CF ₃	acetylene
СН	СН	СН	CH	<i>i</i> -Pr	Me	CF ₃	I
СН	CH	CH	СН	<i>i</i> -Pr	Me	CF ₃	SO ₂ Me
C-CI	СН	СН	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	acetylene
СН	CH	CH	CH	<i>i</i> -Pr	C1	CF ₃	I
CH	CH	СН	CH	<i>i</i> -Pr	Cl	CF ₃	SO ₂ Me
C-CI	CH	CH	СН	<i>i</i> -Pr	Me	Br	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Me	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	acetylene
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	I
СН	CH	CH	CH	<i>i</i> -Pr	Me	Br	SO ₂ Me
C-Cl	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Cl	Br	. F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	acetylene
CH	CH	CH	CH	i-Pr	Cl ·	Br	I
CH	CH	CH	СН	<i>i</i> -Pr	Cl	Br	SO ₂ Me
C-Cl	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
C-F	CH	CH .	N	<i>i</i> -Pr	Me	CF ₃	F
СН	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	acetylene
				•			



CH	CH	CH ·	N	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	N	i-Pr	Me	CF ₃	SO ₂ Me
C-Cl	CH	CH	Ń	<i>i</i> -Pr	Cl	CF ₃	Cl
C-F	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	acetylene
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl .	CF ₃	SO ₂ Me
C-Cl	CH	CH	N	<i>i</i> -Pr	Me	Br	Cl
C-F	CH	CH	N	i-Pr	Me	Br	F
CH	СН	CH	N	<i>i</i> -Pr	Me	Br	acetylene
CH	СН	СН	N	i-Pr	Me	Br	I
CH	CH	СН	N	i-Pr	Me	Br	SO ₂ Me
C-Cl	СН	CH	N	<i>i</i> -Pr	C1	Br	Cl
C-F	CH	CH	N	i-Pr	Cl	Br	F
CH	CH	CH	N	i-Pr	Cl	Br	acetylene
CH	CH	CH	N	<i>i</i> -Pr	Cl	Br	I
CH	CH	СН	N	<i>i</i> -Pr	Cl	Br	SO ₂ Me
CH	N	СН	N	<i>i</i> -Pr	Me	CF ₃	H
CH	N	CH	N	<i>i-</i> Pr	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
СН	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	H
CH	N	CH	N	i-Pr	CI	CF ₃	Me
CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
CH	N	CH	N	i-Pr	Me	CN	H
CH .	N	CH	N	<i>i-</i> Pr	Me	CN	∘ Me
CH	N	CH	N	<i>i-</i> Pr	Me	CN	Cl
CH	N	CH	N	<i>i</i> -Pr	Cl	CN	H
CH	N	CH	N	<i>t</i> -Pr	Cl	CN	Me
CH	N	CH	N	<i>i</i> -Pr	CI	CN	C1
CH	N	CH	N	i-Pr	Me	Br	H
CH	N	CH	N	<i>i</i> -Pr	Me	Br	Me
CH	N	CH	N	<i>i</i> -Pr	Me	Br _.	Cl
CH	N	CH	N	<i>i</i> -Pr	Cl	Br	H
CH	N	CH	N	<i>i-</i> Pr	Cl	Br	Me
CH	N	СН	N	<i>i</i> -Pr	Cl	Br .	Cl
CH	N	CH	N	t-Bu	Me	CF ₃	H .
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
•							•

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					,		
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	t-Bu	Cl	CF ₃	H
СН	N	CH	N	t-Bu	Cl	CF ₃	Me
СН	N	CH	N	t-Bu	Cl	CF ₃	Cl
СН	N	CH	N	t-Bu	Me	CN	H
СН	N	CH	N	t-Bu	Me	CN	Me
CH	N	CH	N	t-Bu	Me	CN	Cl
CH	N	СН	N	<i>t</i> -Bu	Cl	CN	H
CH	N	CH	N	<i>t-</i> Bu	C1	CN	Me
CH	N .	CH	N	t-Bu	C1	CN	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	Br	H
CH	'N	CH	N	t-Bu	Me	Br	Me
CH	N	CH	N	t-Bu	Me	Br	Cl
CH	N	CH	N	<i>t</i> -Bu	Cl	Br	H
СН	N -	CH	N	t-Bu	Cl	Br	Me
CH	N.	CH	N	<i>t</i> -Bu	Cl	Br	CI
СН	CH	N	N	i-Pr	Me	CF ₃	н
СН	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Me
СН	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Cl
СН	CH	N	N	<i>i</i> -Pr	CI	CF ₃	H
СН	СН	N	N	<i>i</i> -Pr	Cl	CF ₃	Me
СН	СН	N	N	i-Pr	Cl	CF ₃	Cl
СН	СН	N . '-	N.	<i>i</i> -Pr	Me	CN	H
CH .	СН	N	N	<i>i</i> -Pr	Me	CN	Me
СН	CH	N	N	<i>i</i> -Pr	Me	CN	C1
CH	СН	N	N	i-Pr	C1	CN	H
СН	CH	N	, . N	<i>i</i> -Pr	Cl	CN	Me
СН	СН	N	N	<i>i</i> -Pr	Cl	CN	Cl
СН	СН	N	N	<i>i-</i> Pr	Me	Br	H
CH	СН	N	N	<i>i-</i> Pr	Me	Br :	Me
СН	CH	N	N	<i>i</i> -Pr	Me	Br	CI
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	H
CH	CH	N	N	<i>i-</i> Pr	Cl	Br	Me
CH	CH	N	N :	i-Pr	Cl	Br	Cl
CH	CH	N	N	i-Pr	Me	CF ₃	H
CH	CH	N	N	<i>i-</i> Pr	Me	CF ₃	Me
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Cl
	•						

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CH	СН	N	N	<i>i</i> -Pr	Cl	CF ₃	H
CH	CH	N	N	i-Pr	Cl	CF ₃	Me
CH	CH	N	N	i-Pr	Cl	CF ₃	CI
CH	CH	N	N	<i>i</i> -Pr	Me	CN	H
СН	CH	N	N	<i>i-</i> Pr	Me	CN	Me
CH	CH	N	N	<i>i</i> -Pr	Me	CN	Cl
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	H
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	Me
CH	CH	N	N	i-Pr	Cl	CN	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	Br	H
CH	CH	N	N	<i>i-</i> Pr	Me	Br	Me
CH	CH	N	N	<i>i</i> -Pr	Me	Br	Cl
CH .	CH	N	N	<i>i</i> -Pr	CI	Br	. H
CH	CH	N	N	i-Pr	Cl	Br	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	Cl

Table 10

R ³	R ⁴	R ⁷	R ⁸	R9	R ¹⁰	·
Me	CF ₃	<i>i</i> -Pr	Me	H	H	
Me	CF ₃	<i>i</i> -Pr	Me	H	Me	
Me	CF ₃	i-Pr	Me	Cl	H	
Me	CF ₃	i-Pr	Me	Cl	Me	
Me	CF ₃	<i>i</i> -Pr	Me	Me	Me	
Cl	CF ₃	<i>i</i> -Pr	Me	H	. H	
Cl	CF ₃	<i>i</i> -Pr	Me	H	Me	
C1	CF ₃	<i>i</i> -Pr	Me	Cl	H	
Cl ·	CF ₃	<i>i</i> -Pr	Мe	Cl .	Me	
		٠.	07	•		

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Cl	CF ₃	<i>i</i> -Pr	Me	Me	Me
Me	CF ₃	t-Bu	Me	H ·	H
Me	CF ₃	t-Bu	Me	Н	Me
Me	CF ₃	t-Bu	Me	Cl	. H
Me	CF ₃	t-Bu	Me	Cl	Me
Me	CF ₃	t-Bu	Me	Me	Me
Cl	CF ₃	t-Bu	Me	н .	H
CI .	CF ₃	t-Bu	Me	H	Me
Cl	CF ₃	t-Bu	Me	Cl	H
Cl	CF ₃	t-Bu	Me	Cl	Me
Cl	CF ₃	t-Bu	Me	Me	Me

R ³	R ⁴	R ⁷	R ⁸	R ⁹	R ¹⁰	
Me	CF ₃	<i>i-</i> Pr	Me	H .	Me	
Me	CF ₃	<i>i</i> -Pr	Me	Me	Me	
Me	CF ₃	<i>i</i> -Pr	Cl	H	Me	
Ме	CF ₃	<i>i</i> -Pr	CI	Me	Me	
Cl	CF ₃	<i>i</i> -Pr	Me	H	Me	
Cl	CF ₃	<i>i</i> -Pr	Me	Me	Me	
Cl	CF ₃	<i>i</i> -Pr	Cl	H	Me	
C1	CF ₃	<i>i</i> -Pr	CI	Me	Me	
Me	CF ₃	<i>t</i> -Bu	Me	H	Me	
Me	CF ₃	<i>t</i> -Bu	Me	Me	Me	
Me	CF ₃	t-Bu	Cl	H	Me	
Me	CF ₃	t-Bu	C1	Me	Me	•
Cl	CF ₃	t-Bu	Me	Н	Me	
•					•	

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Cl	CF ₃	<i>t</i> -Bu	Me	Me	Me
Cl	CF ₃	<i>t</i> -Bu	Cl	H	Me
Cl	CF ₃	t-Bu	Cl	Me	Me
			Table 1	2	•

w	x	Y	z	R ³	R ⁴	R ⁷	R8
СН	СН	CH	СН	Et	Me	CF ₃	Cl ·
СН	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
СН	СН	CH	CH	t-Bu	Me	CF ₃	Cl
CH	СН	CH	CH	Et	Me	CF ₃	Br
CH	СH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	i-Pr	Me	CF ₃	I
CH	СН	CH	CH	t-Bu	Me	CF ₃	I
CH	СН	CH	. CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	F
CH	CH	CH	CH	t-Bu	Me	CF ₃	F
CH	СН	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	i-Pr	Me	CF ₃	Me
CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	i-Pr	Mę	- CF ₃	CF ₃
CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	CH	CH	CH	t-Bu	'Me	CF ₃	ОМе
СĦ	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	СН	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	СН	CH	CH	t-Bu	Cl	CF ₃	Cl
CH	СН	CH	CH	Et	Cl	CF ₃	Br
CH	СН	CH	CH	<i>i</i> -Pr	CÌ	CF ₃	Br
CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
CH	CH	CH	СН	Et	Cl	CF ₃	I
CH	CH	CH	СН	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	t-Bu	Cl	CF ₃	I
CH	СН	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
CH	СН	CH	CH	Et	Cl	CF ₃	Me
СН	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	t-Bu	CI	CF ₃	Me
CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
СН	СĦ	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	C1	CF ₃	OMe
CH	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
CH	СН	СН	CH	Et	Cl	CF ₃	CN
CH	CH	СН	CH	i-Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>t-</i> Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
CH	CH	CH	N ·	Et	Me	CF ₃	Br
CH	CH	CH	, N	i-Pr	Me	CF ₃	Br
CH	CH	CH	N	t-Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	i-Pr	Me	CF ₃	I
CH	CH	CH	N	. <i>t-</i> Bu	Me	CF ₃	I
CH	СН	CH	N	Et	Me	CF ₃	F
СН	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F



CH	СН	CH	N	t-Bu	Me	CF ₃	F
СН	СН	CH	N	Et	Me	CF ₃	Me
CH .	CH	СН	N	<i>i</i> -Pr	Me	CF ₃	Me
СН	СН	СН	N	t-Bu	Me	CF ₃	Me
СН	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	СН	СН	N	<i>i-</i> Pr	Me	CF ₃	CF ₃
СН	CH	СН	N	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
СН	CH	CH	N	t-Bu	Me	CF ₃	OMe
СН	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	i-Pr	Me	CF ₃	CN
CH	CH	CH	N	t-Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N ·	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	Ν.	t-Bu	C1	CF ₃	Br
CH	CH	CH	N	Et	Cl ·	CF ₃	I
CH	CH	CH	N	i-Pr	C1	CF ₃	I
CH	CH	CH	И .	t-Bu	C1	CF ₃	Ι
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N :	<i>i-</i> Pr	C1	CF ₃	F
CH	CH	CH	N	t-Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	СН	CH	N	t-Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N ·	t-Bu	Cl	CF ₃	CF ₃
CH	CH .	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	ОМе
CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
СН	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	t-Bu	Cl	CF ₃	CN

CH	CH	N	СН	Et	Me	CF ₃	Cl
CH	СН	N	СН	. <i>i-</i> Pr	Me	CF ₃	Cl
CH	CH	. N	CH	t-Bu	Me	CF ₃	Cl
CH	СН	N	CH	Et	Me	· CF ₃	Br
CH	СН	N	CH	i-Pr	Me	CF ₃	Br
СН	СН	N	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	СН	N	СН	Et	Me	CF ₃	1
CH	CH	N	CH	i-Pr	Me	CF ₃	I
CH	СН	N	CH	t-Bu	Me	CF ₃	Ţ
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	СН	i-Pr	Me	CF ₃	F
CH	СН	N	СН	t-Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	СН	N	CH	i-Pr	Me	CF ₃	Me
CH	CH	N .	CH	t-Bu	Me	CF ₃	Me
CH	СН	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	СН	i-Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	СН	i-Pr	Me	CF ₃	OMe
CH	CH	N	CH	t-Bu	Me	CF ₃	OMe
CH	СН	N	CH	Et	Me	CF ₃	CN
CH	СН	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	N	CH	t-Bu	Me	CF ₃	CN
CH	CH	· N	CH	Et	Cl ·	CF ₃	C 1
CH	CH	N	СН	i-Pr	Cl	CF ₃	Cl
CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
CH	CH	N.	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	. C1	CF ₃	Br
CH	CH	N	CH-	t-Bu	Cl	CF ₃	Br
СН	CH	N	СН	Et	Cl '	CF ₃	I
CH	CH	N	CH	i-Pr	Cl	CF ₃	I
CH	CH	N	CH	t-Bu	Cl	CF ₃	. I
CH	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	i-Pr	Cl	CF ₃	F
CH	СН	N	CH	t-Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	·CF ₃	Me



СН	СН	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
СН	СН	N	CH	t-Bu	Cl	CF ₃	Me
CH	СН	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	СН	N	CH	t-Bu	Cl	CF ₃	CF ₃
CH	СН	N	CH	Et	Cl	CF ₃	OMe
CH	СН	N	CH	i-Pr	Cl	CF ₃	OMe
CH	CH	N	CH	t-Bu	Cl	CF ₃	ОМе
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	СН	N	CH	i-Pr	Cl	CF ₃	CN
CH	СН	N	CH	t-Bu	Cl	CF ₃	CN
CH	N	СН	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	i-Pr	Me	CF ₃	Cl
CH	N	CH	CH	t-Bu	Me	CF ₃	Cl
CH	, N	CH	CH	. Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	· Me	CF ₃	Br
CH	N	CH	CH	t-Bu	Me	CF ₃	\mathbf{Br}
CH	N	CH	CH	Et	Me	CF ₃	I
СН	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	I
CH	N	CH	CH	t-Bu	Me	CF ₃	ĭ
CH	N	CH	CH	Et	Мe	CF ₃	F
СН	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	· F
CH	N.	CH	CH	t-Bu	Me	CF ₃	F
СН	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	i-Pr	Me	CF ₃	Me
CH	N	CH	CH	t-Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	OMe
CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	СН	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	CH	· t-Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i-</i> Pr	Cl	CF ₃	Cl

•							
CH	N	СН	CH	<i>t</i> -Bu	CI	CF ₃	Cl
CH	N.	CH	CH	Et	Cl	CF ₃	Br
CH	N	СН	CH	i-Pr	Cl	CF ₃	Br
CH	N .	CH	CH	t-Bu	Cl	CF ₃	Br
CH ·	N	CH	CH	Et	C1	CF ₃	1 .
CH	N	СН	CH	<i>i</i> -Pr	Cl	CF ₃	. I
СН	N	СН	CH	t-Bu	Cl	CF ₃	1
CH	N	CH	CH	Et	Cl	CF ₃	F
CH	N	CH	CH	i-Pr	Cl	CF ₃	F
CH	N	СН	СН	t-Bu	Cl	CF ₃	F
СН	N	CH	СН	Et	Cl .	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH .	N	CH	CH	t-Bu	Cl	CF ₃	Me
CH	N .	CH	CH	Et	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
СН	N	CH	CH	t-Bu	Cl	CF ₃	CF ₃
CH	; N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
СН	N	CH	CH	t-Bu	Cl	CF ₃	OMe
СН	N	СН	CH	Et	Ci	CF ₃	CN
CH	N	СН	CH	<i>i-</i> Pr	Cl	CF ₃	CN
CH	N	СН	CH	t-Bu	Cl	CF ₃	CN
N	CH	CH	CH	Et .	Me	CF ₃	Cl
N	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	C1
N	CH	СН	СН	t-Bu	Me	CF ₃	Cl
N	CH	CH	CH	Et	Me	CF ₃	Br
N	CH	CH	СН	<i>i-</i> Pr	Me	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
N	CH	CH	CH	Et	Me	CF ₃	I
N	CH	CH	CH.	<i>i</i> -Pr	Me	CF ₃	1,.
N	CH	CH	СН	t-Bu	Me	CF ₃	1
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	CH	i-Pr	Me	CF ₃	F
N	CH	СН	CH	t-Bu	Me	CF ₃	F
N	CH	СН	СН	Et	Me	CF ₃	Me
N	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	Me
N	СН	CH	CH	t-Bu	Me	CF ₃	Me



N	CH	CH	CH	Et	Me	CF ₃	CF ₃
N	СН	CH	CH	. <i>i</i> -Pr	Me ·	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	t-Bu	Me	CF ₃	ОМе
N	CH	CH	СН	Et	Me	CF ₃	CN
N	CH	CH	CH	i-Pr	Me	CF ₃	CN
N	CH	CH	CH	t-Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	Br
N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	I
N	CH	CH	CH	t-Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	t-Bu	Cl	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N ·	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	CH	CH	CH	t-Bu	Cl	CF ₃ .	Me
N	CH	CH	CH	Et	C1	CF ₃	CF ₃
N	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
N	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
N .	CH	CH	CH .	Et	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	. Cl
CH	N	CH	И	i-Pr	Me	CF ₃	Cl
CH	N	CH	N	t-Bu	Me	CF ₃	CI
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	СН	N	<i>i-</i> Pr	Me	CF ₃	Br
CH	N·	CH	N	t-Bu	Me	CF ₃	Br
СН	N	CH	N	Et	Me	CF ₃	. I
СН	N	СН	N	<i>i-</i> Pr	Me	CF ₃	I
СН	N	CH	N	t-Bu	Me	CF ₃	1
СН	N	CH	N	Et	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	СН	N	t-Bu	Me	CF ₃	F.
СН	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	i-Pr	Me	CF ₃	Me
CH .	N	CH	N.	t-Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
СН	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	N	t-Bu	Me	CF ₃	OMe
CH	N	CH	N	Et	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	N	t-Bu	Me	CF ₃	CN
CH	N	CH	N	Et	Cl	CF ₃	CI
CH	. N	СН	N	i-Pr	Cl	CF ₃	CI
CH	\mathbf{N}	СН	N	t-Bu	Cl	CF ₃	Cl
CH	N	СН	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i-</i> Pr	Cl	CF ₃	Br
СН	N	CH	N	t-Bu	Cl	CF ₃	Br
CH	N	СН	N	Et	C1	CF ₃	I,
СН	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	N	t-Bu	Cl	CF ₃	. I
CH	N	CH	N	Et	Cl	CF ₃	. F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
CH	N	СН	N	i-Pr	Cl	CF ₃	Me
CH	N	CH	N	t-Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃



CH	N .	CH	N	t-Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Et	Cl	CF ₃	ОМе
CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
CH	N	CH	N	t-Bu	Cl	CF ₃	ОМе
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	N	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CCI	Et	Me	CF ₃	Cl
CH	CH	CH.	CCI	<i>i</i> -Pr	Me	CF ₃	Cl
CH	СН	CH	CCI	t-Bu	Me	CF ₃	Cl
CH	СН	CH	CCI	Et	Me	CF ₃	Br
CH	СН	CH	CCI	<i>i</i> -Pr	Me	CF ₃	Br
CH	СН	CH	CCI	t-Bu	Me	CF ₃	Br
CH	CH	CH	CCI	Et	Me	CF ₃	I
CH	СН	CH	CCI	<i>i</i> -Pr	Me	CF ₃	I
CH	СН	CH	CCl	t-Bu	Me	CF ₃	I
CH	CH	CH	CCI	Et	Me	CF ₃	F
CH	CH	CH	CC1	i-Pr	Me	CF ₃	F
CH	СН	CH	CCl	t-Bu	Me	CF ₃	F
CH	CH	CH	CCl	Et	Me	CF ₃	Me
CH	CH	CH	CCI	i-Pr	Me	CF ₃	Me
CH	CH	CH	CCl	· t-Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCI	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	СН	CCI	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCI	Et	Me	CF ₃	OMe
CH	CH	CH	CCI	i-Pr	Me	CF ₃	OMe
CH	CH	CH	CCl	t-Bu	Me	CF ₃	OMe
CH	CH	CH	CCI	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CC1	t-Bu	Me	CF ₃	CN
CH	CH	CH	CCI	Et	Cl	CF ₃	Cl
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	Cl
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CCI	Et	Cl	CF ₃	Br
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	Br
CH	CH	CH	CCI	t-Bu	C1	CF ₃	Br

СН	CH	СН	CCI	Et	Cl	CF ₃	I
СН	СН	CH	CCl	i-Pr	Cl	CF ₃	I
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	I
CH	CH	CH	CCl	Et	Cl	CF ₃	F
СН	CH	CH	CCl	i-Pr	Cl	CF ₃	F
CH	CH	CH	CC1	t-Bu	Cl	CF ₃	F
СН	CH	CH	CCI	Et	Cl	CF ₃	Me
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Me
CH	CH	СН	CCI	Et	Cl	CF ₃	CF ₃
CH	СН	CH	CCl	<i>i</i> -Pr	C1	CF ₃	CF ₃
CH	СН	CH	CCI	t-Bu	Cl	CF ₃	CF ₃
СН	СН	CH	CCI	Et	Cl	CF ₃	OMe
CH	СН	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	OMe
СН	CH	CH	CCI	t-Bu	C1	CF ₃	ОМе
СН	CH	CH	CCI	Et	Cl	CF ₃	CN
CH	СН	CH	CCl	i-Pr	Cl	CF ₃	CN
CH	СН	CH	CCI	t-Bu	Cl	CF ₃	CN
CH	СН	CH	CF	Et	Me	CF ₃	Cl
СН	СН	CH	CF	i-Pr	Me	CF ₃	Cl
CH	СН	CH .	CF	t-Bu	Me	CF ₃	Cl
CH	СН	CH	CF	Et	Me	CF ₃	Br
CH	CH	CH	CF	i-Pr	Me	CF ₃	Br
СН	СН	CH	CF	t-Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	. I
CH	CH	CH	CF	i-Pr	Me	CF ₃	I
CH	СН	CH	CF	t-Bu	Me	CF ₃	I
CH	CH	CH	CF	Et .	Me	CF ₃	F
СН	CH	CH	CF	i-Pr	Me	CF ₃	F
CH	CH	CH	CF	t-Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	í-Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe



CH	CH	СН	CF	<i>i</i> -Pr	Me	CF ₃	OMe
CH	СН	СН	CF	t-Bu	Me	CF ₃	OMe
CH	СН	CH	CF	Et	Me	CF ₃	CN
СН	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH	СН	СН	CF	t-Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	C1
CH	CH	CH	CF	t-Bu	C1	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	C1	CF ₃	Br
CH	CH	CH	CF	t-Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	I
CH	CH	CH	CF	<i>i-</i> Pr	Cl	CF ₃	I
CH	CH	CH	CF	t-Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
СН	CH	CH	CF	t-Bu	C1	CF ₃	F
СН	CH	СН	CF	Et	Cl	CF ₃	Me
CH	CH	СН	CF	<i>i</i> -Pr	CI	CF ₃	Me
CH	CH	CH	CF	t-Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	СН	CF	<i>i</i> -Pr	ĊI	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	. Et	Cl	CF ₃	OMe
CH ·	CH	CH	CF ·	i-Pr	Cl	CF ₃	OMe
СН	CH	CH	CF	t-Bu	C1	· CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	i-Pr	C1	CF ₃	CN
CH	CH	CH	CF	t-Bu	C1	CF ₃	CN
CH	CH	CH	CH	Et	Me	C_2F_5	Cl
CH	CH	CH	CH-	<i>i</i> -Pr	Me	C_2F_5	Cl
CH	CH	CH	CH	· t-Bu	Me	C_2F_5	Cl
CH	CH	CH	CH	Et	Me	C_2F_5	Br
CH	СН	CH	CH	<i>i</i> -Pr	Me	C_2F_5	Br
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Br
CH	CH	CH	· CH	Et	Me	C_2F_5	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	Ţ
CH	CH	CH	CH	t-Bu	Me	C_2F_5	I

CH	СН	CH·	СН	Et .	Me	C_2F_5	F
СН	CH	CH	CH	i-Pr	Me	C_2F_5	F
CH	СН	CH	CH	t-Bu	Me	C_2F_5	F
СН	CH	CH	CH	Et	Me	C_2F_5	Me
CH	CH	CH	CH	i-Pr	Me	C_2F_5	Me
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Me
СН	CH	CH	СН	Et	Me	C_2F_5	CF ₃
CH	CH	CH	CH .	i-Pr	Me	C_2F_5	CF ₃
CH	CH	CH	CH	t-Bu	Me	C_2F_5	CF ₃
CH	CH	CH	СН	Et	Me	C_2F_5	OMe
CH	CH	CH	CH	i-Pr	Me	C_2F_5	OMe
CH	CH	CH	CH	t-Bu	Me	C_2F_5	OMe
CH	CH	CH	CH	Et	Me	C_2F_5	CN
CH	CH	СН	CH	i-Pr	Me	C_2F_5	CN
СН	CH	CH	CH	t-Bu	Me	C_2F_5	CN
CH	CH	CH	CH	Et	Cl	C_2F_5	Cl
СН	CH	CH	CH	i-Pr	Cl ,	C_2F_5	Cl
CH	CH	CH	СН	t-Bu	Cl	C_2F_5	· Cl
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
CH	CH	CH	СН	<i>i</i> -Pr	Cl	C_2F_5	Br
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	Br
CH	CH	CH	СН	Et	Cl	C_2F_5	I
CH	CH	CH	CH	<i>I</i> -Pr	Cl	C_2F_5	I
CH	CH	CH	СН	t-Bu	Cl	C_2F_5	I
CH	CH	CH	CH	Et	Cl	C_2F_5	F
CH	CH	CH	СН	i-Pr	Cl	C_2F_5	F.
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	F
СН	CH	CH	CH	Et	Cl	C_2F_5	Me
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	Me
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	Me
CH	CH	CH	СН	Et	Cl	C_2F_5	CF ₃
СН	· CH	CH	CH	i-Pr	Cl	C_2F_5	CF ₃
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	CF ₃
CH	CH	СН	CH	Et	Çl	C_2F_5	OMe
CH	CH	СН	CH	<i>i</i> -Pr	Cl	C_2F_5	OMe
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	OMe
CH	CH	CH	CH	Et	Cl	C_2F_5	CN

WO	11	/70671	



CH	CH	CH	CH	i-Pr	Cl	C_2F_5	CN
CH	CH	CH	CH	t-Bu	C1	C_2F_5	CN

Table 13

W	Х	Υ	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	i-Pr	Me	CF ₃	Br
CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	t-Bu	Me	CF ₃	I
CH	CH	CH	CH	Et .	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	СН	CH	t-Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	СН	CH	i-Pr	· Me	CF ₃	Me
CH	CH	CH	CH.	t-Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CH	Et	Me	CF ₃	CN

PCT/US01/09338

WA		

CIT	CIT	CIT	CII	∂ De	Me	CE ₂ :	CN
CH	CH	CH	CH	<i>i</i> -Pr		CF ₃	CN
CH	CH	CH	CH	t-Bu	Me	CF ₃	CI ·
CH	CH	CH	CH CH	Et <i>i-</i> Pr	Cl Cl	CF ₃	Cl
CH	CH	CH		<i>t-</i> F1	Cl		Cl
CH	CH	CH	CH CH	Et	Cl	CF ₃	Br
CH	CH	CH	СН	i-Pr	CI ·	CF ₃	Br
CH CH	CH	CH CH	СН	<i>t</i> -F1 <i>t</i> -Bu	CI CI	CF ₃ CF ₃	Br
	CH	CH	СН	Et	Cl	CF ₃ CF ₃	I
CH		•		i-Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>t-</i> F1 <i>t-</i> Bu	Cl	CF ₃	I
CH.	CH	CH	CH	<i>t-B</i> u Et	Cl	_	F
CH	CH	CH	CH			CF ₃	F
CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
CH	CH	CH	CH	t-Bu	CI	CF ₃	
CH	СН	CH	CH	Et	CI	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	CI	CF ₃	Me
CH	СН	CH	CH	t-Bu	Cl	CF ₃	Ме
CH	СН	CH	CH	Et	Cl	CF ₃	CF ₃
CH	СН	CH	CH	<i>i</i> -Pr	CI	CF ₃	CF ₃
CH	CH	CH	CH	<i>t-</i> Bu	, Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	ОМе
CH	CH	СН	CH	t-Bu	CI	CF ₃	OMe
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	СН	i-Pr	Cl	CF ₃	CN
CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	i-Pr	Me	CF ₃	. Cl
CH	CH	CH	N	<i>t-</i> Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	t-Bu	Мe	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	i-Pr	Me	CF ₃	I
CH	CH	CH	N	t-Bu	Me	CF ₃	I
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH ·	N	<i>i-</i> Pr	Me	CF ₃	F



СН	СН	CH	N	t-Bu	Me	CF ₃	F
СН	СН	СН	N	Et	Me	CF ₃	Me
CH	CH	CH	N	i-Pr	Me	CF ₃	Me
CH	CH	CH	N	t-Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>t-</i> Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	. CH	СН	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
CH	СН	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	СН	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	СН	CH	N	t-Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	t-Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	C1	CF ₃	Me
CH	CH	CH	N	i-Pr	Cl	CF ₃	Me
CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
СН	CH	CH	N	Et	· Cl	CF ₃	CF ₃
CH	CH	СН	N.	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	OMe
CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	t-Bu	Cl	CF ₃	CN

СН	СН	N .	СН .	Et	Me	CF ₃	Cl
CH	CH	N	CH ·	. i-Pr	Me	CF ₃	Cl
CH	СН	N	CH	t-Bu	Me	CF ₃	Cl
СН	CH	N	СН	Et	Me	CF ₃	Br
CH	СН	N	СН	i-Pr	Me .	CF ₃	Br
CH	CH	N	СН	t-Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I,
CH	CH	N	CH	i-Pr	Me	CF ₃	1
CH	CH	N	CH	t-Bu	Me	CF ₃	I
CH	CH	N	СН	Et	Me	CF ₃	F
CH	СН	N .	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N .	CH	t-Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i-</i> Pr	Me	CF ₃	Me
CH	CH	N	CH	t-Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N .	CH	<i>i-</i> Pr	Me	CF ₃	OMe
CH	СН	N	CH	t-Bu	Me	CF ₃	OMe
CH	СН	N	CH	Et	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
СН	СН	N	CH	t-Bu	Me	CF ₃	CN
СН	CH	N	CH	Et	Cl	CF ₃	Cl
СН	CH	N	CH	<i>i-</i> Pr	Cl	CF ₃	Cl
CH	CH	N	СН	t-Bu	Cl	CF ₃	Cl
CH	CH	N	СН	Et	Cl Cl	CF ₃	Br
CH	CH	N	CH	i-Pr	Cl	CF ₃	Br
CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
CH	СН	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Ţ
CH	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	N	CH	t-Bu	Cl	CF ₃	F
CH	CH	N .	CH	Et	Cl	· CF ₃	Me



СН	СН	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	СН	N	CH	t-Bu	Cl	CF ₃	Me
СН	CH	N	CH	Et	Cl	CF ₃	CF ₃
СН	СН	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
СН	CH	N	CH	t-Bu	Cl	CF ₃	CF ₃
СН	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	СН	i-Pr	Cl	CF ₃	OMe
CH	CH	N	CH	t-Bu	C1	CF ₃	OMe
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	CH	N	CH	i-Pr	C1	CF ₃	CN
CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
CH	N	СН	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
СН	. N	CH	CH	t-Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	СН	СН	t-Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	CH	t-Bu	Me	CF ₃	I
CH	N	CH	CH	Et	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	CH	t-Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	t-Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	OMe
CH	N	CH	CH	. <i>i-</i> Pr	Me	CF ₃	ОМе
CH	N	CH	CH	t-Bu	Me	CF ₃	ОМе
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	. N	CH	CH	. <i>t</i> -Bu	Me	CF ₃	CN
CH	N	CH	СН	Et	Cl	CF ₃	C1
CH	N	CH	CH	i-Pr	Cl	CF ₃	Cl

СН	N	СН	CH	t-Bu	Cl	CF ₃	Cl
СН	N	CH	СН	Et	Cl	CF ₃	Br
СН	N	CH	СН	<i>i</i> -Pr	Cl	CF ₃	Br
СН	N	СН	СН	<i>t-</i> Bu	Cl	CF ₃	Br
СН	N	СН	СН	Et	Cl	CF ₃	. I
СН	N	СН	СН	<i>i</i> -Pr	Cl	CF ₃	I
CH	N ·	CH	СН	t-Bu	Cl	CF ₃	1
СН	N	CH	СН	Et	Cl	CF ₃	$\mathbf{F}_{:}$
СН	N	CH	СН	<i>i</i> -Pr	Cl	CF ₃	F
СН	N	СН	СН	t-Bu	Cl	CF ₃	F
CH	N	СН	CH .	Et	Cl	CF ₃	Me
СН	N	CH	CH	i-Pr	Cl	CF ₃	Me
CH	N	СН	CH	<i>t</i> -Bu	Cl	CF ₃	Me
СН	N	CH	CH	Et	Cl	CF ₃	CF ₃
СН	N	CH	CH	i-Pr	Cl	CF ₃	CF ₃
CH	N.	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
СН	N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	C1	CF ₃	OMe
CH	N	CH	CH	t-Bu	Cl	CF ₃	OMe
CH	N	СН	СН	Et	Cl	CF ₃	CN
CH	N	CH	СН	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	СН	t-Bu	Cl	CF ₃	CN
N	CH	СН	CH	Et	Me	CF ₃	Cl
N	CH	СН	CH	i-Pr	Me	CF ₃	Cl
N	CH	СН	CH	<i>t-</i> Bu	Me	CF ₃	Cl
N	CH	СН	CH	Et	Me	CF ₃	Br
\mathbf{N}	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	t-Bu	Me	CF ₃	Br
N	CH	CH	CH	Et	Me	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
N	CH	CH	CH	t-Bu	Me	CF ₃	I
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	CH	i-Pr	Me	CF ₃	F
N	CH	CH	CH	t-Bu	Me	CF ₃	F .
N	CH	CH	CH	Et	Me	CF ₃	Me
N	CH	СН	СН	<i>i</i> -Pr	Me	CF ₃	Me
N	СН	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me



N	СН	CH	CH	Et	Me	CF ₃	CF ₃
N	CH	CH	CH	. <i>i-</i> Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃ ·	ОМе
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	t-Bu	Me	CF ₃	OMe
N	CH	CH	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	i-Pr	Me	CF ₃	CN
N	CH	CH	CH	t-Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	CH	CH	t-Bu	Cl	CF ₃	1
N	CH	CH	CH	Et	Cl	CF ₃	F
И	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	F
N	CH	CH	CH	t-Bu	Cl	CF ₃	F
N	СН	CH	CH	Et	Cl	CF ₃	Me
N	СН	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	СН	CH	CH	t-Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	CI	CF ₃	CF ₃
N	СН	CH	CH	Et	Cl	CF ₃	ОМе
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
. N	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
N	CH	CH	CH	Et	Cl	CF ₃	CN
N .	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH ·	N	CH	N	i-Pr	Me	CF ₃	Cl
CH	N	CH	N	t-Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

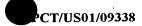
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CH	N	CH	N	t-Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I _.
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	I
СН	N	CH	N	Et	Me	CF ₃	F.
CH	N	. CH	N	<i>i-</i> Pr	Me	CF ₃	F
CH	N	CH	N	t-Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	<i>i-</i> Pr	Me	CF ₃	Me
CH	N	CH	N	t-Bu	Me	CF _{3.}	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
СН	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	i-Pr	Me	CF ₃	OMe
CH .	N	CH	N	t-Bu	Me	CF ₃	OMe
CH	. N	СН	N .	Et	Me	CF ₃	CN
CH	N	CH	N	i-Pr	Me	CF ₃	CN
CH	N.	CH	N	t-Bu	Me	CF ₃	CN
CH	N	CH	N	Et	Cl	CF ₃	Cl
CH	N	СН	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	N	CH	N.	t-Bu	Cl	CF ₃	Cļ
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	. N	CH	N	i-Pr	Cl	CF ₃	Br
CH	N	CH	N	t-Bu	Cl	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I.
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	N	t-Bu	Cl	CF ₃	ľ
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	t-Bu	Cl	CF ₃	F
CH	N	CH	, N	Et	CI	CF ₃	Ме
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
СН	N	CH	N .	t-Bu	Cl	CF ₃	Me
СН	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃



CH	N	CH	N	<i>t-</i> Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Et	Cl	CF ₃	OMe
CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
CH	N	CH	N	t-Bu	Cl	CF ₃	OMe
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	N	t-Bu	Cl .	CF ₃	CN
CH	CH	CH	CCI	Et	Me	CF ₃	Cl
CH	СН	CH	CCI	i-Pr	Me	CF ₃	Cl
CH	СН	CH	CC1	t-Bu	Me	CF ₃	Cl
CH	СН	СН	CCl	Et	Me	CF ₃	Br
CH	СН	CH	CCI	i-Pr	Me	CF ₃	Br
CH	СН	CH	CCI	t-Bu	Me	CF ₃	Br
CH	CH	ĊН	CCI	Et	Me	CF ₃	I
CH	СН	CH	CCl	<i>i</i> -Pr	Me	CF ₃	. 1
CH	CH	CH	CCl	t-Bu	Me	CF ₃	I
CH	CH	СН	CCI	Et	Me	CF ₃	F
CH	CH	CH	CCI	i-Pr	Me	CF ₃	F
CH	CH	CH	CCl	t-Bu	Me	CF ₃	F
CH	CH	CH	CC1	Et	Me	CF ₃	Me
CH	CH	CH	CCI	i-Pr	Me	CF ₃	Me
CH	CH	CH	CCI	t-Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCI	i-Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Me	CF ₃	OMe
CH	CH	CH	CC1	i-Pr	Me	CF ₃	OMe
CH	CH	CH	CCI	t-Bu	Me	CF ₃	OMe
CH	CH	CH	CC1	· Et	Me	CF ₃	CN
CH	CH	CH	CCI	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CC1	t-Bu	Me	CF ₃	CN
CH	CH	CH	CC1	Et	Cl	CF ₃	Cl
CH	СН	CH	. CC1	<i>i</i> -Pr	Cl	CF ₃	C1
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CCI	Et	C1	CF ₃	Br
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	Br
CH	СН	CH	CC1	t-Bu	Cl	CF ₃	Br

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		•					
СН	CH	CH	CCl .	Et	Cl	CF ₃	I
СН	СН	CH	CCI	<i>i-</i> Pr	Cl	CF ₃	I
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	. 1
СН	CH	CH	CCl	Et	Cl	CF ₃	F
СН	CH	CH	CCI	<i>i</i> -Pr	Cl .	CF ₃	F
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	, F
СН	CH	CH	CCI	Et	Cl	CF ₃	Me
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Me
СН	CH	СН	CCI	Et	Cl	CF ₃	CF ₃
СН	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	СН	СН	CCI	t-Bu	C1	CF ₃	CF ₃
СН	СН	СН	CCl	Et	Cl	CF ₃	OMe
СН	CH .	СН	CCl	i-Pr	Cl	CF ₃	OMe
СН	СН	СН	CCI	t-Bu	Cl	CF ₃	OMe
СН	CH	CH	CCI	Et	Cl	CF ₃	CN
СН	СН	CH	CC1	i-Pr	Cl	CF ₃	CN
СН	СН	CH	CCI	t-Bu	Cl	CF ₃	CN
СН	СН	СН	CF	Et	Me	CF ₃	Cİ
СН	СН	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
СН	СН	CH	CF ·	t-Bu	Me	CF ₃	Cl
СН	СН	СН	CF	Et	Me	CF ₃	Br
СН	СН	CH	CF	i-Pr	Me	CF ₃	Br
СН	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
СН	СН	CH	CF	Et	Me	CF ₃	. I
СН	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Ι.
СН	CH	CH	CF	t-Bu	Me	CF ₃	1 1
CH	CH	СН	CF	Et	Me	CF ₃	F
СН	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	t-Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	i-Pr	Me	CF ₃	Me
CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
CH	СН	СН	CF	Et	Me	CF ₃	CF ₃
CH	СН	CH	CF	i-Pr	Me	CF ₃	CF ₃
CH	СН	CH	CF	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	ОМе



СН	CH	ĊН	CF	<i>i-</i> Pr	Me	CF ₃	OMe
СН	CH	СН	CF	t-Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Et	Me	CF ₃	CN
CH	CH	CH	CF	<i>i-</i> Pr	Me	CF ₃	CN
CH	CH	CH	CF	t-Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
СН	CH	CH	CF	t-Bu	Cl	CF ₃	Br
СН	CH	CH	CF	Et	Cl	CF ₃	1
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	t-Bu	Cl	CF ₃	1
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF	t-Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	СН	CH	CF	i-Pr	Cl	CF ₃	Me
CH	CH	CH	CF	. t-Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i-</i> Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe
СН	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C_2F_5	Cl
CH	CH	· CH ·	CH-	<i>i</i> -Pr	Me	C_2F_5	Cl
CH .	CH	CH	CH	, t-Bu	Me	C_2F_5	Cl
CH	CH	CH	CH	Et	Me	c_2F_5	Br
CH	CH	CH	CH	<i>i-</i> Pr	Me	C_2F_5	Br
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Br
CH	СН	CH	CH	Et	Me	C_2F_5	1
CH	CH	CH	CH	i-Pr	Me	C_2F_5	· I
CH	CH	CH	CH	t-Bu	Me	C_2F_5	I

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СН	СН	СН	CH	Et	Me	C_2F_5	F
CH	СН	CH	СН	i-Pr	Me	C_2F_5	F
СН	СН	CH	CH	t-Bu	Me	C_2F_5	F
CH	СН	CH	CH	Et	Me	C_2F_5	Me
CH	СН	CH	СН	i-Pr	Me	C_2F_5	Me
CH	СН	CH	CH	t-Bu	Me	C ₂ F ₅	Me
CH	СН	CH	CH	Et	Me	C ₂ F ₅	CF ₃
CH	СН	CH	CH	<i>i</i> -Pr	Me	C_2F_5	CF ₃
CH ·	СН	CH	CH	t-Bu	Me	C_2F_5	CF ₃
CH	СН	CH	CH	Et	Me	C_2F_5	ОМе
СН	СН	CH	CH	i-Pr	Me	C_2F_5	OMe
CH	CH	CH :	CH	<i>t</i> -Bu	Me	C_2F_5	ОМе
CH	CH	CH	CH	Et	Me	C_2F_5	CN
CH	СН	CH	CH	<i>i-</i> Pr	Me	C_2F_5	CN
СН	СН	CH	CH	t-Bu	Me	C_2F_5	CN
CH	CH	CH	CH	Et	Cl	C_2F_5	Cl
CH	CH	СН	CH	<i>i</i> -Pr	Cl	C_2F_5	Cl
CH	CH	СН	CH	t-Bu	Cl	C ₂ F ₅	Cl
CH	СН	CH	CH	Et	Cl	C_2F_5	Br
СН	CH	CH	CH	<i>i</i> -Pr	Cl	C_2F_5	Br
CH	CH	СН	CH	t-Bu	Cl	C_2F_5	Br
CH	CH	СН	CH	Et .	Cl	C_2F_5	I
CH	СН	CH	CH	i-Pr	Cl	C_2F_5	I
CH	СН	CH	CH	<i>t</i> -Bu	Cl	C_2F_5	I
CH	CH	CH	CH	Et	Cl	C_2F_5	$\mathbf{F}^{(i)}$
CH	CH	CH	CH	<i>i-</i> Pr	C1	C_2F_5	F
CH	СН	CH	CH	t-Bu 🧒	Cl	C_2F_5	F
CH	CH	CH	CH	Et	CI	C_2F_5	Me
CH	CH	CH	CH	<i>i</i> -Pr	CI	C ₂ F ₅	Me
CH	СН	CH	CH	t-Bu	Cl	C_2F_5	Me
СН	СН	CH	СН	Et	Cl	C_2F_5	CF ₃
СН	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	CF ₃
CH	CH	CH	CH	Et	Cl	C_2F_5	OMe
СН	CH	CH	CH	<i>i</i> -Pr	Cl	C_2F_5	OMe
CH	СН	СН	CH	<i>t</i> -Bu	Cl	C_2F_5	OMe
СН	CH	СН	СН	Et	Cl	C_2F_5	CN
•							



СН	сн 🥍	СН	CH	<i>i-</i> Pr	Cl	C_2F_5	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Table 14

W	×	Y	z	R ³	R ⁴	R ⁷	R8
CH	СН	СН	CH	Et	Me	CF ₃	Cl
СН	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
СН	СН	CH	CH	t-Bu	Me	CF ₃	Cl
CH	СН	CH	CH	Et	Me	CF ₃	Br
CH	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
СН	CH	CH	CH	t-Bu	Me	CF ₃	Br
СН	CH	CH	СН	Et	Me	CF ₃	1
CH	CH	CH	СН	<i>i</i> -Pr	Me	CF ₃	I
СН	CH	CH	CH	t-Bu	Me	CF ₃	I
СН	CH	CH	CH	Et	Me	CF ₃	F
СН	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
СН	CH	CH	CH	t-Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
CH	CH	CH	CH	· Et	Me	CF ₃	CF ₃
CH	CH	ĊН	CH	<i>i-</i> Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	СН	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	CH	CH	CH	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CH	Et	Me	CF ₃	CN

СН	CH	CH .	CH	<i>i</i> -Pr	Me	CF ₃	CN
СН	CH	СН	СН	t-Bu	Me	CF ₃	CN
CH	СН	СН	ĊН	Et	Cl	CF ₃	Cl
СН	СН	СН	СН	i-Pr	C1	CF ₃	Cl
СН	СН	СН	СН	t-Bu	Cl	CF ₃	CI
CH	СН	СН	СН	Et	Cl	CF ₃	Br
CH	CH	СН	СН	<i>i</i> -Pr	C1	CF ₃	Br
CH	СН	CH	СН	t-Bu	Cl	CF ₃	Br
CH	СН	СН	СН	Et	Cl	CF ₃	I
CH	СН	CH	CH	<i>i</i> -Pr	Cl	CF ₃	1
СН	CH	СН	CH	t-Bu	Cl	CF ₃	I
СН	СН	СН	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	<i>l</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
CH	СН	.CH	CH	Et	Cl	CF ₃	Me
CH	СН	CH	CH	i-Pr	Cl	CF ₃	Me
СН	СН	CH	CH	t-Bu	Cl	CF ₃	Me
CH	СН	CH	CH	Et	Cl	CF ₃	CF ₃
CH	СН	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	СН	СН	CH	t-Bu	Cl	CF ₃	CF ₃
СН	СН	СН	CH	Et	Cl	CF ₃	OMe
CH	CH	СН	СН	i-Pr	Cl	CF ₃	ОМе
CH	СН	СН	СН	t-Bu	Cl	CF ₃	ОМе
СН	CH	CH	СН	Et	Cl	CF ₃	CN
CH	CH	CH	СН	i-Pr	Cl	CF ₃	CN
CH	СН	CH	СН	t-Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	CI
СН	СН	CH	N	i-Pr	Me	CF ₃	Cl
CH	CH	CH	N.	t-Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
СН	СН	CH	N	t-Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	Ι
CH	СН	CH	N	<i>i-</i> Pr	Me	CF ₃	Ï
CH	CH	CH	N	t-Bu	Me	CF ₃	I
CH	СН	СН	N	Et	Me	CF ₃	F
CH	CH	СН	N	<i>i</i> -Pr	Me	CF ₃	F



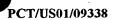
CH	CH	CH	N	t-Bu	Me	CF ₃	F
CH	СН	CH	N	Et	Me	CF ₃	Me
CH ·	CH	CH	N	<i>i</i> -Pr	Me ·	CF ₃	Me
CH	СН	CH .	N	t-Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	i-Pr	Me	CF ₃	\mathbb{CF}_3
CH	CH	СН	N	t-Bu	Me	· CF ₃	CF ₃
CH	CH	СН	N	Et	Me	CF ₃	ОМе
CH	CH	СН	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH .	N	t-Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	СН	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	t-Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl ·	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CI
СН	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
СН	CH	CH	N	t-Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	t-Bu	Cl	CF ₃	, F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	Me
CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	. Cl	CF ₃	CF ₃
CH	CH	CH	N ·	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	. N	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	t-Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	СН	. <i>i-</i> Pr	Me	CF ₃	Cl
CH	CH	N	CH	t-Bu	Me	CF ₃	Cl .
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	СН	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	N	СН	t-Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
СН	CH	N	СН	<i>i</i> -Pr	Me	CF ₃	I
СН	СН	N	CH	t-Bu	Me	CF ₃	I
СН	CH	N	СН	Et	Me	CF ₃	F
CH	СН	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH .	CH	N	CH	t-Bu	Me	CF ₃	F
СН	CH	N	CH	Et	Me	CF ₃	Me
СН	СН	N	CH	<i>i-</i> Pr	Me	CF ₃	Me
СН	CH	N	CH	t-Bu	Me	CF ₃	Me
CH	СН	N .	CH	Et	Me	CF ₃	CF ₃
СН	CH	N	CH	i-Pr	Me	CF ₃	CF ₃
CH	СН	N	СН	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	i-Pr	Me	CF ₃	ОМе
CH	CH	N	CH	t-Bu	Me	CF ₃	OMe
CH ™	CH	N	СН	Et	Me	CF ₃	CN
CH	CH	N	CH	i-Pr	Me	CF ₃	CN
CH	CH	N	СН	t-Bu	Me	CF ₃	CN
СН	СН	N	CH	Et	Cl.	CF ₃	Cl
СН	CH	N	CH	i-Pr	Cl	CF ₃	CI
CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	СН	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	i-Pr	Cl	CF ₃	I
CH	CH	N	СН	t-Bu	Cl	CF ₃	Ţ
CH	СН	N	CH	Et	Cl	CF ₃	F
CH	СН	N	СН	<i>i</i> -Pr	Cl	CF ₃	F
CH	СН	N	СН	t-Bu	Cl	CF ₃	F
CH	CH	N	СН	Et	Cl	CF ₃	Me



CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	CH	t-Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i-</i> Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	t-Bu	Cl	CF ₃	CF ₃
CH	СН	N	CH	Et	C1	CF ₃	OMe
CH	CH	N	CH	<i>i-</i> Pr	Cl	CF ₃	ОМе
CH	CH	N	CH	t-Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	C1	CF ₃	CN
CH	CH	N	CH	<i>i-</i> Pr	Cl	CF ₃	CN
CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	CH	t-Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	CH	t-Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	I
CH	N	CH	CH	i-Pr	Me	CF ₃	1
CH	N	CH	CH	t-Bu	Me	CF ₃	I
CH	N	СН	CH	Et	Me	CF ₃	F
CH	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	F
CH	N	CH	CH	t-Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	. Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	t-Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	i-Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	ОМе
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	N	CĤ	CH	t-Bu	Me	CF ₃	ОМе
CH	N	CH	СН	Et	Me	CF ₃	CN
CH	N	CH	CH	i-Pr	Me	CF ₃	CN
CH	N	CH	СН	. t-Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

СН	N	CH	СН	t-Bu	Cl	CF ₃	CI
CH	N	CH	CH	Et	Cl	CF ₃	Br
CH	N	CH	CH	i-Pr	CI	CF ₃	Br
CH	N	CH	CH	t-Bu	Cl	CF ₃	Br
CH	N .	CH	CH	Et	Cl	CF ₃	1
CH	N	CH	CH	<i>i-</i> Pr	Cl	CF ₃	I
CH	N ·	СН	CH	t-Bu	Cl	CF ₃	Ι.
CH	N	CH	CH	Et	Cl	CF ₃	F
CH	N	CH	CH	i-Pr	Cl	CF ₃	F
CH	N	CH	CH	t-Bu	Cl	CF ₃	F
CH	N	CH	CH	Et	Cl	CF ₃	Me
CH	N	СН	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	CH	t-Bu	Cl	CF ₃	Me
CH	N	CH	CH	Et .	Cl	CF ₃	CF ₃
CH	N	CH	CH	i-Pr	Cl	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Cl ·	CF ₃	CF ₃
CH	N	CH	СН	Et	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N .	CH	CH	t-Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Et	Cl	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Ċl	CF ₃	CN
СН	N	CH	CH	t-Bu	Cl -	CF ₃	CN
N	CH	CH	СН	Et	Me	CF ₃	Cl
N	CH	CH	CH	i-Pr	Me	CF ₃	Cl
N	СН	CH	CH	t-Bu	Me	CF ₃	Cl
N	CH	CH	CH	Et	Me ·	CF ₃	Br
N	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	t-Bu	Me	CF ₃	Br
N .	CH	CH	CH	Et	Me	CF ₃	· · I .
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
N	CH	CH	СН	t-Bu	Me	CF ₃	I
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	CH	i-Pr	Me	CF ₃	F
N	CH	CH	СН	t-Bu	Me	CF ₃	F
N	CH	CH	CH	Et	Me	CF ₃	Me
N	СН	CH	CH	i-Pr	Me	CF ₃	Me
N	CH	СН	CH	t-Bu	Me	CF ₃	Me



N	СН	СН	CH	Et	Me	CF ₃	CF ₃
N	СН	CH	CH .	<i>i</i> -Pr	Me	CF ₃	CF ₃
N	СН	СН	СН	t-Bu	Me	CF ₃	CF ₃
N	СН	СН	- CH	Et	Me	CF ₃	ОМе
N	CH	СН	СН	i-Pr	Me	CF ₃	ОМе
N .	CH	СН	CH	t-Bu	Me	CF ₃	OMe
N	CH	СН	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
N	CH	СН	CH	t-Bu	Me	CF ₃	CN
N	СН	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	СН	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	C1	CF ₃	Br
N	CH	CH	CH	i-Pr	Cl	CF ₃	Br
N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	I
N	CH	CH	CH	t-Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	t-Bu	Ċl	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
'n	CH	CH	CH	i-Pr	Cl	CF ₃	Me
N	CH	CH	CH	t-Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	ОМе
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	ОМе
N	CH	CH	CH-	t-Bu	Cl	CF ₃	OMe
N	CH	CH	CH	Et	Cl	CF ₃	CN
N	СН	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH	N	CH	N	i-Pr	Me	CF ₃	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	СН	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	N	t-Bu	Me	CF ₃	Br
CH .	N	CH	N	Et	Me	. CF ₃	I
CH	N	CH	N	i-Pr	Me	CF ₃	Ι.
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	I
CH	N	CH	N .	Et	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	СН	N	t-Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	i-Pr	Me	CF ₃	Me
CH	· N	СН	N	t-Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	CH	N	i-Pr	Me	CF ₃	CF ₃
CH	N	СН	N	. t-Bu	Me	CF ₃	CF ₃
CH	N	СН	N	Et	Me	CF ₃	OMe
CH	N	СН	N	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	N	СН	N	t-Bu	Me	CF ₃	OMe
CH	N	СН	N	Et	Me	CF ₃	CN
CH	N	СН	N	i-Pr	Me	CF ₃	CN
CH	N	СН	N	t-Bu	Me	CF ₃	CN
CH	N	СН	N	Et	Cl	CF ₃	Cl
CH	N	СН	N	i-Pr	Cl	CF ₃	Cl
CH	N	СН	N	t-Bu	Cl	CF ₃	Cl
CH	N	СН	N	Et	Cl	CF ₃	Br
CH	N	CH	Ň	i-Pr	Cl	CF ₃	Br
CH	N	СН	N	t-Bu	Cl	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	i-Pr	, Cl	CF ₃	1
CH	N	CH	N	· t-Bu	Cl	CF ₃	Ĭ
CH	N	CH	N·	Et	Cl -	CF ₃	· F
CH	· N	CH	N	i-Pr	Cl	CF ₃	F
CH	N	CH	N	t-Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
СН	N	СН	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	N	t-Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Çl	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃



CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
CH	N	СН	N	Et	Cl	CF ₃	ОМе
CH	N	CH	N .	<i>i-</i> Pr	Cl	CF ₃	ОМе
СН	N	CH	N	t-Bu	Cl	CF ₃	ОМе
СН	N	СН	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
СН	N	CH	N	t-Bu	Cl	CF ₃	CN
СН	CH	CH	CCl	Et	Me	CF ₃	Cl
CH	СН	CH .	CCI	i-Pr	Me	CF ₃	Cl
CH	СН	CH	CCI	t-Bu	Me	CF ₃	Cl
CH	СН	СН	CCI	Et	Me	CF ₃	Br
CH	СН	СН	CCI	i-Pr	Me	CF ₃	Br
CH	CH	CH	CCl	t-Bu	Me	CF ₃	Br
CH	СН	СН	CCl .	Et	Me	CF ₃	I
CH	СН	СН	CCI	i-Pr	Me	CF ₃	ľ
CH	CH	CH	CCI	t-Bu	Me	CF ₃	I
CH	CH	CH	CCl	Et	Me	CF ₃	F
CH	CH	CH	CCl	. i-Pr	Me	CF ₃	F
CH	СН	CH	CCI	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CCI	Et	Me	CF ₃	Me
CH	CH	CH	CCI	<i>i-</i> Pr	Me	CF ₃	Me
CH	CH	CH	CCl	t-Bu	Me	CF ₃	Me
CH	СН	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCI	i-Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	t-Bu	Me	CF ₃	CF ₃
CH	CH	. CH	CCI	Et	Me	CF ₃	OMe
CH	CH	CH	CCI	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CC1	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CCl	Et	Me	CF ₃	CN
CH	CH	CH	CC1	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CCI	t-Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
CH	CH	CH	CCl	. <i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CCI	Et	Cl	CF ₃	Br
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	Br
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	Br

CH	CH	CH	CCI	Et	CI	CF ₃	I.
СН	CH	CH	CCI	<i>i</i> -Pr	CI	CF ₃	I,
CH	CH	СН	CCI	t-Bu	Cl ·	CF ₃	I
СН	CH	СН	CC1	Et	Cl	CF ₃	F
СН	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	F
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	ŗ
CH	CH	CH	CCI	Et	Cl	CF ₃	Me
CH	CH	СН	CC1	i-Pr	CI	CF ₃	Me
CH	CH	СН	CC1	t-Bu	Cl	CF ₃	Me
CH	CH	СН	CCl	Et	Cl	CF ₃	CF ₃
CH	CH	СН	CC1	i-Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	CF ₃
CH	СН	CH	CCl	Et	Cl	CF ₃	OMe
СН	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	ОМе
СН	CH	СН	CCl	t-Bu	Cl	CF ₃	OMe
СН	CH	СН	CCI	Et	Cl	CF ₃	CN
СН	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
СН	СН	CH	CCl	t-Bu	Cl	CF ₃	CN
CH	CH	СН	CF	Et	Me	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	C1
СН	СН	СН	CF	t-Bu	Me	CF ₃	Cl
CH	СН	CH	CF	Et	Me	CF ₃	Br
CH-	СН	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
CH	СН	CH	CF	t-Bu	Me	CF ₃	Br
CH	СН	CH	CF	Et	Me	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I .
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	· . I ·
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	t-Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
СН	CH	CH	CF	Et	Me	CF ₃	CF ₃
СН	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
СН	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe



CH	CH	СН	CF	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	CH	CH	CF	t-Bu	Me	CF ₃	OMe
СН	CH	СН	CF	Et	Me	CF ₃	CN
CH	CH	СН	_ CF	<i>i-</i> Pr	Me	CF ₃	CN
CH	СН	СН	CF	t-Bu	Me	CF ₃	CN
CH	CH	СН	CF	Et	C1	CF ₃	Ci
CH	CH	СН	CF	<i>i-</i> Pr	Cl	CF ₃	Cl
CH	CH	СН	CF	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	СН	CF	<i>i-</i> Pr	Cl	CF ₃	Br
CH	CH	СН	CF	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	t-Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i-</i> Pr	Cl	CF ₃	F
CH	CH	CH	CF	t-Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	t-Bu	Cl	CF ₃	Me
CH	CH	·CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	i-Pr	Ċl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	ОМе
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	ОМе
CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C_2F_5	Cl
CH	CH	CH	CH-	<i>i</i> -Pr	Me	C_2F_5	Cl
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Cl
CH	CH	CH	CH	Et	Me	C_2F_5	Br
CH	CH	CH	CH	i-Pr	Me	C_2F_5	Br
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Br
CH	CH	CH	CH	Et	Me	C_2F_5	I
CH	CH	CH	CH	<i>i-</i> Pr	Me	C_2F_5	I
CH	CH	CH	CH	t-Bu	Me	C_2F_5	1

			•				
CH	CH	СН	CH	Et	Me	C_2F_5	F
CH	СН	CH	CH	i-Pr	Me	C_2F_5	F
CH	CH	CH	CH	t-Bu	Me	C_2F_5	F
CH	СН	CH	CH	Et	Me	C_2F_5	Me
CH	СН	СН	CH	<i>i</i> -Pr	Me	C_2F_5	Me
CH	CH	СН	CH	t-Bu	Me	C_2F_5	Me
СН	СН	CH	CH	Et	Me	C_2F_5	CF ₃
CH	СН	CH	CH	<i>i</i> -Pr	Me	C_2F_5	CF ₃
CH	CH	CH	CH	t-Bu	Me	C_2F_5	CF ₃
CH	CH	СН	CH	Et	Me	C_2F_5	OMe
CH	CH	СН	CH	<i>i</i> -Pr	Me	C_2F_5	OMe
СН	CH	CH	СН	t-Bu	Me	C_2F_5	ОМе
CH	СН	CH	СН	Et	Me ·	C_2F_5	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	CN
CH	CH	CH	CH	t-Bu	Me	C_2F_5	CN
CH	СН	CH	CH	Et	C1	C ₂ F ₅	CI
CH	CH	CH	CH	i-Pr	CI	C_2F_5	Cl
CH	CH	СН	СН	t-Bu	Cl	C_2F_5	Cl
CH	CH	CH	СН	Et	Cl	C_2F_5	Br
CH	СН	СН	СН	i-Pr	CI .	C_2F_5	Br
CH	CH	СН	CH	t-Bu	Cl	C ₂ F ₅	Br
CH	СН	CH	CH	Et	Cl	C_2F_5	I
CH	CH	СН	CH	i-Pr	Cl	C_2F_5	I .
CH	CH	CH	CH ·	t-Bu	Cl	C_2F_5	I
СН	СН	CH	СН	Et	C1	C_2F_5	F
СН	CH	СН	CH	i-Pr	Cl	C ₂ F ₅	F
CH	СН	CH	CH	t-Bu	Cl	C_2F_5	F
СН	CH	СН	CH	Et	Cl	C_2F_5	Me
CH	CH	СН	CH	i-Pr	Cl	C_2F_5	Me
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	Me
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	i-Pr	CI	C_2F_5	CF ₃
СН	CH	CH	СН	t-Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Cl	C_2F_5	OMe
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	OMe
CH	СН	CH	СН	t-Bu	C1	C_2F_5	OMe
CH	CH	CH	CH	Et	Cl	C_2F_5	CN



CH	CH	CH	CH	i-Pr	Cl	C_2F_5	CN
CH	СН	CH	CH	t-Bu	Cl	C ₂ F ₅	CN

<u>Table 15</u>

w	x	Y	<u>Z</u>	R ³	R ⁴	R ⁷	R ⁸
СН	СН	CH	CH	Et	Me	CF ₃	Cl
СН	СН	CH	CH	<i>i-</i> Pr	Me	CF ₃	Cl
СН	СН	CH	CH	t-Bu	Me	CF ₃	Cl
СН	СН	CH	СН	Et	Me	CF ₃	Br
CH	СН	CH	CH	i-Pr	Me	CF ₃	Br
CH	СН	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	СН	CH	CH	Et	Me	CF ₃	I
CH	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	СН	CH	CH	t-Bu	Me	CF ₃	I
CH	СН	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	i-Pr	Me	CF ₃	F
CH	СН	CH	CH	t-Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
СН	CH	CH	CH	t-Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	i-Pr	Me	CF ₃	OMe
CH	CH	CH	CH	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CH	Et	Me	CF ₃	CN

СН	СН	СН	СН	<i>i</i> -Pr	Me	CF ₃	CN
CH	СН	CH	CH	t-Bu	Me	CF ₃	CN
CH	СН	CH	СН	Et	Cl	CF ₃	Cl
CH	CH	СН	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	СН	СН	СН	<i>t-</i> Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	СН	t-Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	I
CH	СН	CH	СН	i-Pr	Cl	CF ₃	Ţ
CH	CH	CH	CH	t-Bu	Cl	CF ₃	<u> </u>
CH	СН	СН	СН	Et	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	СН	CH	CH	t-Bu	Cl	CF ₃	F
CH	СН	CH	CH	Et	Cl	CF ₃	Me
СН	CH	СН	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	СН	CH	CH	t-Bu	Cl	CF ₃	Me
CH	CH	CH	СН	Et	C1	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	CI	CF ₃	CF ₃
CH	CH	СН	CH	t-Bu	Ci	CF ₃	CF ₃
СН	CH	СН	CH	Et	Cl	CF ₃	OMe
СН	СН	CH	СН	i-Pr	C1	CF ₃	OMe
CH	CH	СН	CH	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	СН	Et	Cl	CF ₃	CN
CH	CH	СН	СН	i-Pr	Cl	CF ₃	CN
CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
СН	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
СН	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	t-Bu	Me	CF ₃	Br
CH	СН	CH	N	Et	Me	CF ₃	1
СН	CH	CH	N ,	i-Pr	Me	CF ₃	I
СН	СН	CH	N	t-Bu	Me	CF ₃	1
CH	CH	CH	N	Et	. Me	CF ₃	· F
CH	CH	СН	N	<i>i</i> -Pr	Me	CF ₃	F

СН	CH	CH	N	t-Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	i-Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	i-Pr	Me	CF ₃	CF ₃
CH	СН	CH	N	t-Bu	Me	CF ₃	CF ₃
СН	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
CH	СН	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	i-Pr	Me	CF ₃	CN
CH	CH	CH	N	t-Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	Cl
СН	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	i-Pr	Cl	CF ₃	Br
CH	CH	CH	N	. t-Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	i-Pr	Cl	CF ₃	I
CH	CH	CH	N	t-Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	t-Bu	Cl	CF ₃	F
CH	CH	CH	N	Et ·	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
CH	СН	CH	N	Et	· CI	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	. <i>t-</i> Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	ОМе
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
CH	СН	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	t-Bu	Cl	CF ₃	CN

CH	N	CH	Et	Me	CF ₃	Cl
CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	t-Bu	Me	CF ₃	C1
СН	N	CH	Et	Me	CF ₃	Br
СН	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	t-Bu	Me	CF ₃	Br.
CH .	N	CH	Et	Me	CF ₃	1
CH	N	CH	i-Pr	Me	CF ₃	I
CH	N	СН	t-Bu	Me	CF ₃	I
СН	N	CH	Et	Me	CF ₃	F
СН	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	СН	t-Bu	Me	CF ₃	F
СН	N	СН	Et	Me	CF ₃	Me
СН	N	CH	i-Pr	Me	CF ₃	Me
CH	N	СН	t-Bu	Me	CF ₃	Me
CH	N	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	i-Pr	Me	CF ₃	CF ₃
CH	N	. CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	Et	Me	CF ₃	OMe
СН	N	CH	i-Pr	Me	CF ₃	ОМе
CH	N	CH	t-Bu	Me	CF ₃	ОМе
СН	N	CH	Et	Me	CF ₃	CN
CH	N	CH	i-Pr	Me	CF ₃	CN
CH	N	CH	t-Bu	Me	CF ₃	CN
СН	N	CH	Et	Cl	CF ₃	·, Cl
CH	N	CH	i-Pr	Cl	CF ₃	Cl
СН	N	CH	t-Bu	Cl	CF ₃	Cl
CH	N	CH	Et	CI	CF ₃	Br
CH	N	CH	<i>i</i> -Pr	· Cl	CF ₃	Br
СН	N	CH	t-Bu	Cl	CF ₃	Br
CH	N	СН	Et `	Cl	CF ₃	I
CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Ι.
CH	N	CH	t-Bu	Cl	CF ₃	I
CH	N	CH	Et	C 1	CF ₃	F
CH	N	CH	i-Pr	Cl	CF ₃	F
CH	N	СН	t-Bu	Cl	CF ₃	F
CH	N	CH	Et	CI	CF ₃	Me
	CH CH CH CH CH CH CH CH CH CH CH CH CH C	CH N CH N	CH N CH CH N CH	CH N CH t-Pr CH N CH t-Bu CH N CH t-Bu CH N CH t-Pr CH N CH t-Pu CH N </td <td>CH N CH i-Pr Me CH N CH i-Pr Me CH N CH i-Eu Me CH N CH i-Pr Me CH N CH i-Eu Me CH N CH i-Pr Me</td> <td>CH N CH i-Pr Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Pr Me CF3 CH N CH</td>	CH N CH i-Pr Me CH N CH i-Pr Me CH N CH i-Eu Me CH N CH i-Pr Me CH N CH i-Eu Me CH N CH i-Pr Me	CH N CH i-Pr Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Bu Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Pr Me CF3 CH N CH i-Pr Me CF3 CH N CH



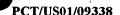
CH	СН	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	СН	N	CH	<i>t</i> -Bu	CI	CF ₃	Me
CH	СН	N	CH	Et	Cl	CF ₃	CF ₃
CH	СН	N	CH '	i-Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	t-Bu	Cl	CF ₃	CF ₃
CH	СН	N	CH	Et	Cl	CF ₃	ОМе
CH	CH	N	CH	i-Pr	Cl	CF ₃	OMe
CH	CH	N	CH	t-Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	C1	CF ₃	CN
CH	, CH	N	CH	<i>i</i> -Pr	C1	CF ₃	CN
CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
CH	N N	CH	СН	Et	Me	CF ₃	C1
CH	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	Cl
CH	N	CH	CH	t-Bu	Me	CF ₃	C1
CH	N	CH	СН	Et	Me	CF ₃	Br
CH	N	CH	CH	i-Pr	Me	CF ₃	Br
CH	N	CH	CH	t-Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	1
CH	N	CH	СН	<i>i-</i> Pr	Me	CF ₃	1
CH	N	CH	CH	t-Bu	Me	CF ₃	1
CH	N	CH	CH	Et	Me	CF ₃	F
CH	N	CH	CH	i-Pr	Me	CF ₃	F
CH	N	CH	CH	t-Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	t-Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	CH.	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	CH	t-Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

				•	•		
CH	N	СН	CH	<i>t</i> -Bu	CI	CF ₃	CI
CH	N	CH	CH	. Et	Cl	CF ₃ ·	Br
CH	N	СН	CH	<i>i-</i> Pr	C1	CF ₃	Br
СН	N	CH	CH	t-Bu	Cl	CF ₃	Br
CH ·	N	CH	CH	Et	Cl	CF ₃	, I
CH	N	CH	CH	i-Pr	Cl	CF ₃	I
CH	N	CH	CH	t-Bu	C1	CF ₃	1
CH	N	CH	CH	Et	Cl	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	СН	t-Bu	Cl	CF ₃	F
CH	N	CH	CH	Et	Cl	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	СН	СН	t-Bu	Cl	CF ₃	Me
CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
CH	N	CH	CH	i-Pr	Cl	CF ₃	CF ₃
CH :	N	СН	CH	t-Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	i-Pr	Cl	CF ₃	OMe
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	СН	CH	Et	Cl	CF ₃	CN
СН	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	СН	CH	<i>t</i> -Bu	CI ,	CF ₃	CN
N	CH	СН	CH	Et	Me	CF ₃	CI
N	CH	CH	CH	i-Pr	Me	CF ₃	Cl
N	CH	CH	CH	t-Bu	Me	CF ₃	Cl
N	CH	CH	CH	Et	Me	CF ₃	Br
N	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	t-Bu	Me	CF ₃	Br
N	CH	CH	CH	Et	Me	CF ₃	1
N	CH	CH	CH	i-Pr	Me	CF ₃	1
. N	CH	СН	CH	t-Bu	Me	CF ₃	I
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	СН	i-Pr	Me	CF ₃	F
N	CH	CH	СН	t-Bu	Me	CF ₃	F
N	CH	CH	CH	Et	Me	CF ₃	Me
·N	CH	CH	CH	i-Pr	Me	CF ₃	Me
N	CH	· CH	CH	t-Bu	Me	CF ₃	Me



N	СН	CH	CH	Et	Me	CF ₃	CF ₃
N	CH	CH .	CH	<i>i-</i> Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	ОМе
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	t-Bu	Me	CF ₃	OMe
N	CH	CH	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
N	CH	CH	CH	t-Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	C1
N	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	СН	СН	CH	i-Pr	Cl	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	· CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	СН	CH	t-Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Ci	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	t-Bu	CI	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	CH	CH	CH	t-Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	ОМе
N	CH	CH	CH	. <i>t</i> -Bu	Cl .	CF ₃	ОМе
N	CH	CH	CH	Et	Cl	CF ₃	CN
N	CH	CH .	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	t-Bu	Cl	CF ₃	ĊN
CH	N	CH	N	Et	Me	CF ₃	C1
СН	N	CH	N	. <i>i-</i> Pr	Me	CF ₃	Cl .
CH	N	· CH	N	t-Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	СН	· N	<i>i-</i> Pr	Me	CF ₃	Br
CH	N	CH	N	t-Bu	Me	CF ₃	Br
CH	N	СН	N	Et	Me	CF ₃	r
СН	N	CH	N	<i>i-</i> Pr	Me	CF ₃	I.
CH	N	CH	N	t-Bu	Me	. CF ₃	1
CH	N	CH	N	Et	Me	CF ₃	· F
СН	N .	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	N	t-Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	N	t-Bu	Me	CF ₃	Me
CH	N	CH .	N .	Et	Me	CF ₃	CF ₃
CH	N	CH	N .	i-Pr	Me	CF ₃	CF ₃
CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
СН	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
СН	N	СН	N	t-Bu	Me	CF ₃	OMe
CH	N	CH	N	Et	Me	CF ₃	CN
СН	N	CH	N	i-Pr	Me	CF ₃	CN
СН	N	CH	N	t-Bu	Me	CF ₃	CN
СН	N	CH	N.	Et	Cl	CF ₃	Cl
СН	N	CH	N	i-Pr	Cl	CF ₃	Cl
CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i-</i> Pr	Cl	CF ₃	Br
CH	N	CH	N	t-Bu	Cl .	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	i-Pr	Cl	CF ₃	, I
CH	N	CH	N	t-Bu	Cl	CF ₃	I
CH	N	CH	N	Et	CI	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
СН	N	CH	N	t-Bu	Cl	CF ₃	F
CH	N	CH	N .	Et	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	N	t-Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃



CH	N	СН	N	t-Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Et	Cl	CF ₃	ОМе
CH	N	CH	N	<i>i</i> -Pr	CI	CF ₃	ОМе
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	i-Pr	Cl	CF ₃	CN
CH	N .	CH	N	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CCl	Et	Me	CF ₃	Cl
CH	CH	CH ·	CC1	i-Pr	Me	CF ₃	Cl
CH	CH	CH	CCI	t-Bu	Me	CF ₃	Cl
CH	CH	CH	CC1	Et	Me	CF ₃	Br
СН	CH	СН	CC1	i-Pr	Me	CF ₃	Br
CH	СН	CH	CCl	t-Bu	Me	CF ₃	Br
CH	CH	CH	CCl	Et	Me	CF ₃	I
CH	CH	CH	CCl	<i>i-</i> Pr	Me	CF ₃	I
CH	CH	CH	CCl	t-Bu	Me	CF ₃	I
CH	CH	CH	CCI	Et	Me	CF ₃	F
CH	CH	CH	CC1	i-Pr	Me	CF ₃	F
CH	CH	CH	CCI	t-Bu	Me	CF ₃	F
CH	CH	CH	CCl	Et	Me	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CCl	t-Bu	Me	CF ₃	Me
CH	CH	CH	CCI	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCl	i-Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCI	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Me	CF ₃	ОМе
CH	CH	CH	CCl	<i>i-</i> Pr	Me	CF ₃	OMe
CH	CH	CH	CCI	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CCl	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CCI	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CC1	Et	Cl	CF ₃	Cl
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CC1	Et	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CCl	t-Bu	CI	CF ₃	Br

	•						· · · · · · · · · · · · · · · · · · ·
СН	CH	CH	CCI	Et	Cl	CF ₃	1
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	I
СН	СН	СН	CC1	t-Bu	Cl	CF ₃	. 1
СН	CH	CH	CCI	Et	Cl	CF ₃	\mathbf{F}_{\perp}
СН	CH	CH	CCI	i-Pr	Cl	CF ₃	F
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	F
СН	CH	CH :	CCI	Et	Cl	CF ₃	Me
СН	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	Me
CH	CH	CH	CCI	Et	Cl .	CF ₃	CF ₃
CH	СН	СН	CCI	<i>i</i> -Pr	Cl ,	CF ₃	CF ₃
CH	СН	CH	CCI	t-Bu	Cl	CF ₃	CF ₃
CH	СН	CH	CCI	Et	Cl	CF ₃	ОМе
CH	СН	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	OMe
СН	СН	CH	CCI	t-Bu	Cl	CF ₃	OMe
СН	СН	CH	CC1	Et	Cl	CF ₃	CN
CH	СН	CH	CCI	i-Pr	Cl	CF ₃	CN
CH	СН	CH	CCI	t-Bu	Cl	CF ₃	CN
CH	СН	CH	CF	Et	Me	CF ₃	CI
СН	СН	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
СН	CH	СН	CF	t-Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Et	Me	CF ₃	Br
CH	СН	CH	CF	<i>i</i> -Pr	Me .	CF ₃	Br
CH	CH	CH	CF	t-Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	. I
CH	CH	CH	CF	i-Pr	Me	CF ₃	I
CH	CH	CH	CF	t-Bu	Me	CF ₃	I
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	<i>i-</i> Pr	Me	CF ₃	F.
CH	CH	CH	CF	t-Bu	Me	CF ₃	F
CH	CH	CH	CF	Et .	Me	CF ₃	Me
CH	CH	CH	CF	<i>i-</i> Pr	Me	CF ₃	Me
CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i-</i> Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe



CH	СН	СН	CF	i-Pr	Me	CF ₃	OMe
CH	СН	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
CH	СН	CH	CF	Et	Me	CF ₃	CN
CH	СН	СН	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH .	CH	CH	CF	t-Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Ci
CH	CH	CH	CF	<i>i-</i> Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	t-Bu	Cl	CF ₃	Cl
CH	СН	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	i-Pr	Cl	CF ₃	Br
CH	CH	CH	CF	t-Bu	C1	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	1
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	t-Bu	Cl	CF ₃	1
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF .	t-Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	t-Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH.	CH	CH	CF	<i>i-</i> Pr	Ċl	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i-</i> Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C_2F_5	Cl
CH	CH	CH	CH	i-Pr	Me	C_2F_5	Cl
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Cl
CH	CH	CH	CH	Et	Me	C_2F_5	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	C_2F_5	Br
CH	CH	CH	CH	Et	Me	C_2F_5	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	1
CH	CH	CH	CH	t-Bu	Me	C_2F_5	. I

CH	CH	СН	CH	Et	Me	C_2F_5	F
CH	СН	CH	CH	i-Pr	Me	C_2F_5	F
CH	CH	CH	CH	t-Bu	Me	C_2F_5	F
CH	CH	CH	CH	Et	Me	C_2F_5	Me
CH	CH	CH	CH	i-Pr	Me	C_2F_5	Me
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Me
CH	CH	CH	CH	Et	Me	C_2F_5	CF ₃
CH	CH	CH	CH	i-Pr	Me	C_2F_5	CF ₃
CH	СН	CH	CH	t-Bu	Me	C_2F_5	CF ₃
CH	CH	CH	CH	Et	Me	C_2F_5	OMe
СН	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
CH	CH	CH .	CH	<i>t</i> -Bu	Me	C_2F_5	OMe
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
CH	CH	CH	CH	/-Pr	Me	C_2F_5	CN
СН	CH	CH	CH	t-Bu	Me	C_2F_5	CN
CH	CH	CH	CH	Et	Cl	C_2F_5	Cl
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	t-Bu	C1	C_2F_5	Cl
CH	CH	CH	CH	Et	Cl	C_2F_5	Br
CH	CH	CH	ĊH	<i>i</i> -Pr	Cl	C_2F_5	Br
CH	СН	CH	СН	t-Bu	Cl	C ₂ F ₅	Br
СН	CH	CH	CH	Et	CI	C_2F_5	I
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	I
CH	CH	CH	СН	t-Bu	CI	C_2F_5	İ
CH	СН	CH	CH '	Et	Cl	C ₂ F ₅	F
CH	CH	CH	СН	i-Pr	Cl	C_2F_5	F
CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Et	Cl ,	C_2F_5	Me
CH	CH	CH	CH	i-Pr	C1	C_2F_5	Me
CH	СН	CH	СН	t-Bu	Cl	C ₂ F ₅	Me
CH	CH	СН	CH	Et	CI	C ₂ F ₅	CF ₃
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	CF ₃
CH	CH	СН	CH	t-Bu	Cl	C_2F_5	CF ₃
CH	CH	СН	CH	Et	CI	C ₂ F ₅	OMe
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	ОМе
CH	CH	CH	CH	t-Bu	Ç1	C_2F_5	ОМе
CH	CH	CH	CH	Et	Cl	C_2F_5	CN

WO 01/70671	PCT/US01/09338

CH	CH	CH	CH	<i>i-</i> Pr	Cl	C_2F_5	CN
СН	CH	СН	CH	<i>t</i> -Bu	C1	CoF5	CN

Table 16

w	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
СН	СН	СН	СН	Et	Me	CF ₃	Cl
СН	СН	СН	CH	<i>i</i> -Pr	Me	CF ₃	Cl
СН	СН	СН	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
СН	СН	СН	CH	i-Pr	Me	CF ₃	Br
CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
CH	СН	СН	CH	Et	Me	CF ₃	1
СН	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	1
СН	СН	СН	CH	t-Bu	Me	CF ₃	I
CH	СН	СН	CH	. Et	Me	CF ₃	F
CH	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	F
CH	CH	СН	CH	t-Bu	Me	CF ₃	F
CH	СН	CH	СH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	Me
CH	CH	CH	CH.	t-Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	СН	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	i-Pr	Me	CF ₃	ОМе
CH	CH	CH	CH	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CH	Et	Me	CF ₃	CN

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CH	ĊН	CH	CH	i-Pr	Me	CF ₃	CN
CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
CH	CH	CH	СН	Et	Cl	CF ₃	CI
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	СН	СН	t-Bu	Cl	CF ₃	CI
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	i-Pr	CI	CF ₃	Br
CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	Ι.
CH	CH	CH	CH	i-Pr	Cl	CF ₃	1
CH	CH	CH	CH	t-Bu	Cl	CF ₃	1
CH	CH	CH	CH	Et	Cl	. CF ₃	F
CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
CH	CH	CH	CH	Et	C1	CF ₃	Me
CH	CH	СН	CH	<i>i</i> -Pr	CI	CF ₃	Me
СН	CH	СН	CH	t-Bu	Cl	CF ₃	Me
CH	СН	CH	CH	Et	Cl	CF ₃	CF ₃
CH	CH	СН	CH	<i>i</i> -Pr	CI	CF ₃	CF ₃
CH	CH	CH	CH	. t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	CI	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	t-Bu	Cl	CF ₃	ОМе
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	CH	CH	Ņ	Et	Me	CF ₃	Cl
CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	i-Pr	Me	CF ₃	Br
CH	CH	CH	N	t-Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I.
CH	СН	CH	N	i-Pr	Me	CF ₃	I
CH	CH	СН	N	t-Bu	Me	CF ₃	I
CH	СН	CH	N	Et	Me	CF ₃	·F
CH	СН	CH	N	i-Pr	Me	CF ₃	F

			•				
CH	CH	CH	N	t-Bu	Me	CF ₃	F
CH	СН	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	i-Pr	Me	CF ₃	Me
CH	CH	CH	N	t-Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	i-Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	ОМе
CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	i-Pr	Me	CF ₃	CN
CH	CH	CH	N	t-Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl
CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	CI	CF ₃	Br
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	Br
CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	r
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	t-Bu	Cl	CF ₃	1
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	F
CH	CH	CH	N	t-Bu	C1	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	. CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	t-Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
СН	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
СН	CH	N	СН	t-Bu	Me	CF ₃	Cl
СН	CH	N	CH	Et	Me	CF ₃	Br
CH	СН	N	CH	i-Pr	Me	CF ₃	Br
CH	CH	N	CH	t-Bu	Me	CF ₃	Br
CH	CH	N	CH	Et .	Me	CF ₃	I,
CH	CH	N	CH	i-Pr	Me	CF ₃	1
CH	CH	N	CH	t-Bu	Me	CF ₃	1
CH	CH	N	CH	Et	Me	CF ₃	F
CH	СН	N	CH	i-Pr	Me	CF ₃	F
CH	CH	N	CH	t-Bu	Me	CF ₃	F
CH	CH	N	СН	Et	Me	CF ₃	Me
CH	CH	N	CH	i-Pr	Me	CF ₃	Me
CH	CH	N	CH	t-Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	N	СН	Et	Me	CF ₃	OMe
CH	СН	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	СН	N	СН	t-Bu	Me	CF ₃	OMe
CH	СН	N	СН	Et	Me	CF ₃	CN
CH	СН	N	CH	<i>i-</i> Pr	Me	CF ₃	CN
CH	CH	N	CH	t-Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	CI
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	CI	CF ₃	Br
CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	. 1
CH	CH	N	CH	i-Pr	Cl	CF ₃	I
CH	CH	N	CH	t-Bu	Cl	CF ₃	I
CH	CH	N	CH	Et	Cl	CF ₃	F
СН	CH	N	СН	· i-Pr	Cl	CF ₃	F
CH	СН	N	СН	t-Bu	Cl	CF ₃	F
CH	СН	N	CH	Et	. Cl	CF ₃	Me

СН	СН	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	СН	N	CH	t-Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	t-Bu	Cl	CF ₃	CF ₃
CH	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	CH	i-Pr	C1	CF ₃	OMe
CH	CH	N	CH	t-Bu	Cl	CF ₃	OMe
CH	CH	N	СН	Et	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
CH	И	CH	СН	Et	Me	CF ₃	Cl
CH	N	CH	CH	i-Pr	Me	CF ₃	Cl
CH	И	CH	CH	t-Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	i-Pr	Me	CF ₃	Br
CH	N	CH	CH	t-Bu	Me	CF ₃	Br
CH	N	СН	CH	Et	Me	CF ₃	I
CH	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	I
CH	N	CH	CH	t-Bu	Me _.	CF ₃	ĭ
СН	N	СН	CH	Et	Me	CF ₃	F
CH	N	CH	CH	i-Pr	Me	CF ₃	F
CH	N	CH	CH	t-Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	t-Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	i-Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	CH-	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	CN
CH	N	CH	CH	t-Bu	Me	CF ₃ .	CN
CH	N	СН	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	i-Pr	Cl	CF ₃	Cl

СН	N	CH	CH	t-Bu	Cl	CF3	Cl
СН	N	СН	CH .	. Et	Cl	CF ₃	Br
CH	. N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
СН	N.	CH	CH	t-Bu	Cl	CF ₃	Br
CH	N	CH	CH	Et	Cl	CF ₃	I
CH	N	СН	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	N ·	СН	CH	t-Bu	Cl	CF ₃	, I
CH	N	СН	CH	Et	CI	CF ₃	F
CH	N	CH	CH	i-Pr	Cl	CF ₃	F
CH	N	CH	CH	t-Bu	Cl	CF ₃	F
CH	N	CH	CH	Et	Cl	CF ₃	Me
СН	N	СН	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	CH	t-Bu	Cl	CF ₃	Me
CH	N	СН	CH	Et	Cl	CF ₃	CF ₃
CH	N	CH	CH	i-Pr	C1	CF ₃	CF ₃
CH	N	CH	CH	. t-Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	i-Pr	Cl	CF ₃	ОМе
CH	N	CH	CH .	t-Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Et	Cl	CF ₃	CN
CH	N	CH	СН	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	CH	, <i>t</i> -Bu	Cl	CF ₃	CN
N	CH	CH	CH	Et	Me	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CI
N	CH	CH	CH	t-Bu	Me	CF ₃	Cl
N	CH	CH	CH	Et	Me	CF ₃	Br
N	CH	CH	CH	i-Pr	Me	CF ₃	Br
N	CH	CH	CH	t-Bu	Me	CF ₃	Br
N	CH	CH	CH	Et	Me	CF ₃	I
N	CH	CH	CH	i-Pr	Me	CF ₃	I
N	CH	CH	CH	t-Bu	Me	CF ₃	I
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	· F
N	CH	CH	CH	t-Bu	Me	CF ₃	. F
N	CH	CH	CH	Et	Me	CF ₃	Me
N	CH	CH	CH .	i-Pr	Me	CF ₃	Me
. N	CH	CH	CH	t-Bu	Me	CF ₃	Me

N	СН	CH	CH	Et	Me	CF ₃	CF ₃
N	СН	CH	CH	<i>i-</i> Pr	Me	CF ₃	CF ₃
N	СН	CH	CH	t-Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	ОМе
N	CH	CH	CH	. i-Pr	Me	CF ₃	ОМе
N	СН	CH	CH	t-Bu	Me	CF ₃	ОМе
N	СН	CH	CH	Et	Me	CF ₃	CN
N	СН	CH	CH	i-Pr	Me	CF ₃	CN
N	СН	CH	CH	t-Bu	Me	CF ₃	CN
N	СН	CH .	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
N	СН	CH	CH	t-Bu	Cl	CF ₃	CI
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	Br
N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
N	CH	CH ·	CH	Et	Cl	CF ₃	1
N	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	I
N	CH	CH	CH	t-Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i-</i> Pr	C1	CF ₃	F
N	CH	CH	CH	t-Bu	ĊI	CF ₃	F ·
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	C1	CF ₃	Me
N	CH	CH	CH	t-Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
N	CH	CH	CH	t-Bu	Cl	CF ₃	ОМе
Ν.	CH	CH	CH	Et	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH	N	CH	N	. <i>i</i> -Pr	Me	CF ₃	Cl
CH	N	СН	N	t-Bu	Me	CF ₃	Cl
CH	N	CH	N	Et .	Me	CF ₃	Br

CH	N	CH	N	i-Pr	Me	CF ₃	Br
CH	N	CH	N .	t-Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	' , I
CH	N	СН	N	i-Pr	Me	CF ₃	I
CH	N	СН	N	t-Bu	Me	CF ₃	· 1
СН	N	CH	N	Et	Me	CF ₃	F
CH	N	СН	Ň	<i>i</i> -Pr	Me	CF ₃	F
СН	N	СН	N	t-Bu	Me	CF ₃	F
СН	N	CH	N	Et	Me	CF ₃	Me
CH	. N	СН	N	i-Pr	Me	CF ₃	Me
CH	N	СН	N	t-Bu	Me	CF ₃	Me
CH	, N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	СН	N	i-Pr	Me	CF ₃	CF ₃
СН	N	CH	N	t-Bu	Me	CF ₃	CF ₃
СН	N	СН	N	Et	Me	CF ₃	ОМе
СН	N	CH	N	i-Pr	Me	CF ₃	ОМе
CH	N	CH	N	t-Bu	Me	CF ₃	OMe
CH	N	СН	N	Et	Me	CF ₃	CN
CH	N	CH	N	i-Pr	Me	CF ₃	CN
CH	N	СН	N	t-Bu	Me	CF ₃	CN
СН	N	СН	N	Et	Cl	CF ₃	Cl
CH	N	CH	N	i-Pr	Cl	CF ₃	C1
CH	N	CH	N_{\cdot}	t-Bu	Cl	CF ₃	Cl
CH	N	CH	N	Et	Cl	CF ₃	Br
СН	N	CH	N	i-Pr	Cl	CF ₃	Br
CH	N	CH	N	t-Bu	C1	CF ₃	Br
CH	N	CH	N	Et	CI	CF ₃	I
СН	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	1
СН	N	CH	N	t-Bu	Cl	CF ₃	1
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	СН	N	t-Bu	Cl	CF ₃	· • F .
CH	N	СН	N	Et	Cl	CF ₃	Me
CH	N	CH	N	i-Pr	Cl	CF ₃	Me
CH	N .	CH	N	t-Bu	Cl ·	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	<i>i-</i> Pr	Cl	CF ₃	CF ₃

СН	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	. Et	Cl	CF ₃	OMe
CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
CH	N	CH	N	t-Bu	Cl	CF ₃	OMe
CH	. N	CH	N	Et	C1	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
СН	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	. CN
CH	CH	CH	CCI	Et	Me	CF ₃	Cl
СН	CH	CH	CCl	i-Pr	Me	CF ₃	Cl
CH	CH	CH	CC1	t-Bu	Me	CF ₃	C1
СН	CH	CH	CCl	Et	Me	CF ₃	Br
CH	CH	CH	CC1	i-Pr	Me	CF ₃	Br
CH	CH	CH	CCI	t-Bu	Me	CF ₃	Br
СН	CH	CH	CCI	Et	Me	CF ₃	1
CH	CH	CH	CCl	i-Pr	Me	CF ₃	I
CH	CH	CH	CCI	t-Bu	Me	CF ₃	I
CH	CH	СН	CCl	Et	Me	CF ₃	F
CH	СН	СН	CCI	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CCI	t-Bu	Me	CF ₃	F
CH	CH	CH	CCl	Et	Me	CF ₃	Me
CH	CH	СН	CCl	<i>i</i> -Pr	Мe	CF ₃	Me
CH	CH	СН	CCl	t-Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCI	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCI	t-Bu	Me	CF ₃	CF ₃
CH	СН	CH	CCI	Et	Me	CF ₃	ОМе
CH	СН	CH	CCI	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	CH	CH	CCI	t-Bu	Me	CF ₃	ОМе
CH	CH	CH	CCI	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	СН	CH	CCI	t-Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	Cl
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Et	Cl	CF ₃	Br
CH	CH	CH .	CCI	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	СН	CCI	t-Bu	Cl	CF ₃	Br

CH	CH	СН	CCI	Et	Cl	CF ₃	I j
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	I
CH	CH	CH	CCl	t-Bu	C1	CF ₃	. I
СН	CH	CH	CCI	Et	Cl	CF ₃	F
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	F
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	F
CH	CH	CH	CCI	Et	Cl	CF ₃	Me
СН	CH	CH	CCI	i-Pr	C1	CF ₃	Me
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	Me
CH	CH	CH	CCI	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCI	Et	Cl	CF ₃	ОМе
СН	CH	СН	CCI	i-Pr	C 1	CF ₃	OMe
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	CCI	Et	Cl	CF ₃	CN
CH	СН	CH	CCI	i-Pr	Cl	CF ₃	CN
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	CN
СН	CH	CH	CF	Et	Me	CF ₃	Cl
СН	CH	CH	CF	i-Pr	Me	CF ₃	Cl
CH	CH	CH	CF	t-Bu	Me	CF ₃	Cl
СН	CH	CH	CF	Et	Me	CF ₃	Br
CH	CH	CH	CF	i-Pr	Me	CF ₃	Br
CH	CH	СН	CF	t-Bu	Me	CF ₃	Br
СН	CH	СН	CF	Et	Me	CF ₃	I
CH	CH	СН	CF	i-Pr	Me	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	1
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	i-Pr	Me	CF ₃	F
CH	CH	CH	CF	t-Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	i-Pr	Me	CF ₃	Me
CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i-</i> Pr	Me	CF ₃	CF ₃
СН	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe

CH	СН	CH	CF	<i>i</i> -Pr	Me	CF ₃	ОМе
CH	СН	CH	CF	t-Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Et	Me	CF ₃	CN
CH	СН	CH	CF	i-Pr	Me	CF ₃	CN
CH	СН	СН	CF	t-Bu	Me	CF ₃	CN
CH	СН	CH	CF	Et	Cl	CF ₃	Cl
CH	СН	CH	CF	i-Pr	Cl	CF ₃	C1
CH	СН	CH	CF	t-Bu	Cl	CF ₃	Cl
CH	СН	CH	CF	Et	CI	CF ₃	\mathbf{Br}
CH	СН	CH	CF	i-Pr	Cl	CF ₃	Br
CH	СН	СН	CF	t-Bu	Cl	CF ₃	Br
CH	СН	СН	CF	Et	Cl	CF ₃	I
CH	СН	СН	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	СН	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
CH	СН	СН	CF	<i>i-</i> Pr	Cl	CF ₃	F
CH	СН	СН	CF	t-Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	СН	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	СН	CF	t-Bu	Cl	CF ₃	Me
CH	СН	CH	CF	Et	Cl	CF ₃	CF ₃
CH	СН	CH	CF	i-Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	C F	Et	Cl	CF ₃	OMe
CH	СН	CH	CF	i-Pr	Cl	CF ₃	OMe
CH	CH	CH	CF ·	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C_2F_5	Cl
CH	CH	CH	CH-	i-Pr	Me	C_2F_5	Cl
CH	CH	CH	CH	· t-Bu	Me	C_2F_5	Cl
CH	CH	CH	CH	Et	Me	C_2F_5	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	Br
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Br
CH	CH	CH	CH	Et	Me	C_2F_5	1
CH	CH	CH	CH	<i>i-</i> Pr	Me	C_2F_5	Ţ
CH	CH	CH	CH	· t-Bu	Me	C_2F_5	I

CH	CH	CH	CH	Et	Me	C_2F_5	F
CH	CH	CH	CH	i-Pr	Me	C_2F_5	F
СН	CH	CH	CH	t-Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Et	Me	C_2F_5	Me
CH	CH	CH	CH	i-Pr	Me	C_2F_5	Me
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Me
CH	CH	CH	CH	Et	Me	C_2F_5	CF ₃
CH	CH	CH	CH	i-Pr	Me	C_2F_5	CF ₃
CH	СН	CH	CH	t-Bu	Me	C_2F_5	CF ₃
CH	CH	CH	CH	Et	Me	C_2F_5	ОМе
CH	СН	CH	CH	<i>i-</i> Pr	Me	C_2F_5	OMe.
CH	CH	CH	СН	t-Bu	Me	C_2F_5	ОМе
CH	СН	CH	CH	Et	Me	C_2F_5	CN
CH	СН	CH	CH	i-Pr	Me	C_2F_5	CN
CH	CH	CH	CH	t-Bu	Me	C_2F_5	CN
CH	СН	CH	СН	Et	Cl	C_2F_5	Cl
CH	СН	CH	CH	<i>i</i> -Pr	Ç1	C_2F_5	Cl
СН	CH	CH	CH	t-Bu	Cl	C_2F_5	Cl
CH	CH	CH	CH	Et	C1	C_2F_5	Br
СН	CH	CH	CH	i-Pr	Cl	C_2F_5	Br
CH	СН	CH	СН	t-Bu	Cl	C_2F_5	Br
CH	СН	СН	CH	Et	Cl	C_2F_5	I
СН	CH	CH	CH	<i>i</i> -Pr	Cl	C_2F_5	I
CH	СН	СН	CH	t-Bu	Cl	C_2F_5	Ţ
CH	CH	СН	CH	Et	Cl	C_2F_5	F
CH	СН	СН	CH	i-Pr	Cl.	C_2F_5	F
CH	CH	СН	CH	t-Bu	Cl	C_2F_5	F
CH	CH	CH	CH	Et	Cl	C_2F_5	Me
CH	СН	CH	CH	i-Pr	Cl	C_2F_5	Me
CH	CH	СН	CH-	t-Bu	Cl	C_2F_5	Me
CH	CH	CH	CH	Et	Cl	C_2F_5	CF ₃
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	CF ₃
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	CF ₃
СН	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
CH	СН	CH	CH	i-Pr	CI	C_2F_5	OMe
CH	СН	СН	CH	t-Bu	Cl	C_2F_5	ОМе
CH	СН	CH	CH	Et	Cl	C_2F_5	CN

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CH	CH ·	CH	CH	i-Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	t-Bu	CI	C5F5	CN

Table 17

w	x	Y	Z	R ³	R ⁴	R ⁷	R ⁸
СН	СН	CH	CH	Et	Me	CF ₃	Cl
СН	СН	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
СН	СН	CH	CH	t-Bu	Me	CF ₃	Cl
СН	CH	CH	CH	Et	Me	CF ₃	Br
СН	CH	CH	CH	<i>i-</i> Pr	Me	CF ₃	Br
CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
СН	CH	CH	CH	i-Pr	Me	CF ₃	1
CH	CH	CH	CH	t-Bu	Me	CF ₃	Ï
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	i-Pr	Me	CF ₃	F
CH	CH	CH	CH	t-Bu	Me	CF ₃	F
CH	CH	СН	CH	Et	Me	CF ₃	Me
CH	CH	CH	СН	i-Pr	Me	CF ₃	Me
CH	CH	СН	CH	t-Bu	Me	CF ₃	Ме
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	СН	CH	<i>i-</i> Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	CH	СН	CH	Et	Me	CF ₃	OMe
CH	CH	CH	СН	i-Pr	Me	CF ₃	OMe
CH	CH	СН	СН	t-Bu	Me	CF ₃	OMe
СН	CH	СН	CH	Et	Me	CF ₃	. CN

CH	СН	СН	СН	i-Pr	Me	CF ₃	CN
CH	CH	СН	CH	t-Bu	Me	CF ₃	CN
CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	СН	CH	СН	. i-Pr	C1	CF ₃	Cl
CH	CH	СН	CH	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	I .
CH	CH	CH	CH	i-Pr	. Cl	CF ₃	1
CH	CH	СН	CH	t-Bu	Cl	CF ₃	I
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	i-Pr	Cl	CF ₃	· F
CH	CH	CH	CH	t-Bu	Ci .	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	Me
CH	CH	CH	CH	i-Pr	Cl	CF ₃	Me
CH	CH	CH	CH	t-Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i-</i> Pr	Cl	CF ₃	CF ₃
СН	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
СН	CH	СН	CH	Et ·	Ċl	CF ₃	OMe
CH	CH	СН	CH	i-Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	CH	i-Pr	Cl	CF ₃	CN
CH	CH	СН	CH	t-Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	CI
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	, N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	t-Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	1
CH	CH	CH	N	t-Bu	Me	CF ₃	1
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH	N	i-Pr	Me	CF ₃	F

CH	CH	СН	N	t-Bu	Me	CF ₃	· F
CH	СН	CH	N	Et	Me	CF ₃	Me
CH	СН	CH	N	i-Pr	Me	CF ₃	Me
CH	CH	CH	N	t-Bu	Me	CF ₃	. Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	СН	CH	N	i-Pr	Me	CF ₃	CF ₃
СН	CH	CH	N	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
. CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	· CH	CH	N	<i>i-</i> Pr	Me	CF ₃	CN
CH	CH	CH	N	t-Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	CI
CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl
CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	C1	CF ₃	Br
CH	СН	CH	N	<i>i</i> -Pr	C1	CF ₃	Br
CH	CH	СН	N	<i>t-</i> Bu	C1	CF ₃	Br
CH	CH	CH	N	Et	C1	CF ₃	1
CH	CH	CH	N	i-Pr	Cl	CF ₃	1
CH	CH	CH	N	t-Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	t-Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	. N	t-Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	\mathbf{N}	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	. N	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i-</i> Pr	Cl	CF ₃	OMe
CH	CH	CH	N	t-Bu	Cl	CF ₃	ОМе
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	i-Pr	Cl	CF ₃	CN
СH	CH	CH	N	t-Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
СН	ĊH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	СН	N	CH	t-Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	i-Pr	Me	CF ₃	Br
CH	CH	N	CH	t-Bu	Me	CF ₃	Br
СН	CH	N	CH	Et	Me	CF ₃	1
CH	CH	N	CH	i-Pr	Me	CF ₃	I
CH	CH	N	CH	t-Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	СН	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N	CH	<i>t-</i> Bu	Me	CF ₃	F
CH	СН	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i-</i> Pr	Me	CF ₃	Me
CH	СН	N	CH	<i>t</i> -Bu	Me	CF ₃	Me
СН	СН	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	i-Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	СН	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	i-Pr	Me	CF ₃	OMe
CH	CH	N	CH	t-Bu	Me	CF ₃	OMe
CH	CH	N .	CH	Et	Me	CF ₃	CN
CH	СН	N	СН	i-Pr	Me	CF ₃	CN
CH	СН	N	CH	t-Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	Cl
CH	CH	N	CH	i-Pr	Cl	CF ₃	Cl
CH	CH	N	CH	t-Bu	Cl .	CF ₃	CI
CH	CH	N	CH	Et	Cl	CF ₃	Br
СН	CH	N	CH	i-Pr	Cl	CF ₃	Br
СН	CH	N	CH.	t-Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	· · · · · · · · · · · · · · · · · · ·
CH	CH	N	CH	i-Pr	Cl	CF ₃	I
CH	CH	N	CH	t-Bu	Cl ·	CF ₃	. I
СН	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	N	CH	t-Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me



CH	· CH	N	CH	i-Pr	Cl	CF ₃	Me
CH	СН	N	CH	t-Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	i-Pr	Cl	CF ₃	CF ₃
CH	CH	N	СН	t-Bu	Cl	CF ₃	CF ₃
CH	CH	N	CH	Et	Cl	CF ₃	ОМе
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	СН	t-Bu	Cl	CF ₃	ОМе
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	СН	N	CH.	i-Pr	Cl	CF ₃	CN
CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	CH	Et	Me	CF ₃	Cl
CH	N	CH	СН	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	CH	t-Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	· Me	CF ₃	Br
CH	N	CH	CH	t-Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	ľ
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	CH	t-Bu	Ме	CF ₃	Ĭ
CH	N	CH	CH	Et	Мe	CF ₃	F
CH	И	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	CH	t-Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	i-Pr	Me	CF ₃	Me
CH	N	CH	CH	t-Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	i-Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
CH	N	CH	CH.	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i-</i> Pr	Me	CF ₃	OMe
CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	СН	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	СН	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	N	СН	CH	Et	C1	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

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СН	N	CH	CH	t-Bu	Cl	CF ₃	Cl
СН	N	CH	CH	. Et	Cl	CF ₃	Br
CH	N :	CH	CH .	<i>i-</i> Pr	Cl	CF ₃	Br
CH	N ·	СН	CH	t-Bu	Cl	CF ₃	Br
CH	N	CH	СН	Et	Cl	CF ₃	I
CH	N	CH	CH	i-Pr	Cl ·	CF ₃	I
CH	N	CH	CH	t-Bu	Cl	CF ₃	I
СН	N	СН	CH	Et	Cl	CF ₃	F
CH	N	CH	CH	i-Pr	Cl	CF ₃	F
CH	N	CH	CH	t-Bu	C1	CF ₃	F
CH	N	CH	CH	Et	Cl	CF ₃	Me
CH	N	CH	CH	<i>i-</i> Pr	CI	CF ₃	Me
CH	N	CH	CH	t-Bu	Cl	CF ₃	Me
CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	N	CH	СН	t-Bu	CI	CF ₃	CF ₃
CH	N	CH	CH	Et	C1	CF ₃	ОМе
СН	N	CH .	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
СН	N	CH .	СН	t-Bu	Cl	CF ₃	OMe
CH	N	СН	СН	Et	Cl	CF ₃	CN
CH	N	СН	CH	i-Pr	Cl	CF ₃	CN
CH	N	СН	CH	t-Bu	Cl	CF ₃	CN
N	СН	CH	CH	Et	Me	CF ₃	Cl
N	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	Cl
N	CH	СН	CH	t-Bu	Me	CF ₃	Cl Cl
N	CH	CH	CH	Et	Me	CF ₃	Br
N	СН	СН	CH	i-Pr	Me	CF ₃	Br
N	СН	CH	CH	t-Bu	Me	CF ₃	Br
N	СН	CH	CH	Et	Me	CF ₃	I
N	CH	CH	CH	i-Pr	Me	CF ₃	I
N	СН	CH	СН	<i>t</i> -Bu	Me	CF ₃	I
N	СН	СН	CH	Et	Me	CF ₃	F
N	CH	CH	СН	<i>i-</i> Pr	Me	CF ₃	· F
N	CH	CH	СН	t-Bu	Me	CF ₃	F
N	CH	CH	CH	Et	Me	CF ₃	Ме
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Ме
N	CH	CH	CH	t-Bu	Me	CF ₃	Ме

N	CH	СН	CH	Et	Me	CF ₃	CF ₃
N	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
N	CH	СН	CH	Et	Me	CF ₃	ОМе
N	CH	СН	CH	<i>i</i> -Pr	Me	CF ₃	ОМе
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	ОМе
N	CH	СН	CH	Et	Me	CF ₃	CN
N	CH	СН	CH	<i>i-</i> Pr	Me	CF ₃	CN
N	CH.	СН	CH	t-Bu	Me	CF ₃	CN
N	CH	СН	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	СН	CH	t-Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
N	CH	СН	CH	Et	Cl	CF ₃	1
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	СН	CH	t-Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	CI	CF ₃	F
N	CH	СН	CH	t-Bu	C1	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH .	CH	CH	<i>i-</i> Pr	Cl	CF ₃	Me
N	CH	CH	CH	t-Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	СН	CH	i-Pr	Cl	CF ₃	CF ₃
N	CH	СН	CH	t-Bu	Cl	CF ₃	CF ₃
N	CH	СН	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	ОМе
N	CH	СН	CH	t-Bu	Cl	CF ₃	OMe
N	CH	СН	CH	Et	Cl	CF ₃	CN
N	CH	СН	CH	<i>i</i> -Pr	C1	CF ₃	CN
N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me .	CF ₃	Cl
CH	N	CH	N	· i-Pr	Me	CF ₃	Cl
CH	N	CH	N	t-Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

		•				•	
СН	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	СН	N ,	t-Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	I
CH	N	CH	N	i-Pr	Me	CF ₃	1
CH	N	CH	N	t-Bu	Me	CF ₃	I
CH	N	CH	N	Et	Me	CF ₃	F
CH	N	CH	N	i-Pr	Me	CF ₃	F
CH	N	CH	N	t-Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	i-Pr	Me	CF ₃	Me
CH	N	CH	N	t-Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
СН	N	CH	N	i-Pr	Me	CF ₃	CF ₃
CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
CH	N	СН	N	Et	Me	CF ₃	OMe
ĊH	N	CH	N	i-Pr	Me	CF ₃	ОМе
CH	N	CH	N	t-Bu	Me	CF ₃	OMe
СН	N .	СН	N,	Et	Me	CF ₃	CN
CH	N	СН	N	<i>i-</i> Pr	Me	CF ₃	CN
CH	N	CH	N	t-Bu	Me	CF ₃	CN
CH	N	СН	N	Et	Cl	CF ₃	Cl
CH	N	СН	N	<i>i</i> -Pr	Cl	CF ₃	C1
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CI
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
СН	N	CH	N	t-Bu	CI	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	i-Pr	Cl	CF ₃	I
CH	N , .	СН	N	t-Bu	Cl	CF ₃	1
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	t-Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Ci	CF ₃	Me
CH	N	CH	N	t-Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
СН	N	CH	N	<i>i-</i> Pr	Cl	CF ₃	CF ₃

СН	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
CH	N	CH	N .	Et	CI	CF ₃	ОМе
CH	N	CH	N	i-Pr	Cl	CF ₃	ОМе
CH	N	CH	N	t-Bu	Cl	CF ₃	ОМе
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	. N	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CCl	Et	Me	CF ₃	Cl
CH	CH	CH	CCI	· i-Pr	Me	CF ₃	Cl
CH	CH	CH	CCl	t-Bu	Me	CF ₃	Cl
CH	CH	CH	CCl	Et	Me	CF ₃	Br
СН	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CCl	t-Bu	Me	CF ₃	Br
CH	CH	CH	CCI	Et	Me	CF ₃	I
CH	CH	CH	CCI	i-Pr	Me	CF ₃	I
CH	CH	CH	CCl	t-Bu	Me	CF ₃	I
CH	CH	CH	CCl	Et	Me	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CCl	t-Bu	Me	CF ₃	F
CH	CH	CH	CCI	Et	Me	CF ₃	Me
CH	CH	CH	CCl	i-Pr	Me	CF ₃	Me
CH	CH	CH	CCl	t-Bu	Me ·	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCI	<i>i-</i> Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Me	CF ₃	OMe
CH	CH	СН	CCI	<i>i-</i> Pr	Me	CF ₃	OMe
CH	CH	CH	CCI	t-Bu	Me	CF ₃	OMe
CH	CH	CH	CCl	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	.CCl	t-Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Et	CI	CF ₃	Cl
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	СН ,	CH	CCI.	t-Bu	ci	CF ₃	Cl
CH	CH	CH	CCI	Et	Cl	CF ₃	Br
CH	CH	CH	CC1	<i>i</i> -Pr	Cl .	CF ₃	Br
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	\mathbf{Br}

СН	СН	СН	CCl	Et	Cl	CF ₃	I
CH	CH	CH	CCI	i-Pr	Cl	CF ₃	I
СН	CH	CH	CCI	t-Bu	Cl	CF ₃	I
CH	CH	СН	CCI	Et	Cl	CF ₃	F
СН	CH	CH	CC1	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CCI	t-Bu	CI	CF ₃	F
CH	CH	CH	CCI	Et	Cl	CF ₃	Me
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CC1	t-Bu	Cl	CF ₃	Me
CH	CH	СН	CCI	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCI	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	СН	CC1	t-Bu	Cl	CF ₃	CF ₃
CH	CH	СН	CCI	Et	Cl	CF ₃	OMe
CH	CH	CH	CCI	i-Pr	CI	CF ₃	OMe
CH	CH	CH	CC1	t-Bu	C1	CF ₃	OMe
CH	CH	CH	CCl	Et	Cl	CF ₃	CN
CH	СН	CH	CC1	i-Pr	Cl	CF ₃	CN
CH	CH	CH	CCI	t-Bu	Cl	CF ₃	CN
CH	CH	СН	CF	Et	Me	CF ₃	Cl
CH	СН	CH	CF	i-Pr	Me	CF ₃	Cl
CH	СН	CH	CF	t-Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Et	Me	CF ₃	Br
СН	СН	CH	CF	i-Pr	Me	CF ₃	Br
CH	CH	CH	CF	t-Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	I
CH	CH	CH	CF	i-Pr	Me	CF ₃	1
CH	CH	CH	CF	t-Bu	Me	CF ₃	1
CH	СН	CH	CF	Et	Me	CF ₃	F
СН	СН	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	t-Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i-</i> Pr	Me	CF ₃	Me
CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe

CH	СН	CH	CF.	<i>i</i> -Pr	Me	CF ₃	OMe
СН	СН	CH	CF	t-Bu	Me	CF ₃	OMe
СН	СН	CH	CF	Et	Me	· CF ₃	CN
CH	СН	CH	CF	i-Pr	Me	CF ₃	CN
CH	CH	CH	CF	t-Bu	Me	CF ₃	CN
CH	СН	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	i-Pr	C1	CF ₃	Cl
CH	СН	CH	CF	t-Bu	Cl	CF ₃	CI
CH	СН	CH	CF	Et	Cl	CF ₃	Br
CH	CH	СН	CF	<i>i</i> -Pr	Cl	CF ₃	Br
СН	СН	СН	CF	t-Bu	Cl	CF ₃	Br
CH	СН	СН	CF	Et	Cl	CF ₃	I
CH	СН	СН	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	t-Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	СН	CF	t-Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	C1	· CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	C1	CF ₃	Me
CH	CH	CH	CF	. t-Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	i-Pr	Cl	CF ₃	OMe
· CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe
CH	CH	CH .	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C_2F_5	Cl
CH	CH	CH	CH	<i>i-</i> Pr	Me	C_2F_5	Cl
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Cl
CH	CH	CH	CH	Et	Me	C_2F_5	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	Br
CH	CH	CH	CH	t-Bu	Me	C_2F_5	Br
CH	CH	CH	CH	Et	Me	C_2F_5	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	· I
CH	CH	CH	CH	t-Bu	Me	C_2F_5	ĭ

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CH	СН	СН	СН	Et	Me	C_2F_5	F
CH	CH.	СН	СН	i-Pr	Me	C_2F_5	F
СН	CH	CH	CH	t-Bu	Me	C_2F_5	F
СН	CH	СН	CH	Et	Me	C_2F_5	Ме
CH	CH	CH	CH	<i>i</i> -Pr	Me	C_2F_5	Ме
СН	CH	CH	СН	t-Bu	Me	C_2F_5	Me
СН	СН	CH	CH	Et	Me	C_2F_5	CF ₃
СН	СН	CH	СН	i-Pr	Me	C_2F_5	CF ₃
CH	CH	CH	CH	t-Bu	Me	C_2F_5	CF ₃
CH	СН	CH	CH	Et	Me	C_2F_5	ОМе
CH	CH	CH	CH	i-Pr	Me	C_2F_5	ОМе
CH	СН	CH	CH	t-Bu	Me ·	C_2F_5	ОМе
CH	СН	CH	CH	Et	Me	C_2F_5	CN
· CH	СН	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
CH	СН	СН	CH	t-Bu	Me	C_2F_5	CN
CH	СН	CH	СН	Et	Cl	C_2F_5	CI
CH	СН	CH	CH	<i>i-</i> Pr	Cl	C_2F_5	CI
СН	СН	CH	CH	t-Bu	Cl	C_2F_5	Cl
СН	СН	CH	CH	Et	Cl	C_2F_5	Br
СН	СН	CH	CH	<i>i-</i> Pr	Cl	C_2F_5	Br
CH	СН	CH	CH	t-Bu	C1	C_2F_5	Br
СН	CH	CH	CH	Et	Cl	C_2F_5	I
CH	СН	CH	CH	i-Pr	CI	C ₂ F ₅	I
CH	CH	CH	CH	t-Bu	· C 1	C_2F_5	1
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	CI	C_2F_5	F
CH	CH	CH .	CH	t-Bu	Cl	C_2F_5	F
CH	CH	CH	CH	Et	CI	C_2F_5	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C_2F_5	Me
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	Me
CH	CH	CH	CH	Et	CI	C_2F_5	CF ₃
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	CF ₃
CH	CH	CH	CH	t-Bu	Cl	C_2F_5	CF ₃
CH	CH	CH	CH	Et	Cl	C_2F_5	OMe
CH	CH	CH	CH	i-Pr	Cl	C_2F_5	OMe
CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	ÖMe
CH	CH	CH	CH	Et	Cl	C_2F_5	CN

WO 01/70	671					PCT/US01/09338		
CH	СН	CH.	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CN	
CH .	CH	CH	CH	<i>t</i> -Bu	Ċl	C_2F_5	CN	

Formulation/Utility

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Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges that add up to 100 percent by weight.

	Weight Percent					
	Active Ingredient	Diluent	Surfactant			
Water-Dispersible and Water- soluble Granules, Tablets and Powders.	5–90	0–94	1–15			
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5–50	40–95	0–15			
Dusts Granules and Pellets	1–25 0.01–99	70–99 5–99.99	0–5 0–15			
High Strength Compositions	90–99	0-10	0–2			

Typical solid diluents are described in Watkins, et al., Handbook of Insecticide Dust Diluents and Carriers, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, Solvents Guide, 2nd Ed., Interscience, New York, 1950. McCutcheon's Detergents and Emulsifiers Annual, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, Encyclopedia of Surface Active Agents, Chemical Publ.

Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

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Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N*,*N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N*,*N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", Chemical Engineering, December 4, 1967, pp 147-48, Perry's Chemical Engineer's Handbook, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714.

Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, Weed Control as a Science, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., Weed Control Handbook, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

	WO 01/70671	PCT/US01/09338							
	Example A	·							
	Wettable Powder								
	Compound 1	65.0%							
	dodecylphenol polyethylene glycol ether	2.0%							
5	sodium ligninsulfonate	4.0%							
	sodium silicoaluminate	6.0%							
	montmorillonite (calcined)	23.0%.							
•	Example B								
	Granule								
10	Compound 1	10.0%							
	attapulgite granules (low volatile matter,								
	0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.							
	Example C								
	Extruded Pellet								
15	Compound 1	25.0%							
	anhydrous sodium sulfate	10.0%							
	crude calcium ligninsulfonate	5.0%							
	sodium alkylnaphthalenesulfonate	1.0%							
	calcium/magnesium bentonite	59.0%.							
20	Example D								
	Emulsifiable Concentrate								
	Compound 1	20.0%							
	blend of oil soluble sulfonates								

and polyoxyethylene ethers

isophorone

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The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera;

10.0%70.0%.

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and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (Diabrotica undecimpunctata howardi), aster leafhopper (Mascrosteles fascifrons), boll weevil (Anthonomus grandis), two-spotted spider mite (Tetranychus urticae), fall armyworm (Spodoptera frugiperda), black bean aphid (Aphis fabae), green peach aphid (Myzus persica), cotton aphid (Aphis gossypii), Russian wheat aphid (Diuraphis noxia), English grain aphid (Sitobion avenae), whitefly (Bemisia tabacii), tobacco budworm (Heliothis virescens), rice water weevil (Lissorhoptrus oryzophilus), rice leaf beetle (Oulema oryzae), whitebacked planthopper (Sogatella furcifera), green leafhopper (Nephotettix cincticeps), brown planthopper (Nilaparvata lugens), small brown planthopper (Laodelphax striatellus), rice stem borer (Chilo suppressalis), rice leafroller (Cnaphalocrocis medinalis), black rice stink bug (Scotinophara lurida), rice stink bug (Oebalus pugnax), rice bug (Leptocorisa chinensis), slender rice bug (Cletus puntiger), southern green stink bug (Nezara viridula) and german cockroach (Blatella germanica). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including Tetranychus urticae, Tetranychus cinnabarinus, Tetranychus mcdanieli, Tetranychus pacificus, Tetranychus turkestani, Byrobia rubrioculus, Panonychus ulmi, Panonychus citri, Eotetranychus carpini borealis, Eotetranychus, hicoriae, Eotetranychus sexmaculatus, Eotetranychus yumensis, Eotetranychus banksi and Oligonychus pratensis; Tenuipalpidae including Brevipalpus lewisi, Brevipalpus phoenicis, Brevipalpus californicus and Brevipalpus obovatus; Eriophyidae including Phyllocoptruta oleivora, Eriophyes sheldoni, Aculus cornutus, Epitrimerus pyri and Eriophyes mangiferae. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, avermectin, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, diofenolan, emamectin, endosulfan, esfenvalerate, fenothicarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, flufenoxuron, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-

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(methoxycarbonyl)-N-[4-

(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)carboxylate (indoxacarb), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, pymetrozine, pyriproxyphen, rotenone, spionsad, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), bromuconazole, carpropamid (KTU 3616), captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417),(S)-3,5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole,(S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4Himidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenamidone, fenamimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenox, pyraclostrobin, pyrimethanil, pyroquilon, quinoxyfen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, trifloxystrobin, triticonazole, validamycin and vinclozolin; nematocides such as aldicarb, oxamyl and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as Bacillus thuringiensis, Bacillus thuringiensis delta endotoxin,

Preferred insecticides and acaricides for mixing with compounds of this invention include pyrethroids such as cypermethrin, cyhalothrin, cyfluthrin and beta-cyfluthrin, esfenvalerate, fenvalerate and tralomethrin; carbamates such as fenothicarb, methomyl, oxamyl and thiodicarb; neonicotinoids such as clothianidin, imidacloprid and thiacloprid, neuronal sodium channel blockers such as indoxacarb, insecticidal macrocyclic lactones such as spinosad, abamectin, avermectin and emamectin; GABA antagonists such as endosulfan and fipronil; insecticidal ureas such as flufenoxuron and triflumuron, juvenile hormone mimics such as diofenolan and pyriproxyphen; pymetrozine; and amitraz.

baculovirus, and entomopathogenic bacteria, virus and fungi.

Preferred biological agents for mixing with compounds of this invention include *Bacillus* thuringiensis and *Bacillus* thuringiensis delta endotoxin.

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Most preferred mixtures include a mixture of a compound of this invention with cyhalothrin; a mixture of a compound of this invention with beta-cyfluthrin; a mixture of a compound of this invention with esfenvalerate; a mixture of a compound of this invention with methomyl; a mixture of a compound of this invention with imidacloprid; a mixture of a compound of this invention with indoxacarb; a mixture of a compound of this invention with abamectin; a mixture of a compound of this invention with endosulfan; a mixture of a compound of this invention with flufenoxuron; a mixture of a compound of this invention with pyriproxyphen; a mixture of a compound of this invention with; a mixture of a compound of this invention with pymetrozine; a mixture of a compound of this invention with amitraz; a mixture of a compound of this invention with Bacillus thuringiensis and a mixture of a compound of this invention with Bacillus thuringiensis delta endotoxin.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water

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dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following TEST demonstrates the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A through Q for compound descriptions. The following abbreviations are used in the Index Tables which follow: t is tertiary, n is normal, i is iso, c is cyclo, s is secondary, Me is methyl, Et is ethyl, Pr is propyl, i-Pr is isopropyl, c-Pr is cyclopropyl, Bu is butyl, s-Bu is secondary butyl, Pent is pentyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro, and Het is heterocycle. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A

B is O, except where indicated

Compound	\mathbb{R}^1	R ²	R ³	<u>R</u> 4	R ⁵ and/or R ⁶	m.p. °C
1 (Ex 1)	Н	i-Pr	Н	2-Me	4-OCF ₃	207-209

2	H	i-Pr	H	5-C1	2-CF ₃	195-196
3	H	i-Pr	Н	5-Cl	2-Me-4-CF ₃	182-184
4	Н	i-Pr	H	2-Me	4-CF ₃	238-240
- 5	· H	i-Pr	Н	2-Me	4-CO ₂ Me	216-217
6	н .	i-Pr	H	2-Me	3-NO ₂	230-233
7 .	Н	i-Pr	H	2-Me	3-CF ₃ -4-F	223-225
8.	H	i-Pr	H	2-Me	3-CN	237-239
9	н .	i-Pr	H	2-Me	2-OCF ₃	191-193
10	Н	t-Bu	H	2-Me	4-OCF ₃	163-167
11	Н	t-Bu	H	2-Me	4-CO ₂ Me	164-169
12	н	i-Pr	H	2-C1	4-CO ₂ Me	224-225
13	н .	t-Bu	Н	2-Me	2-OCF ₃	203-204
14	н	t-Bu	H	2-Me	3-NO ₂	193-195
- 15	н	t-Bu	H	2-Me	3-CF ₃ -4-F	198-199
16	н	i-Pr	H	2-OMe	4-OCF ₃	178-181
17	Н	i-Pr	H	2-Me	2-OCF ₃	170-172
18	н	i-Pr	н	2-OMe	3-CF ₃ -4-F	209-211
19	H	i-Pr	H	2-C1	4-OCF ₃	215-216
20	Н	i-Pr	Me	2-Me	2-OCF ₃	153-155
21	Н	i-Pr	$\cdot \mathbf{H}$	5-Me	4-OCF ₃	173-175
22	Н	i-Pr	Н	5-Me	2-OCF ₃	180-185
23	Н	i-Pr	H	5-Me	4-CO ₂ Me	182-184
24	н	i-Pr	Ме	2-Me	4-OCF ₃	Glass
25	Н	i-Pr	Me	2-Me	4-CO ₂ Me	67-73
26	H	(1,2-di-Me)-Pr	H	2-Me	4-OCF ₃	189-191
27	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	4-OCF ₃	147-148
28	H	CH ₂ CH ₂ OCH ₃	H	2-Me	4-OCF ₃	153-155
29	H	2-Pent	H	2-Me	4-OCF ₃	165-168
30	H	s-Bu	H	2-Me	4-OCF ₃	181-183
31	H	propargyl	H	2-Me	4-OCF ₃	190-192
32	H	n-Pr	H	2-Me	4-OCF ₃	. 189-191
33	H	allyl	H	2-Me	4-OCF ₃	185-187
34	H	Me ₂ NCH ₂ CH ₂	H	2-Me	4-OCF ₃	168-170
35	H	propargyl	H	2-Me	4-OCF ₃	202-204
36	. H	i-Bu	Н	2-Me	4-OCF ₃	182-183
37	H	i-Pr	Н	2,4-di-Me	4-OCF ₃	205-208
.38	· H	i-Pr	H	2,4-di-Me	4-CF ₃	> 230



39	H	i-Pr	H	2,4-di-Me	2-OCF3	231-232
40	, H	i-Pr	. H	2,4-di-Me	4-CO ₂ Me	219-221
41	Н	i-Pr	H	2,4-di-Me	3-CF ₃ -4-F	222-224
42	H	t-Bu	H	2-OMe	4-CF ₃	210-214
43	H	t-Bu	H	2-OMe	4-OCF ₃	170-173
44	H	i-Pr	Me	2-Me	3-NO ₂	Oil
45	H	i-Pr	Н	2-Cl	4-OCF ₃	187-194
46	H	t-Bu	H	2-C1	4-OCF ₃	205-207
47	H	allyl	Ħ	2-Cl	4-OCF ₃	188-189
48	H	s-Bu	H	2-C1	4-OCF ₃	192-193
49	H	-CH ₂ CH ₂ CH ₂ CI	H ₂ -	2-Me	4-OCF ₃	138-142
50	H	CH ₂ CF ₃	H	2-Me	4-OCF ₃	> 230
51	H	c-Bu	H	2-Me	4-OCF ₃	218-220
52 (Ex 3)	H	i-Pr	H	2-Me	2-Me-4-CF ₃	247-248
53	H	i-Pr	H	5-Me	2-Me-4-CF ₃	186-188
54	H	i-Pr	H	H	4-OCF ₃	185-187
55	Н	i-Pr	H	H	$3-NO_2$	199-200
56	H	i-Pr	H	H	2-OCF ₃	118-122
. 57	Me	i-Pr	H	H	4-OCF ₃	117-118
58	Me	i-Pr	Н	H	3-NO ₂	134-136
59	Me	i-Pr	H	H	2-OCF ₃	128-130
60	H	i-Pr	H	H	3-CF ₃	176-177
61	H	i-Pr	H	H	2-Me-4-CF ₃	100-106
62	H	Me	Ή	2-Me	4-OCF ₃	204-206
63	H	Et	H	2-Me	4-OCF ₃	198-200
64	H	NHi-Pr	H	2-Me	4-OCF ₃	126-128
65	H	i-Pr	H	2-Me	3-CF ₃	198-200
66	H	i-Pr	H	2-Me	4-CN	> 230
67	H	i-Pr	H	2-Me	2-NO ₂	> 230
68	H	i-Pr	H	2-Me	·3,5-di-CF ₃	> 230
69	H	i-Pr	H.	2-Me	4-NO ₂	227-230
70	H	i-Pr	H	2-Me	2-CF ₃	227-230
71	H	i-Pr	H	H	2-Me-4-OCF ₃	118-124
72	н	i-Pr	H	Н	4-CF ₃	196-198
73	H	i-Pr	H	2-Me	2-Me-4-SCF ₂ H	212-213
74	H	t-Bu	H	2-Me	2-Me-4-SCF ₂ H	193-195
75	H	i-Pr	H	2-Me	2-Me-4-OCF ₃	221-222

76	н	t-Bu	Н	2-Me	4-CF ₃	217-219
77	Н	t-Bu	H	2-Me	3-CF ₃	197-198
78	·H	t-Bu	Н	2-Me	3,5-di-CF ₃	206-207
79	н	t-Bu	Н	2-Me	4-CN	> 230
80	Н	t-Bu	H	2-Me	4-NO ₂	> 230
81	Me	i-Pr	H	2-Me	2-CF ₃	oil
82	Me	i-Pr	· H	2-Me	4-OCF ₃	151-157
83 ·	Me	i-Pr	H	H .	2-Me-4-OCF ₃	103-107
84	Me	t-Bu	H	2-Me	2-Me-4-CF ₃	233-234
85	H	t-Bu	H	2-Me	2-Me-4-OCF ₃	207-209
86	Н	t-Bu	H	2-Me	2,5-di-CF ₃	199-201
87	H	i-Pr	н	2-CF3	4-OCF ₃	183-185
88	Н	i-Pr	H	2-CF3	4-CF ₃	211-212
89	H	t-Bu	H	2-CF ₃	4-CF ₃	191-192
90	H	R-(-)-s-Bu	H	2-Me	4-OCF ₃	170-172
91	H	S-(+)-s-Bu	н	2-Me	4-OCF ₃	177-179
92	Me	i-Pr	H	H	4-CF ₃	oil
93	Me	i-Pr	H	2-OCF ₂ H	4-OCF ₃	162-164
94	Н	t-Bu	H	2-CF ₃	4-OCF ₃	145-148
95	H	i-Pr	Me	2-CF ₃	4-CF3	151-154
. 96	H	i-Pr	Me	2-CF ₃	4-OCF ₃	140-144
97	H	i-Pr	H	2-OCF ₂ H	4-CF ₃	224-227
98	H	i-Pr	H	2,4-di-Me	2-Me-4-CF ₃	> 230
99	H	i-Pr	H	2-CI	2-Me-4-CF ₃	> 230
100	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	2-Me-4-CF ₃	194-197
101	Н	s-Bu	H	2-Cl	2-Me-4-CF ₃	212-214
102	H	c-Pr	H	2-Me	4-OCF ₃	208-210
103	H	CH(CH ₃)CH ₂ OCH ₃	H	2,4-di-Me	4-OCF ₃	166-168
104	H	CH(CH ₃)CH ₂ OCH ₃	H	2,4-di-Me	4-CF ₃	192-194
105	, H	i-Pr	H	4-Me	4-CF ₃	212-213
106	Н	i-Pr	H.	4-Me	4-OCF ₃	204-205
107	H	i-Pr	H	2-Br-4-Me	4-OCF ₃	> 230
108	H	t-Bu	H	2-Br-4-Me	4-OCF ₃	118-120
109	H	i-Pr	H	2-NO ₂	4-CF ₃	203-204
110	H	t-Bu	H	2-NO ₂	4-CF ₃	199-200
111	· H	i-Pr	H	2-NO ₂	4-OCF ₃	204-205
112	H	t-Bu	H	2-NO ₂	4-OCF ₃	181-183
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	113	H	i-Pr	H	2-Me	2-Me-4-S(O) ₂ CF ₂ H	218-221
	114	H	i-Pr	H	2-Me	2-Me-4-S(O)CF ₂ H	203-206
	115	H	СН(СН ₃)СН ₂ ОСН ₃	H	3-C1	4-CF ₃	158-161
	116	Ħ	i-Pr	H	4-Br	4-CF ₃	232-234
	117	H	t-Bu	H	4-Br	4-CF ₃	204-206
	118	H	СН(СН3)СН2ОСН3	H	4-Br	4-CF ₃	157-158
	119	Н	i-Pr	н	4-Br	4-OCF3	221-222
	120	H	t-Bu	H	4-Br	4-OCF ₃	173-175
	121	H	CH(CH ₃)CH ₂ OCH ₃	H	4-Br	4-OCF ₃	153-155
	122	H	CH(CH ₃)CH ₂ OCH ₃	H	3-C1	4-OCF ₃	137-140
	123	Н	i-Pr	H	4-F	4-CF ₃	205-206
	124	Н	t-Bu	H	2-Cl	2-Me-4-CF ₃	237-240
	125	Н	2-Pent	H	2-Me	4-CF ₃	194-196
	126	Н	s-Bu	H	2-Me	4-CF ₃	207-210
	127	Н	Et	H	2-Me	4-CF ₃	> 240
	128	H	Me	H	2-Me	4-CF ₃	236-237
	129	Н	i-Pr	H	4-F	4-OCF ₃	208-209
	130	H	CH(CH ₃)CH ₂ OCH ₃	H	4-F	4-OCF ₃	151-152
-	131	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	4-CF ₃	188-190
	132	CH ₂ CO ₂ Me	i-Pr	H	H	4-CF ₃	oil.
	133	CH ₂ CO ₂ Me	i-Pr	H	H	4-OCF ₃	oil
	134	Me	Et	H	2-Me	4-CF ₃	oil
	135	Me	Et	H	2-Me	4-OCF ₃	oil .
	136	Me	Et	Ħ	2-Me	2-Me-4-SCF ₂ H	132-136
	137	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me-4-Br	4-CF ₃	197-199
	138	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me-4-Br	4-OCF ₃	188-190
	139	, H	i-Pr	H	3-C1	4-CF ₃	201-202
	140	H	t-Bu	H	3-C1	4-CF ₃	159-161
	141	H	i-Pr	H	3-Cl	4-OCF ₃	190-192
	142	H	t-Bu	H	3-C1	4-OCF ₃	150-152
	143	н	iPr	H	2-Br-4-Me	4-CF ₃	>230
	144	H	t-Bu	H	2-Br-4-Me	4-CF ₃	213-215
	145	н	CH(CH ₃)CH ₂ OCH ₃	H	5-F	4-CF ₃	145-147
	146	Н	so_2	Н	2-Me	4-CF ₃	>230
	147	H	i-Pr	Н	2-Me	2-F-4-CF ₃	224-226
	148	H	i-Pr	H	2-Me	2-CF ₃ -4-F	223-225

149	Н	t-Bu	Н	4-F	4-OCF ₃	180-187
150	Н	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	2-Me-4-CF ₃	194-197
151	Н	Me	H	2-Me	2-Me-4-CF ₃	>230
152	H	Et	H	2-Me	2-Me-4-CF ₃	243-245
153	H	so_2	Н	2-Me	2-Me-4-CF ₃	>230
154	Н	i-Pr	H	3-NO ₂	4-CF ₃	244-246
155	н .	i-Pr	H	3-NO ₂	4-OCF ₃	239-240
156	H	t-Bu	H	3-NO ₂	4-OCF ₃	180-184
157	H	CH(CH ₃)CH ₂ OCH ₃	H	3-NO ₂	4-OCF ₃	172-175
158	Н	t-Bu	Н	3-NO ₂	4-CF ₃	194-196
159	н	CH(CH ₃)CH ₂ OCH ₃	Н	3-NO ₂	4-CF ₃	178-179
160	Н	i-Pr	H	2-Cl	4-CF ₃	>230
161	Н	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	4-CF ₃	182-185
162	H	t-Bu	H	5-C1	2-Me-4-CF ₃	203-205
163	H	CH(CH ₃)CH ₂ OCH ₃	н	5-Cl	2-Me-4-CF ₃	154-155
164	Н	i-Pr	H	2-Me	2,4-(CF ₃) ₂	>230
165	H	i-Pr	H	2-Me	3,4-OCF ₂ O-	199-200
166	H	CH ₂ CN	H	2-Me	4-CF ₃	218-223
167	Н	CH(CH ₃)Ph	H	2-Me	4-CF ₃	225-228
168	H	CH(CH ₃)Ph	H	2-Me	4-OCF ₃	208-210
169	Н	t-Bu	H	2-C1	4-CF ₃	191-193
170	H	i-Pr	Me	2-Cl	4-CF ₃	136-140
171	H	i-Pr	H	2-Me	4-SO ₂ CH ₃	>250
172	Н	i-Pr	H	5-C1	4-CF ₃	217-218
173	Н	t-Bu	H	5-Cl	4-CF ₃	231-235
174	Н	CH(CH ₃)CH ₂ OCH ₃	H	5-Cl	4-CF ₃	175-177
175	H	i-Pr	H	4-I	4-CF ₃	>230
176	Н	t-Bu	H	4-I	4-CF ₃	215-219
177	H	CH(CH ₃)CH ₂ OCH ₃	H	4-I	4-CF ₃	173-178
178	Н	i-Pr	H	4-I	4-OCF ₃	>230
179	H	t-Bu	н	4-I	4-OCF ₃	192-194
180	H	CH(CH ₃)CH ₂ OCH ₃	H	4-I	4-OCF ₃	178-180
181	H	CH ₂ (3-pyridinyl)	H	2-Me	4-CF ₃	198-199
182	н	CH ₂ CN	н.	2-Me	2-Me-4-CF ₃	>230
183	H	CH(CH ₃)CO ₂ CH ₃	H	2-Me	4-CF ₃	223-225
184	Ħ	i-Pr	Н	2-F	4-CF ₃	228-229
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185	H	i-Pr	H	5-F	4-CF ₃	169-170
186	H	i-Pr	H	2-F	2-Me-4-OCF ₃	206-208
187	Н	i-Pr	H	5-F	2-Me-4-OCF ₃	125-126
188	Н	i-Pr	H	2-F	2-Me-4-CF ₃	234-235
189	Н	i-Pr	H	5-F	2-Me-4-CF ₃	133-135
190	H	CH ₂ (3-pyridinyl)	H	2-Me	4-OCF ₃	201-202
191	Н	CH ₂ CH ₂ SCH ₃	H	2-Me	4-CF ₃	187-188
192	Н	CH ₂ CH ₂ SCH ₃	H	2-Me	2-Me-4-CF ₃	250-251
193	H	CH2CH2SEt	H	2-Me	4-CF ₃	190-191
194	H	CH2CH2SEt	H	2-Me	2-Me-4-CF ₃	228-230
195	· H	$^{\circ}$ CH(CH ₃)CH=CH ₂	H	2-Me	2-Me-4-CF ₃	211-214
196	H	i-Pr	H	2-Et	4-CF ₃	228-230
197	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Et	4-CF ₃	176-177
198	H	i-Pr	H	2-Me	3,4-OCF ₂ CF ₂ O-	218-220
199	H	i-Pr	H	2-Me	2-(CONMe ₂)-4,5-Cl ₂	229-230
200	H	i-Pr	H	2-Me	2-(CO-1-piperidinyl)-	202-205
					4,5-Cl ₂	
201	H	t-Bu	H	2-Et	4-CF ₃	187-191
202	H	CH(CH ₃)CH ₂ SCH ₃	H	2- E t	2-Me-4-CF ₃	206-208
203	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-Br	191-194
204	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-Br	190-194
205	H	CH(CH ₃)CH ₂ SO ₂ CH ₃	H	2-Me	2-Me-4-CF ₃	231-233
206	H	c-Pr	H	2-Me	2-Me-4-CF ₃	258-261
207	H	c-Pr	H	2-C1	2-Me-4-CF ₃	>260
208	H	i-Pr	H	2-I	2-Me-4-OCF ₃	241-242
209	H	i-Pr	Ή	2-I	2-Me-4-CF ₃	260-262
210	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-F	164-170
211	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-F	167-171
212	H	i-Pr	H	2-Me	2-(CO-1-piperidinyl)-4-	105-117
					Br	
213	H	CH(CH ₃)CH ₂ OH	\mathbf{H}_{\cdot}	2-Me	2-Me-4-CF ₃	179-180
214	H	CH(CH ₃)CH ₂ OH	H	2-C1	2-Me-4-CF ₃	183-185
215	H	i-Pr	H	2-C1	2-(CONMe ₂)-4-Br	165-170
216	H	i-Pr	H	2-C1	2-(CONMe ₂)-5-Br	179-181
217	H	i-Pr	H	2-Me	2-(3-CF ₃ -1-pyrazolyl)-4-	- 243-244
					CF ₃	•
218	H	i-Pr	H	2-Me	2-(1-(1,2,4-triazolyl))-4-	238-240

					CF ₃	
219	H	i-Pr	H	2-Me	2-(3-Br-1-pyrazolyl)-4-	>250
	 , .				CF ₃	
220	Н	i-Pr	Н	2-Me	2-(3-CN-1-pyrazolyl)-4-	>250
					CF ₃	•
221	н	i-Pr	н	2-Ме	2-(4-CF ₃ -1-imidazolyl)-	>250
					4-CF ₃	
222	Н	i-Pr	Н	2-Me	2-(3-CH ₃ -1-pyrazolyl)-	248-250
					4-CF ₃	
223	H	i-Pr	н	2-Me	2-(2-CH ₃ -1-imidazolyl)-	186-188
					4-CF ₃	•
224	Н	i-Pr	н	2-Me	2-(3-CF3-1-(1,2,4-	254-256
					triazolyl))-4-CF3	. Y
225	Н	i-Pr	H	2-Me	2-(1-pyrazolyl)-4-CF ₃	246-248
226	H	i-Pr	н	2-Me	2-(3-CO ₂ Et-5-Me-1-	224-225
			. 1		pyrazolyl)-4-CF ₃	
227	H	i-Pr	H	2-Me	2-(1-imidazolyl)-4-CF ₃	240-241
228	H	i-Pr	H	2-Me	2-(3-CF ₃ -5-Me-1-	229-231
					pyrazolyl)-4-CF ₃	-,
229	H	i-Pr	H	2-Me	2-(3,5-Me ₂ -1-pyrazolyl)-	214-218
		 			4-CF ₃	
230	H	i-Pr	H	2-Me	2-(2,4-Me ₂ -1-	246-248
	:				imidazolyl)-4-CF ₃	
231	H	i-Pr	H	2-Me	2-(4-Me-1-imidazolyl)-	223-225
					4-CF ₃	
232	H	i-Pr	H	2-Cl	2-(3-CF ₃ -1-pyrazolyl)-4-	>250
					CF ₃	
233	H,	i-Pr	H	2-Cl	2-(1-(1,2,4-triazolyl))-4-	252-254
•••					CF ₃	
234	Н	i-Pr	· ·H	2-C1	2-(3-Br-1-pyrazolyl)-4-	>250
			•		CF ₃	
235	H	i-Pr	H :	2-Cl	2-(3-CO ₂ Et-5-Me-1-	220-221
				•	pyrazolyl)-4-CF ₃	
236	H	i-Pr	Н	2-C1	2-(4-CO ₂ Me-1-	255-257
			• .	*	imidazolyl)-4-CF ₃	
237	H	i-Pr	. H	2-Cl	2-(3-CN-1-pyrazolyl)-4-	>250
				٠.,	CF ₃	



238	Н	i-Pr	H	2-C1	2-(1-imidazolyl)-4-CF ₃ 248-249
239	Н	i-Pr	H	2-Me	2-(4-CO ₂ Me-1- 219-222
					imidazolyl)-4-CF ₃
240	н	i-Pr	H	2-Me	2-(2-thienyl)-4-CF ₃ 241-243
241	н	. i-Pr	H	2-Me	2-(3-thienyl)-4-CF ₃ 229-231
242	H	i-Pr	H	2-Me	2-(2-furanyl)-4-CF ₃ 246-247
243	H	i-Pr	H	2-Me	2-(3-t-Bu-1-pyrazolyl)-4- 247-249
					CF ₃
244	H	i-Pr	H	2-Me	2-(3-s-Bu-1-pyrazolyl)- 224-225
					4-CF ₃
245	Н	i-Pr	H	2-Me	2-(3-c-Pr-1-pyrazolyl)-4- 220-221
		·			CF ₃
246	H	i-Pr	Ħ	2-Me	2-(3-Me-5-isoxazolyl)-4- 233-234
					CF ₃
247	H	i-Pr	H	2-Me	>250
					NNN
					, , ,
					-4-CF ₃
248	Н	i-Pr	H	2-Me	2-(CONMe ₂)-4-CF ₃ 188-192
249	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-CF ₃ 194-196
250	Н	i-Pr	H	2-Me	2-(CO-1-pyrrolidinyl)-4- 201-204
					CF ₃
251	H	i-Pr	H	2-Me	2-(CO-1-pyrrolidinyl)-5- 221-223
					CF ₃
252	Н	i-Pr	H	2-Me	2-OCH ₃ -4-CF ₃ 188-189
253	Н	i-Pr	H	2-Me	2-(3-Cl-5-isoxazolyl)-4- 247-248
				0.14	CF ₃
254	H	i-Pr	H	2-Me	2-Oi-Pr-4-CF ₃ 158-159
255	H	i-Pr	H	2-C1	2-(4-Me-1-pyrazolyl)-4- 252-253
		•			CF ₃
256	H	i-Pr	H	2-Me	2-(4-Me-1-pyrazolyl)-4- 226-227
		• •		0.5.61	CF ₃
257	H	i-Pr	H	2,5-Cl ₂	2-Me-4-CF ₃ 235-237
258	H	i-Pr	H	2-Me	4-Ph 221-224 4-(4-OCH ₃)Ph >230
259	H	i-Pr	H	2-Me	•
260	H	i-Pr	H	2-Me	4-(2-Me)Ph 156-158
261	Н	i-Pr	H	2-Me	4-(3-CH ₃)Ph 225-226
			175		

262	н	i-Pr	H	2-Me	4-(3-CF ₃)Ph	214-215
263	Н	i-Pr	Н	2-Me	4-(4-F)Ph	>230
264	H	-CH ₂ CH ₂ CH ₂ CH ₂	_	2-Cl	3-Cl	158-161
265	H		Н	2-Me	4-OCF ₃	>230
		SO ₂				
266	Н	i-Pr	н	2-CF ₃	2-Me-4-Br	>230
267	Н	t-Bu	H	2-CF ₃	2-Me-4-Br	234-236
268	Н	i-Pr	Ме	2-CF ₃	2-Me-4-Br	154-158
269	Н	CH(CH ₃)CH ₂ OCH ₃	Н	2-CF ₃	2-Me-4-Br	202-204
270	Н	s-Bu	H	2-CF ₃	2-Me-4-Br	>230
271	Н	s-pentyl	H	2-CF ₃	2-Me-4-Br	215-217
272	Н	i-Pr	H	2-CH ₃	2-Me-4-CF ₃	>230
273	Н	i-Pr	Me	2-OCHF ₂	2-Me-4-Br	224-227
274	Н	i-Pr	H	2-CH ₃	2-(CONMe ₂)-4-CF ₃	130-137
275	B is S H	i-Pr	Н	2-Me	2-Me-4-CF ₃	193-195
276	H	i-Pr	H	2-Cl	2-(1-pyrazolyl)-4-CF ₃	249-250
277	B is S H	i-Pr	Н	2-Me	4-OCF ₃	169-171
278	B is S H	i-Pr	H	2-Me	4-CF ₃ Ph	204-206
		INDE	X TA	BLE B		

$$R^{7(c)}$$
 $R^{7(a)}$
 $R^{7(a)}$
 $R^{7(a)}$
 $R^{7(b)}$
 $R^{7(b)}$
 $R^{7(a)}$
 $R^{7(a)}$
 $R^{7(a)}$
 $R^{7(a)}$

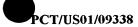
 \mathbb{R}^7 (c) is H, except where indicated and B is O, except where indicated

Compound	R ²	R ³	$(\mathbb{R}^4)_n$	R ⁷ (a)	R ⁷ (b)	m.p. °C
B1 (Ex. 4)	i-Pr	H	2-Me	CF ₃	CH ₃	247-248
B2	i-Pr	H	2-Me	OCH ₂ CF ₃	н	188-191
В3	i-Pr	H	2-Cl	CF ₃	CH ₃	234-236
B4	t-Bu	н	2-Cl	CF ₃	CH ₃	243-245
B5	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	CH ₃	198-201
В6 .	CH(CH ₃)CH=CH ₂	H	2-Me	CF ₃	CH ₃	226-227
B7	i-Pr	H	2-C1	OCH ₂ CF ₃	· H	208-210
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В8	t-Bu	н	2-C1	OCH ₂ CF ₃	н	174-175
B9	СН(СН3)СН2ОСН3	Н	2-C1	OCH ₂ CF ₃	Н	163-165
B10	i-Pr	Н	2-Me	CF ₃	H	208-211
B11	CH(CH ₃)CH ₂ OCH ₃	н	2-Me	CF ₃	CH ₃	187-191
B12	s-Bu	Н	2-Me	CF ₃	CH ₃	215-218
B13	2-pentyl	н	2-Ме	CF ₃	CH ₃	213-215
B14	i-Pr	Н	2-Me	Cl	н	235-237
B15	i-Pr	Н	2-Me	н	Cl	235-237
B16	i-Pr	Н	2-OCHF ₂	CF ₃	CH ₃	221-224
B17	i-Pr	Н	2-Me	CF ₂ CF ₃	CH ₃	208-209
B18	t-Bu	H	2-Me	CF ₂ CF ₃	CH ₃	211-212
B19	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₂ CF ₃	CH ₃	193-196
B20	t-Bu	Н	2-CF ₃	CF ₃	CH ₃	>250
B21	t-Bu	H	2-CF ₃	CF ₃	CH ₃	218-222
B22	CH(CH ₃)CH ₂ OCH ₃	H	2-CF3	CF ₃	CH ₃	200-202
B23	i-Pr	H	2-Me	CF ₃	Br	253-255
B24	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	CH ₃	222-223
B25	CH(CH3)CH2CN	H	2-Me	CF ₃	CH ₃	230-232
B26	CH ₂ CH ₂ CN	H	2-Me	CF ₃	CH ₃	>260
B27	c-Pr	H	2-Me	CF ₃	CH ₃	>260
B28	i-Pr	H	2-Me	CF ₃	OCH ₃	181-183
B29	i-Pr	H	2-Me	Cl	CH ₃	246-247
B30	i-Pr	H	2-Me	CF ₃	Ph	>250
B31	i-Pr	H	2-I	CF ₃	CH ₃	256-257
B32	i-Pr	H	2 - F	CF ₃	CH ₃	218-220
B33	i-Pr	H	5-F	CF ₃	CH ₃	144-146
B34	CH(CH ₃)CH ₂ SO ₂ CH ₃	H	2-Me	CF ₃	CH ₃	243-245
B35	CH(CH ₃)CH ₂ OH	H	2-Me	CF ₃	CH ₃	222-223
B36	CH(CH ₃)CH ₂ CO ₂ CH ₃	H	2-Me	CF ₃	CH ₃	204-206
B37	i-Pr	H·	2-Me	CF ₃	CH ₂ OCH ₃	241-242
B38	i-Pr	H	2-Me	CF ₃	CH ₂ CH ₃	229-231
B39	i-Pr	H	2-Me	CH ₃	Cl	236-237
B40	i-Pr	H	2-Me	CH ₃	2-pyridinyl	278-281
B41	t-Bu	H	2-Me	CF ₃	CH ₃	234-236
B42	i-Pr	H	2-Me .	CF ₃	n-Pr	224-226
B43	i-Pr	Me	2-Me	CF ₃	CH ₃	202-205
B44	i-Pr	H	2-Me	c-Pr	CH ₃	226-229
			17	77		

B45		i-Pr	H	2-Me	c-Pr	CH ₃ , HCl salt	>230
B46		i-Pr	H	2-Me	CF ₃	Cl	248-254
B47		i-Pr	H	2-Me	CF ₃	i-Pr	235-237
B48		i-Pr	H	2-Me	CF ₃	1-(1,2,4-triazolyl)	>260
B49		i-Pr	H	2-Br	CF ₃	CH ₃	247-248
B50		i-Pr	H	2-Me	OCH ₂ CF ₃	CH ₃	150-160
B51		i-Pr	H	2-Me	CF3	2-phenoxy	231-232
B52		i-Pr	H	2-Me	CF ₃	1-morpholinyl	>250
B53		i-Pr	H	2-Me	CF ₃	1-(3-CF ₃ -imidazolyl)	247-250
B54		i-Pr	H	2-Me	CF ₃	1-(3-Br-pyrazolyl)	>260
B55		i-Pr	H	2-Me	CF ₃	1-(3-CF ₃ -pyrazolyl)	>260
B56		i-Pr	H	2-Me	CF ₃	1-((3-CF ₃)-1,2,4-triazolyl)	>260
B57		i-Pr	H	2-Me	CF ₃	1-((3-CN)-1,2,4-triazolyl)	>260
B58		i-Pr	H	2-Me	i-Bu	CI	185-190
B59		i-Pr	H	2-Me	CF ₃	2-MePh	200-203
B60		i-Pr	H	2-Me	i-Pr	CH ₃	186-190
B61		i-Pr	H	2-Me	Ph	Cl	229-234
B62	••	i-Pr	H	2-Me	CF ₃	SCH ₂ CH(CH ₃) ₂	230-231
B63		i-Pr	H	2-Me	CF2CF3	CH ₂ CH ₃	209-211
B64		i-Pr	H	2-Me	CF ₃	1-pyrazolyl	>250
B65		i-Pr	H	2-Me	CF ₂ CF ₃	H	>250
B66		i-Pr	· H	2-Me	CF ₂ CF ₃	i-Pr	209-212
B67		i-Pr	H	2-Me, 4-Br	CF ₃	CH ₃	>250
B68		i-Pr	H	2-Me	OCH ₂ CF ₃	n-Pr	165-169
B69		i-Pr	H	2-Me	Cl	n-Pr	200-205
B70		i-Pr	H	2-Me	Cl	Et	200-205
B71		i-Pr	H	2-Me	CF ₃	CN	214-215
B72		i-Pr	H	2,5-Cl ₂	CF ₃	CH ₃	>240
B73		i-Pr	H	2-Me	H	H, R ⁷ (c) is SPh	223-225
B74	B is S,	i-Pr	H	2-Me	CF ₃	CH ₃	201-203
B75	B is Ṣ,	i-Pr	H	2-Me	CF ₃	Et	173-175
B76	B is S,	i-Pr	Н	2-Me	CF ₂ CF ₃	CH ₃	156-158
B77		i-Pr	H	2-Me	H	1-((3-CF ₃)-pyrazolyl)	224-225
B78		i-Pr	H	2-Me	CF ₃	2-ClPh	223-225



INDEX TABLE C

$$(R^4)_{\overline{n}}$$
 $(R^4)_{\overline{n}}$
 $R^{7}(a)$
 $R^{7}(b)$
 $R^{7}(a)$
 $R^{7}(a)$

B is O, except where indicated

R ²	R ³	$(\mathbb{R}^4)_n$	R ⁷ (a)	R ⁷ (b)	m.p. °C
i-Pr	Н	2-Me	CF ₃	CH ₃	252-253
i-Pr	H	2-Cl	CF ₃	CH ₃	260-262
i-Pr	H	2-Me	CF ₃	OCH ₃	195-196
i-Pr	H	2-Me	CF ₃	$N(CH_3)_2$	270-272
i-Pr	H	2-Me	CF ₃	Et	246-248
i-Pr	H	2-Me	CF ₃	Ph	175-177
i-Pr	H	2-Me	i-Pr	Et	179-182
i-Pr	H	2-Me	c-Pr	Et	202-204
i-Pr	H	2-Me	i-Pr	CH ₃	206-209
i-Pr	Ĥ	2-Me	c-Pr	CH ₃	222-225
i-Pr	H	2-Me	c-Pr	Ph	236-239
i-Pr	H	2-Me	CF ₃	SCH ₃	244-247
i-Pr	H	2-Me	CF ₃	1-pyrrolidinyl	272-273
i-Pr	н	2-Me	CF ₃	$OCH_2C(Cl)=CH_2$	142-144
Et	H	2-Me	CF ₃	2-MePh	253-256
i-Pr	H	2-Me	CF ₃	2-MePh	244-246
t-Bu	H	2-Me	CF ₃	2-MePh	251-253
Et	H	2-C1	CF ₃	2-MePh	242-243
i-Pr	H	2-C1	CF ₃	2-MePh	237-240
t-Bu	H	2-C1	CF ₃	2-MePh	253-255
Et	H	2-Me	CF ₃	2-ClPh	251-252
i-Pr	H	2-Me	CF ₃	2-ClPh	246-248
t-Bu	H	2-Me	CF ₃	2-ClPh	238-239
Et	H	2-C1	CF ₃	2-ClPh	248-249
i-Pr	н	2-CI	CF ₃	2-ClPh	254-255
t-Bu	Ħ	2-C1	CF ₃	. 2-ClPh	240-242
	i-Pr i-Pr i-Pr i-Pr i-Pr i-Pr i-Pr i-Pr	i-Pr	i-Pr H 2-Me i-Pr H 2-Cl i-Pr H 2-Me i-Pr H 2-Me i-Pr H 2-Me i-Pr H 2-Me i-Pr H 2-Me i-Pr H 2-Me i-Pr H 2-Me	i-Pr H 2-Me CF3 i-Pr H 2-Cl CF3 i-Pr H 2-Me CF3 i-Pr H 2-Me CF3 i-Pr H 2-Me CF3 i-Pr H 2-Me CF3 i-Pr H 2-Me CF3 i-Pr H 2-Me i-Pr i-Pr H 2-Me i-Pr i-Pr H 2-Me i-Pr i-Pr H 2-Me c-Pr i-Pr H 2-Me CF3	i-Pr H 2-Me CF ₃ CH ₃ i-Pr H 2-Cl CF ₃ CH ₃ i-Pr H 2-Me CF ₃ OCH ₃ i-Pr H 2-Me CF ₃ OCH ₃ i-Pr H 2-Me CF ₃ N(CH ₃) ₂ i-Pr H 2-Me CF ₃ Et i-Pr H 2-Me CF ₃ Ph i-Pr H 2-Me i-Pr Et i-Pr H 2-Me i-Pr CH ₃ i-Pr H 2-Me c-Pr CH ₃ i-Pr H 2-Me C-Pr CH ₃ i-Pr H 2-Me C-Pr Dh i-Pr H 2-Me CF ₃ SCH ₃ i-Pr H 2-Me CF ₃ SCH ₃ i-Pr H 2-Me CF ₃ 1-pyrrolidinyl i-Pr H 2-Me CF ₃ OCH ₂ C(Cl)=CH ₂ Et H 2-Me CF ₃ 2-MePh t-Bu H 2-Me CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Me CF ₃ 2-MePh t-Bu H 2-Me CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-MePh t-Bu H 2-Cl CF ₃ 2-ClPh t-Bu H 2-Me CF ₃ 2-ClPh t-Bu H 2-Me CF ₃ 2-ClPh

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C27	Et	Н	2-Me	CF ₃	c-Pr	236-238
C28	i-Pr	н	2-Me	CF ₃	c-Pr	240-241
C29	t-Bu	H	2-Me	CF ₃	c-Pr	246-248
C30	Et	Н	2-Cl	CF ₃	c-Pr	240-242
C31	i-Pr	Н	2-C1	CF ₃	c-Pr	232-235
C32	t-Bu	н	2-C1	CF ₃	. c-Pr	266-268
C33	Et	H	2-Me	CF ₃	i-Pr	230-231
C34	i-Pr	H	2-Me	CF ₃	i-Pr	211-214
C35	t-Bu	H	2-Me	CF ₃	i-Pr	210-213
C36	Et	H	2-C1	CF ₃	i-Pr	247-249
C37	i-Pr	Н	2-C1	CF ₃	i-Pr	236-239
C38	t-Bu	H	2-Cl	CF ₃	i-Pr	235-238
C39	Et	H.	2-Me .	CF ₂ CF ₃	2-MePh	247
C40	i-Pr	H	2-Me	CF ₂ CF ₃	2-MePh	218-220
C41	t-Bu	H	2-Me	CF ₂ CF ₃	2-MePh	224-226
C42	Et	H	2-C1	CF ₂ CF ₃	2-MePh	241-243
C43	i-Pr	H	2-Cl	CF ₂ CF ₃	2-MePh	232-234
C44	t-Bu	H	2-C1	CF ₂ CF ₃	2-MePh	237-239
C45	Et	н	2-Me	CF ₂ CF ₃	2-ClPh	255-257
C46	i-Pr	н	2-Me	CF ₂ CF ₃	2-ClPh	224
C47	t-Bu	н	2-Me	CF ₂ CF ₃	2-ClPh	215
C48	Et	Н	2-C1	CF ₂ CF ₃	2-ClPh	248-250
C49	i-Pr	H	2-Cl	CF ₂ CF ₃	2-ClPh	222-224
C50	t-Bu	H	2-Cl	CF ₂ CF ₃	2-ClPh	242
C51	Et	H	2-Me	CF ₂ CF ₃	Ph	246-248
C52	i-Pr	H	2-Me	CF ₂ CF ₃	Ph	220
C53	t-Bu	H	2-Me	CF ₂ CF ₃	Ph	242
C54	Et	H	2-C1	CF ₂ CF ₃	Ph	238-240
C55	i-Pr	H	2-Cl	CF ₂ CF ₃	Ph	260
C56	t-Bu	н	2-Cl	CF ₂ CF ₃	Ph	231-232
C57	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	208
C58	t-Bu	Н	2-Me	CF ₂ CF ₃	CH ₃	242-244
C59	Et	н	2-Cl	CF ₂ CF ₃	CH ₃	210-212
C60	i-Pr	H	2-C1	CF2CF3	CH ₃	195
C61	t-Bu	\mathbf{H}	2-C1	CF ₂ CF ₃	CH ₃	246-248
C62	Et	н	2-Me	CF ₂ CF ₃	c-Pr	224-225
C63	i-Pr	н	2-Me	CF2CF3	c-Pr	232-234
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C64		Et	H	1	2-Cl	CF ₂ CF ₃	c-Pr	216-218
. C65		i-Pr	H	I	2-Cl	CF ₂ CF ₃	c-Pr	218-220
C66	٠	t-Bu	H	I	2-Cl	CF2CF3	c-Pr	210-212
C67		Et ·	H	I	2-Me	CF ₂ CF ₃	i-Pr	218-220
C68		i-Pr	H	I	2-Me	CF ₂ CF ₃	i-Pr	196-198
C69		t-Bu	H	I	2-Me	CF ₂ CF ₃	i-Pr	212-214
C70		Et	H	Ŧ	2-Cl	CF ₂ CF ₃	i-Pr	216-220
C71		i-Pr	F	I	2-C1	CF ₂ CF ₃	i-Pr	215-218
C72		t-Bu	H	I	2-C1	CF ₂ CF ₃	i-Pr	240-244
C73		i-Pr	H	Ŧ	2-Me	CF ₂ CF ₃	Et	210-212
C74		Et	F	I	2-Me	CF ₂ CF ₃	Et	230-232
C75		Et	H	I	2-C1	CF ₂ CF ₃	Et	210-213
C76		i-Pr	F	ł	2-C1	CF ₂ CF ₃	Et	203-204
C77		t-Bu	F	I	2-C1	CF ₂ CF ₃	Et	230-232
C78		Et	F	Ŧ	2-Me	CF ₂ CF ₃	CH ₃	238-240
C79	B is S	i-Pr	Ŧ	Ŧ	2-Me	CF ₃	Et	190-193
C80		i-Pr	Ŧ	Ŧ	2-Me	CF ₃	2-CF ₃ Ph	255-258

INDEX TABLE D

R⁷ (c) is H, except where indicated and B is O, except where indicated

Compound	R ²	R ³ ·	$(R^4)_n$	R ⁷ (a)	R ⁷ (b)	m.p. °C
D1	i-Pr	H	2-Me	CF ₃	CH ₃	200-204
D2 (Ex. 2)	i-Pr	H	2-Me	CF ₃	Et	123-126
D3	i-Pr	н	2-Ci	CF ₃	CH ₃	233-235
D4	t-Bu	H	2-Me	CF ₃	Et	215-218
. D 5	i-Pr	Н	2-Me	CH ₃	Ph	238-239
D6	i-Pr	H	2-Me	CH ₃	CH ₃	206-208

D7	i-Pr	H	2 - Me	CH ₃	CH ₂ CF ₃	246-248
D8	i-Pr	H	2-C1	Et	CF ₃	235-237
D9	i-Pr	H	2-Me	CH ₃	CH_3 , \mathbb{R}^7 (c) is \mathbb{C} l	205-207
D10	i-Pr	H	2-Me	CH ₃	4-CF ₃ Ph	256-258
D11	i-Pr	H	2-Me	CH ₃	2-CF ₃ Ph	204-206
D12	t-Bu	H	2-Me	CH ₃	Ph	236-238
D13	i-Pr	H	2-F	CH ₃	Ph	227-229
D14	i-Pr	. H	5-F	CH ₃	Ph	209-211
D15	i-Pr	H	2-Cl	CH ₃	Ph	233-234
D16	i-Pr	H	H	CH ₃	Ph	215-217
D17	i-Pr	. H	2-NO ₂	CH ₃	Ph	236-237
D18	i-Pr	н	2-C1	CF ₃	Ph .	240-242
D19 (Ex. 6)	i-Pr	H	2-Me	CF ₃	Ph	260-262
D20	i-Pr	H	2-I	CH ₃	Ph	250-251
D21	i-Pr	H	2-I	CH ₃	2-CF ₃ Ph	251-253
D22	Н	н	2-Me	CH ₃	Ph ·	253-255
D23	Et	Et	2-Me	CH ₃	Ph.	182-184
D24	t-Bu	H	2-C1	CF ₃	Ph	232-234
D25	i-Pr	H	2-I	CF ₃	Ph	271-273
D26	t-Bu	н	2-I	CF ₃	Ph	249-250
D27	i-Pr	H	2-Me	CH ₃	t-Bu	210-211
D28	i-Pr	H	2-Br	CF ₃	Ph	257-259
D29	i-Pr	H	2-Br	CH ₃	Ph	246-247
D30	i-Pr	H	2-Me	CF ₃	2-pyridinyl	237-238
D31	i-Pr	H	2,5-Cl ₂	CF ₃	Ph	>250
D32	B is S, i-Pr	H	2-Me	CF ₃	Ph	169-172
D33	i-Pr	H	2-Me	CF ₃	2-ClPh	208-209
D34	i-Pr	H	2-Cl	CF ₃	2-ClPh	234-235
D35	i-Pr	H	2-Me	CF ₃	4-ClPh	289-290
D36	i-Pr	H	2-:Cl	CF ₃	4-ClPh	276-278
· D37	i-Pr	H	2-Cl	CF ₃	2-pyridinyl	239-240
D38	i-Pr	H	2-Me	CF ₃	2-pyrimidinyl	205-208
D39	i-Pr	H	2-Me	CF ₃	2-(3-CH ₃ -pyridinyl)	183-187
D 40	i-Pr	H	2-Me	CF ₂ CF ₃	Ph	231-232
D41	i-Pr	н	2-C1	CF ₂ CF ₃	Ph .	206-207
D42	t-Bu	н	2-C1	CF ₂ CF ₃	Ph	212-213
D43	i-Pr	H	2-Br	CF2CF3	Ph	219-222
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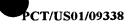
D44	i-Pr	H	2-Me	CF ₃	3-ClPh	278-280
D45	i-Pr	Н	2-C1	CF ₃	3-ClPh	272-273
D46	i-Pr	Н	2-Me	CF ₃	2-FPh	217-218
D47	i-Pr	н	2-C1	CF ₃	2-FPh	220-221
D48	i-Pr	Н	2-Me	CF ₃	4-FPh	269-270
D49	i-Pr	H	2-C1	CF ₃	4-FPh	279-280
D50	i-Pr	H	. · 2-I	c-Pr	CH ₃	222-224
D51	i-Pr	H	5-I	c-Pr	CH ₃	215-217
D52	i-Pr	Н	2-CF ₃	CF ₃	Ph	247-249
D53	i-Pr	H	2-Cl	CF ₃	i-Pr	255-258
D54	i-Pr	Н	2-Me	CF ₃	3-FPh	277-278
D55	і-Рт	Н	2-Cl	CF ₃	3-FPh	256-257
D56	i-Pr	Н	2-Me	CF ₃	2-CF ₃ Ph	215-216
D57	i-Pr	H	2-Cl	CF ₃	2-CF ₃ Ph	230-231
D58	i-Pr	Н	2-Me	CF ₃	2-BrPh	207-208
D59	i-Pr	H	2-C1	CF ₃	2-BrPh	239-240
D60	i-Pr	H	2-OCH3	CF ₃	Ph	215-216
D61	i-Pr	H	5-Cl	CF ₃	2-(3-CH ₃ -pyridinyl)	224-225
D62	i-Pr	H	5-Me	CF ₃	2-(3-Cl-pyridinyl)	179-181
D63	s-Bu	H	2-Cl	CF ₃	Ph	>240
D64	c-Pr	H	. 2-Cl	CF ₃	· Ph	>240
D65	Et	H	2-C1	CF ₃	Ph	>240
D66	t-Bu	H	2-CF ₃	CF ₃	Ph	230-233
D67	Et	H	2-CF ₃	CF ₃	Ph	246-249
D68	CH(CH ₃)CH ₂ SCH ₃	H	2-CF ₃	CF ₃	Ph	215-217
D69	CH(CH ₃)CH ₂ OCH ₃	H	2-CF ₃	CF ₃	Ph	220-223
D70	i-Pr	H	5-CI	CF ₃	2-(3-Cl-pyridinyl)	230-233
D71	i-Pr	H	5-Me	CF ₃	2-thiazolyl	201-203
D72	i-Pr	H	5-Me	CF ₃	2-pyrazinyl	252-253
D73	i-Pr	H	5-Me	CF ₃	4-pyridinyl	224-228
D74	i-Pr	H	2-Me	. CF ₃	i-Pr	236-243
D75	i-Pr	H	2-Me	CF ₃	2-CH ₃ Ph	211-212
D76	i-Pr	H	2-C1	CF ₃	2-CH ₃ Ph	232-234
D77	i-Pr	H	2-Br	CF ₃	2-ClPh	247-248
D78	t-Bu	H	2-Me	CF ₃	2-CIPh	216-217
D79 (Ex. 7)	i-Pr	H	2-Me	CF ₃	2-(3-CF ₃ -pyridinyl)	227-230
D80	CH ₂ CH ₂ Cl	H	2-C1	CF ₃	Ph .	237-242

D81	CH ₂ CH ₂ CH ₂ CI	Н	2-C1	CF ₃	Ph	233-239
D82	СН(СН3)СО2СН3	H	2-C1	CF ₃	Ph	221-222
D83	S-CH(i-Pr)CO ₂ CH ₃	H	2-C1	CF ₃	Ph	212-213
D84	i-Pr	H	2-Me	CF ₃	2,6-Cl ₂ -Ph	267-268
D85	i-Pr	H	2-C1	CF ₃	2,6-Cl ₂ -Ph	286-287
D86	i-Pr	Н	2-Me	Br	Ph	253-255
D87	i-Pr	H	2-Cl	Br	Ph	247-248
D88	i-Pr	Н	2-Me	CF ₃	i-Bu	205-210
D89	i-Pr	Н	2-Me	CF ₃	CH ₂ Ph	235-237
D90	i-Pr	H	2-Me	CF ₃	2-(3-OCH ₃ -pyridinyl)	221-222
D91	i-Pr	H	2-Me	CF ₃	3-pyridinyl	260-261
D92	i-Pr	Н	2-Me	CF ₃	4-quinolinyl	>260
D93	i-Pr	H	2-Me	CN	2-(3-Cl-pyridinyl)	203-204
D94	i-Pr	H	2-Me	CF ₃	2,4-F ₂ -Ph	245-246
D95	i-Pr	H	2-C1	CF ₃	2,4-F ₂ -Ph	252-253
D96	i-Pr	H	2-Me	CF ₃	2-Et-Ph	207-209
D97	i-Pr	Η	2-C1	CF ₃	2-Et-Ph	221-222
D98	i-Pr	H	H	CF ₃	2-CIPh	206-207
D99	t-Bu	H	H	CF ₃	2-CIPh	197-198
D100	CH(CH ₃)CH ₂ OCH ₃	H	H	CF ₃	2-ClPh	145-148
D101	CH(CH ₃)CH ₂ SCH ₃	H	H	CF ₃	2-ClPh	158-160
D102	CH(CH ₃)CH ₂ SCH ₃	H	2-CI	CF ₃	Ph	184-186
D103	CH(CH ₃)CH ₂ OCH ₃	\mathbf{H}_{\perp}	2-C1	CF ₃	Ph	217-218
D104	n-Pr	H	2-Cl	CF ₃	Ph	247-248
D105	i-Bu	H	2-Cl	CF ₃	Ph	244-245
D106	CH ₃	H	2-Cl	CF ₃	Ph	>250
D107	i-Pr	Me	2-Cl	CF ₃	Ph	193-194
D108	CH ₂ C≡CH	H	2-Cl	CF ₃	Ph	>250
D109	CH ₂ CH=CH ₂	H	2-Cl	CF ₃	Ph	248-249
D110	CH ₂ (2-furanyl)	H	2-Cl	CF ₃	Ph	246-247
D111	i-Pr	H	2-Me	Ph	2-CIPh	133-136
D112	i-Pr	H	2-C1	Ph	2-CIPh	220-221
D113	i-Pr	H	2-Me	CF ₃	4-(3,5-Cl ₂ -pyridinyl)	239-242
D114	i-Pr	H	2-Cl	CF ₃	4-(3,5-Cl ₂ -pyridinyl)	229-231
D115	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	2-ClPh	194-195
D116	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	2-ClPh	181-183
D117	s-Bu	H	2-Me	CF ₃	2-ClPh	199-200



D118	c-Pr	н	2-Me	CF ₃	2-CIPh	234-235
D119	n-Pr	н	2-Me	CF ₃	2-CIPh	222-223
D120	i-Bu	н	2-Me	CF ₃	2-CIPh	235-237
D121	Me	H .	2-Me	CF ₃	2-ClPh	242-243
D122	i-Pr	Me	2-Me	CF ₃ .	2-ClPh	90-93
D123	CH ₂ C≡CH	H	2-Me	CF ₃	2-ClPh	215-216
D124	Et	H	2-Me	CF ₃	2-ClPh	228-229
D125	CH ₂ CH=CH ₂	H	2-Me	CF ₃	2-ClPh	227-228
D126	CH ₂ (2-furanyl)	H	2-Me	CF ₃	2-ClPh	218-219
D127	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	Ph	179-180
D128	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	Ph	219-220
D129	s-Bu	Н	2-Me	CF ₃	Ph .	244-245
D130	c-Pr	H	2-Me	CF ₃	Ph	>250
D131	n-Pr	H	2-Me	CF ₃	Ph	238-239
D132	i-Bu	H	2-Me	CF ₃	Ph	237-238
D133	Me	H	2-Me	CF ₃	Ph	263-265
D134	i-Pr	Me	2-Me	CF ₃	Ph	178-179
D135	CH ₂ C≡CH	H	2-Me	CF ₃	Ph	253-254
D136	Et	H	2-Me	CF ₃	Ph	244-245
D137	CH ₂ CH=CH ₂	Н	2-Me	CF ₃	Ph	240-241
D138	CH ₂ (2-furanyl)	H	2-Me	CF ₃	· Ph	245-246
D139	i-Pr	H	2-OCHF ₂	CF ₃	2-ClPh	200-201
D140	i-Pr	H	2-OCH ₃	CF ₃	2-CIPh	206-207
D141	i-Pr	H	2-I	CF ₃	2-ClPh	253-256
D142	i-Pr	H	2-Me	Br	2-ClPh	147-150
D143	i-Pr	H	2-C1	\mathbf{Br}	2-ClPh	246-247
D144	i-Pr	H	2-Me	CF ₃	2-OCH ₃ Ph	218-219
D145	i-Pr	H	2-C1	CF ₃	2-OCH ₃ Ph	243-244
D146	i-Pr	H	2-Me	CF ₃	1-isoquinolinyl	252-253
D147	CH(CH ₃)CH ₂ SCH ₃	H	2-C1	CF ₃	2-ClPh	217-218
D148	CH(CH ₃)CH ₂ OCH ₃	H	2-C1	CF ₃	2-ClPh	207-208
D149	s-Bu	Н	2-Cl	CF ₃	2-ClPh	216-217
D150	c-Pr	H	2-Cl	CF ₃	2-ClPh	261-262
D151	n-Pr	H	2-C1	CF ₃	2-ClPh	231-232
D152	i-Bu	Н	2-C1	CF ₃	2-ClPh	255-256
D153	Me	H	2-C1	CF ₃	2-ClPh	233-235
D154	i-Pr	Me	2-C1	CF ₃	2-ClPh	127-128

D155	CH ₂ C≡CH	H	2-Cl	CF ₃	2-ClPh	226-227
D156	Et	H	2-Cl	CF ₃	2-ClPh	244-246
D157	CH ₂ CH=CH ₂	Н	2-Cl	CF ₃	2-ClPh	235-236
D158	CH ₂ (2-furanyl)	H	2-Cl	CF ₃	2-ClPh	207-208
D159	i-Pr	H	C≡CSi(CH ₃) ₃	CF ₃	2-ClPh	256-258
D160	i-Pr	H	C≡CH	CF ₃	2-ClPh	228-230
D161	i-Pr	н	2-Cl	С≡СН	2-ClPh	219-222
D162	i-Pr	H	2-Me	H	H, R^7 (c) is CH ₃	220-223
D163	i-Pr	Н	2-Me	CH ₃	Ph, R ⁷ (c) is Cl	209-210
D164	B is S i-Pr	H	2-Cl	CF ₃	Ph	169-174
D165	i-Pr	Н	2-Me	CF ₃	2,6-F ₂ Ph	223-225
D166	i-Pr	H	2-Me	CF ₃	2-Cl-6-FPh	203-206
D167	i-Pr	H	2-Cl	CF ₃	2-Cl-6-FPh	218-221
D168	i-Pr	H	2-Me-4-Br	CF ₃	2-FPh	232-233
D169	t-Bu	Н	2-CI	CF ₃	2-(3-Cl-pyridinyl)	250-251
D170	Me	н	2-C1	CF ₃	2-(3-Cl-pyridinyl)	>250
D171	Et	Et	2-Cl	CF ₃	2-ClPh	243-247
D172	Me	Me	2-Cl	CF ₃	2-ClPh	234-235
D173	Et	Et	2-Me	CF ₃	2-ClPh	237-238
D174	Me	Me	2-Me	CF ₃	2-ClPh	225-226
D175	CH ₂ CH ₂ N(Me) ₂	H	2-Me	CF ₃	2-ClPh	188-190
D176	i-Pr	Н	2-Cl	CF ₃	2-pyrazinyl	242-243
D177	t-Bu	H	2-Me-4-Br	CF ₃	2-ClPh	>260
D178	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	176-177
D179	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	196-197
D180	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	2-(3-Cl-pyridinyl)	197-198
D181	CH(CH ₃)CH ₂ SCH ₃	H	2-C1	CF ₃	2-(3-Cl-pyridinyl)	202-203
D182	i-Pr	H	2-Me	CF ₃	2-IPh	221-222
D183	i-Pr	H	2-C1	CF ₃	2-IPh	238-240
D184	i-Pr	H	2-Me	CF ₃	2-(C≡CH)-Ph	215-217
D185	i-Pr	H	2-C1	CF ₃	2-(C≡CH)-Ph	244-246
D186	t-Bu	H	2-C1	CF3	2-(3-Cl-pyridinyl)	250-251
D187	Me	H	2-C1	CF ₃	2-(3-Cl-pyridinyl)	>250
• • •						
D188	i-Pr	H	2-Me	CF ₃	2-Cl-4-FPh	203-205
D189	i-Pr	H	2-C1	CF ₃	2-Cl-4-FPh	218-219



D190	Me	Me	2-Me	CF ₃	2-CIPh	225-226
D191	Et	Et	2-Me	CF ₃	2-ClPh	243-247
D192	i-Pr	H	2-Me	CF ₃	2,6-Me ₂ Ph	259-260
D193	i-Pr	н	2-C1	CF ₃	2,6-Me ₂ Ph	268-269
D194	i-Pr	H	2-Me	CF ₃	2,6-Cl ₂ -4-CNPh	*
D195	i-Pr	H	2-Me	CF ₃	2-CNPh	225-235
D196	i-Pr	H	2-Me	CF ₃	2-(OCF ₃)Ph	214-215
D197	i-Pr	H	2-Cl	CF ₃	2-(OCF ₃)Ph	223-224
D198	i-Pr	H	2-Me	CF ₃	2-Br-4-FPh	202-203
D199	i-Pr	H	2-Cl	CF ₃	2-Br-4-FPh	222-223
D200	i-Pr	H	2-Me	CF ₃	2-(3-Me-pyrazinyl)	205-207
D201	Me	H	2-Cl .	CF ₃	2-(3-Cl-pyridinyl)	215-220
D202	CH ₂ C≡CH	H	2-Cl	CF ₃	2-(3-Cl-pyridinyl)	197-198
D203	Me	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	193-196
D204	Et	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	204-206
D205	CH ₂ C≡CH	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	177-178
D206	i-Pr	н	2-Me	CF ₃	4-(8-Cl-quinolinyl)	>250
D207	i-Pr	Н	2-Me	CF ₃	4-(2-Me-quinolinyl)	>250
D208	i-Pr	H	2-C1	CF ₃	4-(2-Me-quinolinyl)	>250
D209	i-Pr	H	2-Me	CF ₃	4-(7-Cl-quinolinyl)	>250
D210	i-Pr	H	2,4-Br ₂	CF ₃	2-ClPh	233-234
D211	i-Pr	H	2-Br	Br	2-ClPh	255-258
D212	Me	H	2-Me	Br	2-ClPh	236-237
D213	t-Bu	H	2-C1	Br	2-ClPh	260-261
D214	Et	Н	2-Me	Br	2-ClPh	254-255
D215	t-Bu	H	2-Me	Br	2-ClPh	259-260
D216	c-Bu	H	2-C1	CN	2-(3-Cl-pyridinyl)	177-180
D217	i-Pr	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	237-239
D218	i-Pr	H	2-Me	CF ₃	4-(6-Cl-quinolinyl)	>250
D219	Me	Me	2-Me	CF ₃	4-(6-Cl-quinolinyl)	>250
D220	O-i-Pr	H	2-Cl	CF ₃	2-ClPh	218-219
D221	i-Pr	H	2-C1	CN	2-(3-Cl-pyridinyl)	195-200
D222	t-Bu	H	2-C1	CN	2-(3-Cl-pyridinyl)	>250
D223	Et	H	2-C1	CN	2-(3-Cl-pyridinyl)	200-205
D224	i-Pr	H	2-Cl	CF ₃	2-(3-Me-pyrazinyl)	225-230
D225	t-Bu	H	2-C1	CF ₃	2-(3-Me-pyrazinyl)	235-240
D226	Et	H	2-Cl	CF ₃	2-(3-Me-pyrazinyl)	210-220

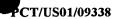
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D227	i-Pr	H	2-Me	CF ₃	3-(2-Cl-pyridinyl)	*
D228	i-Pr	H	2-CI	CF ₃	2,3-Cl ₂ Ph	217-219
D229	t-Bu	H	2-Cl	CF ₃	2,3-Cl ₂ Ph	254-256
D230	i-Pr	H	2-Me	CF ₃	2,3-Cl ₂ Ph	208-209
D231	t-Bu	H	2-Me	CF ₃	2,3-Cl ₂ Ph	232-233
D232	t-Bu	H	2-Me-4-Br	Br	2-ClPh	239-241
D233	Me	H	2-Me-4-Br	Br	2-ClPh	150-152
D234	Et	H	2-Me-4-Br	Br	2-ClPh	223-225
D235	i-Pr	H	2-Me-4-Br	Br	2-ClPh	197-198
D236	Me	H	2-Me	CF ₃	2-FPh	245-247
D237	CH ₂ C≡CH	H	2-Me	CF ₃	2-FPh	222-227
D238	O-i-Pr	H	2-C1	CN	2-(3-Cl-pyridinyl)	205-206
D239	O-i-Pr	H	2-Me	CN	2-(3-Cl-pyridinyl)	210-211
D240	Me	Me	2-Cl	CF ₃	2-ClPh	234-236
D241	CH ₂ C≡CH	H	2-Me-4-Br	Br	2-ClPh	187-188

^{*}See Index Table Q for ¹H NMR data

INDEX TABLE E

$$R^{7}(c)$$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$

Compound	R ²	R ³	$(R^4)_n$	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	m.p. °C
E1	i-Pr	Н	2-Me	CH ₃	CH ₃	H	143-145
E2	i-Pr	Н	2-Me	CH ₃	CH ₂ CF ₃	H	198-199
. E3	i-Pr	Н	2-Me	СН3	CH ₃	Cl .	188-190
E4.	i-Pr	H	2-Me	CH ₃	4-CF ₃ -Ph	H	198-199
E5	i-Pr	H	2-Me	CH ₃	2-CF ₃ -Ph	H	211-213
E6	i-Pr	Н	2-Me	CH ₃	t-Bu	H	125-127
E7	i-Pr	H	2-Me	CF ₃	CH ₂ Ph	H	130-135
E8	i-Pr	H	2-Me	H	Ph	CH ₃	249-250
E 9	i-Pr	H	2-Me	H	CH ₃	Ph	268-270



E10	i-Pr	H	2-C1	H	Ph	CH ₃	260-261
E11	i-Pr	H	2-Me	H	CH ₂ CF ₃	Ph	21 215
E12	i-Pr	H	2-C1	H	CH ₂ CF ₃	Ph	205-209
E13	i-Pr	H	2-Me	H	CHF ₂	Ph	*
E14	i-Pr	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	H	249-250

^{*}See Index Table Q for ¹H NMR data

INDEX TABLE F

Compound	R ²	R ³	$(R^4)_n$	$\mathbb{R}^7(a)$	R ⁷ (b)	R ⁷ (c)	m.p. °C
F1	i-Pr	Н	2-Me	CH ₂ CF ₃	CH ₃	Н	254-255
F2	i-Pr	H	2-Me	CH ₂ CF ₃	H	CH ₃	200-205
F3	i-Pr	H	2-Me	CH ₂ (3-CF ₃)Ph	·H	CH ₃	212-215
F4	i-Pr	H	2-C1	CH ₂ CF ₃	H	CH ₃	215-217
F5	i-Pr	H	2-Me	Ph .	H	CF ₃	223-224
F6	i-Pr	H	2-C1	Ph	H	CF ₃	206-208
F7	i-Pr	H	2-Me	CH ₂ CF ₃	H	Ph	156-158
F8	i-Pr	H	2-Cl	CH ₂ CF ₃	H	Ph	162-164

INDEX TABLE G

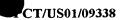
Compound	Q	R ²	R^3	$(R^4)_n$	R ⁷ (a)	R ⁷ (b)	m.p. °C
G1	S	i-Pr	Н	2-Me	4-OCF ₃ Ph	CH ₃	233-234
G2	S	i-Pr	Н	2-Me	OCH ₂ CF ₂ CF ₃	СН3	170-173
: G3	S	i-Pr	H	2-Me	Cl	CH ₃	164-167
G4	s	i-Pr	Н	2-Me	CH ₃	Ph	216-219
G5	s	i-Pr	H	2-Me	С(СН ₃) ₂ ОН	CH ₃	*
G6	S	i-Pr	Н	2-Me	i-Pr	CH ₃	180-181
G7	S	i-Pr	Η	2-Me	i-Pr	Ph	182-183
G8	0	i-Pr	H	2-Me	i-Pr	CH ₃	163-164

*See Index Table Q for $^1\mathrm{H}$ NMR data

INDEX TABLE H

$$R^{7}(c)$$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$
 $R^{7}(a)$

Compound	Q	R ²	R ³	$(R^4)_n$	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	m.p. °C
H1	S	i-Pr	H	2-Me	Н	H	H	192-195
H2	S	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	H	H	, H	120-123
нз	S .	t-Bu	н	2-Me	H	H	H	120-123
H4	NMe	i-Pr	H	2-Me	Me	H	H	193-195
H5	NPh	i-Pr	H	2-Me	H	Me	H	188-192
Н6	NPh	i-Pr	H	2-Me	Br	H	H	176-179
H7	NPh	i-Pr	Н	2-Me	Br	H	Br	215-216
Н8	NPh	i-Pr	H	2-Me	H	H	Br	150-154
Н9	NPh	i-Pr	H	2-Me	CF ₃	H	H	182-184
H10	N(2-ClPh)	i-Pr	H	2-Me	Br	H	H	100-110
H11	N(2-FPh)	i-Pr	H	2-Me	Br	H	H	178-179
H12	N(2-FPh)	t-Bu	H	2-Me	Br	H	H	186-188
Ḥ13	N(2-ClPh)	t-Bu	H	2-Me	Br	H	H	225-229
				•				



INDEX TABLE J

Compound	R ²	R ³	$(R^4)_n$. R ⁷ (a)	R ⁷ (b)	m.p. °C
J1	i-Pr	Н	2-Me	Me	Me	221-222
J2	i-Pr	H	H	CF ₃	Ph	279-281
J3	i-Pr	H	2-Me	CF ₃	Ph	263-268
Ј4	i-Pr	H	2-Cl	CF ₃	2-ClPh	235-238
J5	i-Pr	н	2-CI	CF ₃	Ph	245-246
Ј6	i-Pr	H	2-Me	CF ₃	2-ClPh	240-242
J7	i-Pr	H	2-C1	CF ₃	2-F-4-ClPh	246-247
J8	i-Pr	H	2-Me	CF ₃	2-F-4-ClPh	266-268
J 9	i-Pr	H	2-Me	CF ₃	2-pyridinyl	258-260

INDEX TABLE K

Compound	R ²	R ³	$(\mathbb{R}^4)_n$	R ⁷ (a)	R ⁷ (b)	m.p. °C
K1	i-Pr	Н	2-Me	Br	Ĥ	177-180
K2	t-Bu	H	2-Me	Br	H	188-194

WO 01/70671 PCT/US01/09338

INDEX TABLE L

$$R^{7}(a)$$
 N
 $R^{7}(b)$
 $R^{7}(b)$
 $R^{7}(b)$

Compound	R ²	R ³	$(R^4)_n$	R ⁷ (a)	R ⁷ (b)	m.p. °C
L1	i-Pr	Н	2-Me	Me	Me	203-205
L2	i-Pr	H	2-Me	Me	2,6-Cl ₂ Ph	218-223

INDEX TABLE M

$$R^{7}(a)$$
 $R^{7}(b)$
 $R^{7}(c)$
 $R^{7}(c)$
 $R^{7}(c)$
 $R^{7}(c)$

Compound	Q	R ²	R ³	$(R^4)_n$	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	m.p. °C
M1	S	i-Pr	H	2-Me	Cl	Me	H	203-205
M2	S	i-Pr	. H	2-C1	Cl	Me	H	210-213
М3	NCHF ₂	t-Bu	H	2-Me	H	H	Ph	165-166
M4	NH	i-Pr	H	2-Me	CF ₃	Ph	H	118-120
M5	NMe	i-Pr	H	2-Me	CF ₃	Ph	H	110-112
М6	NCHF ₂	i-Pr	H	2-Me	2-FPh	H	H	143-144
M7	NCHF ₂	t-Bu	Н	2-Me	2-FPh	H	Η.	120-123
M8	NCH ₂ CF ₃	i-Pr	H	2-Me	2-FPh	Н.	H	235-237

INDEX TABLE N

Compound	Het	m.p. °C
N1	Me N Ph	169-171
N2	CI	227-230
N3	Me N S	243-246

INDEX TABLE P

Compound		m.p. °C	
P1	NH isp.	178-179	

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INDEX TABLE O

Compd. No.	¹ H NMR Data (CDCl ₃ solution unless indicated otherwise) ^a
D194	(DMSO-d6) δ 1.03 (d, 6H), 2.18 (s, 3H), 3.92 (m, 1H), 7.22-7.30 (m, 2H),
	7.35 (m, 1H), 7.62 (dd, 1H), 7.81 (s, 1H), 8.02 (d, 1H), 8.15 (dd, 1H), 8.55
	(dd, 1H), 10.34 (s, 1H).
D227	(DMSO-d6) δ 1.01 (d, 6H), 2.16 (s, 3H), 3.92 (m, 1H), 7.27 (m, 2H), 7.35
•	(m, 1H), 7.89 (s, 1H), 7.96 (m, 1H), 8.37 (s, 2H), 10.42 (s, 1H).
G5	δ 1.22 (d, 6H), 2.05 (s, 6H), 2.31 (s, 3H), 2.76 (s, 3H), 4.18 (m, 1H), 5.94
	(d, 1H), 7.20 (dd, 1H), 7.29 (d, 1H), 7.38 (d, 1H), 9.83 (br s, 1H).
E13	δ 1.12 (d, 6H), 2.32 (s, 1H), 4.14 (m 1H), 4.95 (d, 1H), 7.19 (dd, 1H), 7.28
	(t, 1H), 7.32 (m, 5H), 7.59 (dd, 2H), 7.92 (s, 1H), 9.51 (br s, 1H).

a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br s)-broad singlet.

BIOLOGICAL EXAMPLES OF THE INVENTION TEST

Application: Compounds are formulated in a 10% acetone, 90% water and 300 ppm X-77 surfactant solution, unless otherwise indicated. The formulated compounds are applied with a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems) positioned ½" above the top of each test unit. There are 6 of these nozzles that make up the spray boom and this is fixed in a belt sprayer. A rack (or carrier) of 6 different insect test units is placed on the conveyor belt and stops so that each unit is centered under a nozzle. Once the rack is centered, 1 mL of liquid is sprayed into each test unit; the rack then continues down the belt to the end of the sprayer to be off-loaded. All experimental compounds in this screen are sprayed at 250 ppm and replicated three times.

Diamondback Moth (DBM) - Plutella Xylostella: The test unit consists of a small self-contained unit with a 12-14 day old radish plant inside. These are pre-infested (using a core sampler) with 10-15 neonate larvae on a piece of insect diet. Once 1 mL of formulated compound has been sprayed into each test unit, the test units are allowed to dry for 1 hour before a black, screened cap is placed on the top of the cylinder. They are held for 6 days in a growth chamber at 25 °C and 70% relative humidity.

Plant feeding damage was visually assessed on a scale of 0-10 where 0 is no feeding, 1 is 10% or less feeding, 2 is 20% or less feeding, 3 is 30% or less feeding through a maximum score of 10 where 10 is 100% of foliage consumed. Of the compounds tested the following provided excellent levels of plant protection (ratings of 0-1, 10% or less feeding damage): 1, 2, 3, 4, 6, 7, 9, 10, 13, 14, 15, 19, 20, 24, 27, 28, 29, 30, 31, 32, 33, 35, 37, 38, 39, 51, 52, 53, 60, 61, 62, 63, 64, 65, 66, 68, 69, 72, 73, 74, 75, 76, 79, 80, 84, 86, 88, 89, 90,



92, 96, 97, 98, 99, 100, 101, 102, 103, 107, 113, 124, 126, 127, 143, 144, 146, 147, 148, 150, 151, 152, 153, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 169, 170, 171, 174, 183, 184, 185, 186, 187, 188, 189, 190, 191, 193, 194, 195, 196, 198, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 217, 218, 219, 220, 222, 223, 225, 227, 228, 229, 230, 231, 232, 233, 235, 238, 239, 240, 244, 245, 246, 248, 249, 250, 251, 252, 5 253, 256, 257, 275, 276, 277, 278, B2, B4, B5, B6, B7, B8, B9, B10, B11, B12, B13, B14, B15, B16, B17, B18, B19, B20, B21, B23, B24, B25, B28, B29, B30, B31, B32, B33, B35, B37, B38, B39, B40, B42, B43, B44, B45, B46, B47, B48, B49, B50, B53, B55, B57, B58, B59, B60, B61, B62, B63, B64, B66, B67, B68, B69, B70, B71, B72, B74, B75, B76, C1, C2, C3, C4, C5, C7, C8, C9, C10, C11, C12, C79, D2, D3, D4, D5, D6, D7, D8, D11, D12, 10 D13, D14, D15, D16, D18, D19, D20, D23, D24, D25, D26, D27, D28, D29, D30, D32, D33, D34, D37, D38, D39, D40, D41, D42, D45, D46, D47, D48, D50, D51, D52, D53, D54, D55, D56, D57, D58, D59, D60, D61, D62, D63, D64, D65, D66, D67, D68, D69, D70, D71, D72, D73, D74, D75, D76, D77, D78, D79, D81, D83, D84, D85, D86, D87, D88, D89, D91, D92, D93, D94, D95, D96, D97, D111, D113, D114, D115, D116, D117, 15 D118, D119, D120, D121, D122, D123, D124, D125, D126, D162, D164, E4, F2, F5, F6, F7, F8, G2, G3, G5, H1, H2, H3, H4, J3, J4, J6, M1, M3, N2 and P1.

CLAIMS

What is claimed is:

1. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula 1, its *N*-oxide or agriculturally suitable salts

wherein

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A and B are independently O or S;

each J is independently a phenyl or naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

- R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or
- R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;
- R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;
- R³ is H; G; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, G, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenyl, phenoxy

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or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, C_1 , C_2 , C_3 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylaminocarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl; C_1 - C_4 alkoxy; C_1 - C_4 alkylamino; C_2 - C_8 dialkylamino; C_3 - C_6 cycloalkylamino; C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylamino; C_3 - C_6 cycloalkylamino; C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkoxycarbonyl or C_3 - C_6 alkylcarbonyl; or

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R² and R³ can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

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G is a 5- or 6-membered nonaromatic carbocyclic or heterocyclic ring, optionally including one or two ring members selected from the group consisting of C(=O), SO or $S(O)_2$ and optionally substituted with 1 to 4 substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN, NO₂ and C_1 - C_2 alkoxy;

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each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or

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each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

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each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylthio, C₁-C₆ alkylsulfinyl, C₁-C₆ alkylsulfonyl,

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C_1 - C_6 haloalkylthio, C_1 - C_6 haloalkylsulfinyl, C_1 - C_6 haloalkylsulfonyl, (C_1 - C_6
alkylamino, C ₂ -C ₁₂ dialkylamino, or C ₃ -C ₆ cycloalkylamino, C ₂ -C ₆	
alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8	3
dialkylaminocarbonyl, C ₃ -C ₆ trialkylsilyl; or	:

- (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;
- each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy or C₂-C₄ alkoxycarbonyl; or
- each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylsilyl; or
- each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that

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- (1) when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴ is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy; or
- (2) J is other than an optionally substituted 1,2,3-thiadiazole.
- 2. The method of Claim 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.
 - 3. The method of Claim 2 wherein

A and B are both O;

n is 1 to 2;

- R¹ is II, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;
- R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;
- R³ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;
- one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl;
- each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or
- (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and
- each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxycarbonyl, or
- each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.
- · 4. The method of Claim 3 wherein

R¹ and R² are both H;

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

- each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;
- each R^6 is independently H, halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN; and

10 p is 0, 1 or 2.

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- 5. The method of Claim 4 wherein R³ is *i*-propyl or *t*-butyl.
- 6. The method of Claim 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.
 - 7. The method of Claim 6 wherein
 - J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷

Q is O, S or NR7; and

- W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.
- 8. The method of Claim 6 or 7 wherein

A and B are O;

n is 1 to 2;

- R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;
- R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

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- R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;
- one of the R^4 groups is attached to the phenyl ring at the 2-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO₂, C_1 - C_4 alkoxy, C_1 - C_4 haloalkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylsulfinyl or C_1 - C_4 haloalkylsulfonyl; and
- each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₈ dialkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.
- 20 9. The method of Claim 8 wherein J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R⁷.
 - 10. The method of Claim 9 wherein
 - J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are both H;

- R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;
- each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂,

 OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl, pyrazole,

 imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with

 C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio,

 C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, halogen or CN; and

 p is 0, 1 or 2.
 - 11. The method of Claim 10 wherein J is a pyridine optionally substituted with 1 to 3 R7.

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- 12. The method of Claim 11 wherein one \mathbb{R}^7 is a phenyl optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
- 13. The method of Claim 11 wherein one \mathbb{R}^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
- 14. The method of Claim 10 wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.
- 15. The method of Claim 14 wherein one \mathbb{R}^7 is a phenyl optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
- 16. The method of Claim 14 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.
 - 17. The method of Claim 10 wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.
- 15 18. The method of Claim 17 wherein one \mathbb{R}^7 is a phenyl optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
 - 19. The method of Claim 17 wherein one \mathbb{R}^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
 - 20. The method of Claim 19 wherein \mathbb{R}^7 is a pyridine optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
 - 21. The method of Claim 1 comprising a compound of Formula 1 selected from the group consisting of:

3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

2-methyl-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-3-

(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(2-fluorophenyl)-N-[2-methyl-6-[[(1-methylethyl)amino)carbonyl]phenyl]-3-(trifluoromethyl)-1<math>H-pyrazole-5-carboxamide,

1-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

N-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,

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3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide, and 3-bromo-N-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1H-pyrazole-5-carboxamide.

22. A compound of Formula 1, its N-oxides and agriculturally suitable salts

wherein

A and B are independently O or S; optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4:

- R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or
- R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C(=A)J;
- R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl;
- R³ is H; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenoxy ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-

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C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₆ trialkylsilyl; C₁-C₄ alkoxy; C₁-C₄ alkylamino; C₂-C₈ dialkylamino; C₃-C₆ cycloalkylamino; C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl; or

- R² and R³ can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;
- each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or
- each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or (P₅), attached to adjacent carbon atoms can be taken together as -OCE₂O₂
- (R⁵)₂ attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;
- each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy or C₂-C₄ alkoxycarbonyl; or

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- each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₈ alkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;
- each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or
- each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or 5- or 6-membered heteroaromatic ring 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₈ dialkylaminocarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that

- (i) at least one \mathbb{R}^4 and at least one \mathbb{R}^7 are other than H:
- (ii) J is other than an optionally substituted 1,2,3-thiadiazole;
- (iii) when J is an optionally substituted pyridine and R² is H, R³ is other than H or CH₃;
- (iv) when J is an optionally substituted pyridine, then R^7 cannot be CONH₂, C_2 - C_6 alkylaminocarbonyl or C_3 - C_8 dialkylaminocarbonyl;
- (v) when J is an optionally substituted pyrazole, tetrazole or pyrimidine, then R² and R³ cannot both be hydrogen.

23. The compound of Claim 22 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

24. The compound of Claim 25 wherein

A and B are both O;

5 n is 1 to 2;

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- R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;
- R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;
- R^3 is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, C_1 - C_2 alkylsulfinyl and C_1 - C_2 alkylsulfonyl;
- one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl;
- each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or
- (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and
- each R^6 is independently H, halogen, C_1 - C_4 alkyl, C_1 - C_2 alkoxy or C_2 - C_4 alkoxycarbonyl, or
- each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.
- 25. The compound of Claim 26 wherein R¹ and R² are both H;
- R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

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each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;

each R⁶ is independently H, halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

p is 0, 1 or 2.

26. The compound of Claim 25 wherein R³ is *i*-propyl or *t*-butyl.

27. The compound of Claim 26 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

28. The compound of Claim 27 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷

$$\begin{array}{c|ccccc}
Q-X & X=Y & X=Y \\
Y & Z & X=Y \\
Z & X=Y \\
Z & X=Y \\
R^7 & X=Y \\
X & Z & X=Y \\
Y & Z & X=Y \\
Y & Z & X=Y \\
Y & Z & X=Y \\
Y & Z & X=Y \\
Y & Z & X=Y \\
Y & Z & X=Y \\
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Y & Z & X=Y \\
Y & Z & X=Y \\
Y & Z & X=Y \\
Y & Z &$$

15 Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

29. The compound of Claim 27 or Claim 28 wherein

A and B are O;

20 n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

25 R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group

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> consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylsulfinyl and C_1 - C_2 alkylsulfonyl;

- one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl; and
- each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C2-C4 alkoxycarbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkyl
thio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C3-C6 cycloalkylamino, C3-C6 (alkyl)cycloalkylamino, C2-C4 alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8 dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.
- 30. The compound of Claim 29 wherein J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole. furan, isothiazolc and isoxazole, each optionally substituted with 1 to 3 R⁷.
 - 31. The compound of Claim 30 wherein
 - J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are both H:

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- R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;
- each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, halogen or CN; and

p is 0, 1 or 2.

- The compound of Claim 31 wherein J is a pyridine optionally substituted with 1 32. 35 to $3 R^7$.
 - 33. The compound of Claim 32 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

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- 34. The compound of Claim 32 wherein one \mathbb{R}^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
- 35. The compound of Claim 31 wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.
 - 36. The compound of Claim 35 wherein one \mathbb{R}^7 is a phenyl optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
- 37. The compound of Claim 35 wherein one R^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
- 38. The compound of Claim 32 wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.
- 39. The compound of Claim 38 wherein one \mathbb{R}^7 is a phenyl optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
- 15 40. The compound of Claim 38 wherein one \mathbb{R}^7 is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN.
 - 41. The compound of Claim 38 wherein wherein \mathbb{R}^7 is a pyridine optionally substituted with \mathbb{C}_1 - \mathbb{C}_4 alkyl, \mathbb{C}_1 - \mathbb{C}_4 haloalkyl, halogen or $\mathbb{C}\mathbb{N}$.
- 20 42. The compound of Claim 22 selected from the group consisting of:

 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

 2-methyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-4
 (trifluoromethyl)benzamide,
 - 2-methyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,
 - 1-ethyl-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,
 - 1-(2-fluorophenyl)-*N*-[2-methyl-6-[[(1-methylethyl)amino)carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide,
- 30 1-(3-chloro-2-pyridinyl)-*N*-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]3- (trifluoromethyl)-1*H*-pyrazole-5-carboxamide,
 - N-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,
 - 3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[(1-
- 35 methylethyl)amino]carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide, and 3-bromo-*N*-[2-chloro-6-[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1*H*-pyrazole-5-carboxamide.

43. An arthropodicidal composition comprising an arthropodicidally effective amount of a compound of Formula 1 as described in Claim 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.



(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 27 September 2001 (27.09.2001)

PCT

(10) International Publication Number WO 01/70671 A3

- (51) International Patent Classification7: C07C 237/30. C07D 231/14, 213/82, 239/28, 231/06, A01N 37/22,
- 43/56, 43/40, 43/54
- (21) International Application Number: PCT/US01/09338 (22) International Filing Date: 20 March 2001 (20.03.2001)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

	· ·	
60/191,242	22 March 2000 (22.03.2000)	US
60/220.232	24 July 2000 (24.07.2000)	US
60/254.635	11 December 2000 (11.12.2000)	US
60/262.015	17 January 2001 (17.01.2001)	US

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- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU. CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP. KE, KG, KP, KR, KZ, LC, LK, LR. LS. LT. LU, LV. MA, MD, MG. MK, MN, MW, MX. MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW). Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

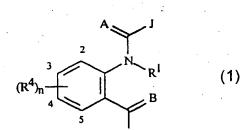
Published:

- with international search report
- (88) Date of publication of the international search report: 14 February 2002

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INSECTICIDAL ANTHRANILAMIDES





(57) Abstract: This invention provides compounds of Formula (1), their N-oxides and agriculturally suitable salts wherein A, B, J, R¹, R², R³ and R4 and n are as defined in the disclosure. Also disclosed are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Formula (1) and compositions containing the compounds of Formula (1).

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07C237/30 C07D231/14

A01N43/56 A01N37/22

C07D213/82 A01N43/40

CO7D239/28 A01N43/54

C07D231/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) $IPC \ 7 \ CO7C \ CO7D \ AO1N$

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

Category "	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
· managery		
X	NL 9 202 078 A (RIJKSLANDBOUWHOGESCHOOL)	1-5,21,
	16 June 1994 (1994-06-16)	42,43
	cited in the application	
	page 1, line 1 -page 2, line 3 examples	
X	DE 44 28 380 A (BAYER AG)	1-5,21,
	15 February 1996 (1996-02-15)	42,43
	page 2, line 11 - line 25	
	page 16, line 6 -page 18, line 33 example 33	
A	US 4 321 371 A (PARG ADOLF ET AL)	1-43
	23 March 1982 (1982-03-23)	
	column 1, line 1 - line 12	
	column 1, line 45 -column 4, line 33	
	-/	

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Special categories of cited documents: 'A' document defining the general state of the land which is not considered to be of particular relevance. 'E' earlier document but published on or after the international filing date. 'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified). 'O' document referring to an oral disclosure, use, exhibition or other means. 'P' document published prior to the international filing date but later than the priority date claimed.	 'T' later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention 'X' document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone 'Y' document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. '&' document member of the same patent family
Date of the actual completion of the international search	Date of mailing of the international search report
10 September 2001	27/09/2001
Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nt, Fax: (+31-70) 340-3016	O'Sullivan, P

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		Pur/US 01/09338						
C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT								
Category C	Citation of document, with indication where appropriate, of the relevant passages	Relevant to claim No.						
	US 5 728 693 A (STEVENSON THOMAS MARTIN) 17 March 1998 (1998-03-17) column 1, line 17 - line 35 table 1	1-43						
1	DE 198 40 322 A (BAYER AG) 9 March 2000 (2000-03-09) page 2, line 10 - line 31 page 4, line 32 - line 37 table 1	1-43						
1	US 5 602 126 A (BARNETTE WILLIAM E ET AL) 11 February 1997 (1997-02-11) the whole document	1-43						
4	DATABASE BEILSTEIN 'Online! BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT/MAIN, DE; Database accession no. 7311021 XP002177117 abstract & SUTO, MARK J ET AL: TETRAHEDRON	22-42						
	LETTERS., vol. 36, no. 40, 1995, pages 7213-7216, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM., NL ISSN: 0040-4039							

Information on patent family members

In nation Application No Pull / US 01/09338

Patent document cited in search report		Publication Patent family date member(s)		Publication date		
NL	9202078	Α	16-06-1994	NONE		
DE	4428380	Α	15-02-1996	AU	3382295 A	07-03-1996
				WO	9605170 A	22-02-1996
US	4321371	Α	23-03-1982	DE	2934543 A	02-04-1981
				AR	226317 A	30-06-1982
				ΑT	2952 T	15-04-1983
				AU	6176280 A	05-03-1981
				BR	8005163 A	24-02-1981
				CA	1159059 A	20-12-1983
				CS	215071 B	30-07-1982
				DD	152710 A	09-12-1981
				DE	3062630 D	11-05-1983
				DK	364780 A	28-02-1981
				EP	0024669 A	11-03-1981
				HU	185 9 02 B	28-04-1985
				IL	60833 A	29-02-1984
				JP	56034660 A	06-04-1981
				SU	997598 A	15-02-1983
				ZA	8005260 A	30-09-1981
US.	5728693	 A	17-03-1998	AU	1433695 A	17-07-1995
	•. =====		• • • • • • • • • • • • • • • • • • • •	DĒ	69427409 D	12-07-2001
				EP	0737188 A	16-10-1996
				JP	9507081 T	15-07-1997
				WO	9518116 A	06-07-1995
DF.	19840322	 А	09-03-2000	AU	5970399 A	27-03-2000
<i>D</i> L		••	3 	BR	9913383 A	22-05-2001
				WO	0014071 A	16-03-2000
HS.	5602126	- 	11-02-1997	US	5500438 A	19-03-1996